09/ 995,324

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2	Apr 08	"Ask CAS" for self-help around the clock
NEWS 3	Apr 09	BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 4	Apr 09	ZDB will be removed from STN
NEWS 5	Apr 19	US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
NEWS 6	Apr 22	Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
NEWS 7	Apr 22	BIOSIS Gene Names now available in TOXCENTER
NEWS 8	Apr 22	Federal Research in Progress (FEDRIP) now available
NEWS 9	Jun 03	New e-mail delivery for search results now available
NEWS 10	Jun 10	MEDLINE Reload
NEWS 11	Jun 10	PCTFULL has been reloaded
NEWS 12	Jul 02	FOREGE no longer contains STANDARDS file segment
NEWS 13	Jul 22	USAN to be reloaded July 28, 2002; saved answer sets no longer valid
NEWS 14	Jul 29	Enhanced polymer searching in REGISTRY
NEWS 15	Jul 30	NETFIRST to be removed from STN
NEWS 16	Aug 08	CANCERLIT reload
NEWS 17	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18	Aug 08	NTIS has been reloaded and enhanced
NEWS 19	Aug 19	Aquatic Toxicity Information Retrieval (AQUIRE) now available on STN
NEWS 20	Aug 19	IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS 21	Aug 19	The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 22	Aug 26	Sequence searching in REGISTRY enhanced
NEWS 23	Sep 03	JAPIO has been reloaded and enhanced
NEWS 24	Sep 16	Experimental properties added to the REGISTRY file
NEWS 25	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 26	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985
NEWS 27	Oct 21	EVENTLINE has been reloaded
NEWS 28	Oct 24	BEILSTEIN adds new search fields
NEWS 29	Oct 24	Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 30	Oct 25	MEDLINE SDI run of October 8, 2002
NEWS 31	Nov 18	DKILIT has been renamed APOLLIT
NEWS 32	Nov 25	More calculated properties added to REGISTRY
NEWS 33	Dec 02	TIBKAT will be removed from STN
NEWS 34	Dec 04	CSA files on STN
NEWS 35	Dec 17	PCTFULL now covers WP/PCT Applications from 1978 to date
NEWS 36	Dec 17	TOXCENTER enhanced with additional content
NEWS 37	Dec 17	Adis Clinical Trials Insight now available on STN
NEWS 38	Dec 30	ISMEC no longer available
NEWS 39	Jan 13	Indexing added to some pre-1967 records in CA/CAPLUS

NEWS EXPRESS	January 6 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

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Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 13:55:43 ON 15 JAN 2003

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 13:56:03 ON 15 JAN 2003
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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 14 JAN 2003 HIGHEST RN 479024-64-1
DICTIONARY FILE UPDATES: 14 JAN 2003 HIGHEST RN 479024-64-1

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 09995177z.str

L1 STRUCTURE UPLOADED

=>
Uploading 09995177y.str

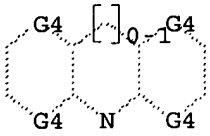
L2 STRUCTURE UPLOADED

=>
Uploading 09995177x.str

L3 STRUCTURE UPLOADED

=> d l1, l2, l3
L2 IS NOT VALID HERE
For an explanation, enter "HELP DISPLAY".

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,S

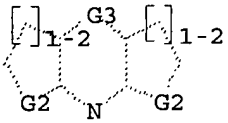
G2 S,N

G3 C,O

G4 C,N

Structure attributes must be viewed using STN Express query preparation.

=> d l2
L2 HAS NO ANSWERS
L2 STR



G1 O,S

G2 S,N

G3 C,O

Structure attributes must be viewed using STN Express query preparation.

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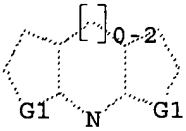
L3 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 13:57:42 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 255455 TO ITERATE

0.4% PROCESSED 1000 ITERATIONS 17 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

09/ 995,324

```
FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      EXCEEDS 1000000
PROJECTED ANSWERS:         EXCEEDS   82904

L4           17 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 13:57:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE

<  40.0% PROCESSED  400000 ITERATIONS                          3890 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.16

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      EXCEEDS 1000000
PROJECTED ANSWERS:         EXCEEDS   48960

L5         3890 SEA SSS FUL L1

=> s l2 ful
FULL SEARCH INITIATED 13:58:22 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 372334 TO ITERATE

100.0% PROCESSED  372334 ITERATIONS                          300 ANSWERS
SEARCH TIME: 00.00.04

L6          300 SEA SSS FUL L2

=> s l3 ful
FULL SEARCH INITIATED 13:58:31 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - >1,000,000 TO ITERATE

<  40.0% PROCESSED  400000 ITERATIONS                           71 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.09

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      EXCEEDS 1000000
PROJECTED ANSWERS:         EXCEEDS     207

L7           71 SEA SSS FUL L3

=> file caplus
COST IN U.S. DOLLARS                        SINCE FILE      TOTAL
                                               ENTRY    SESSION
FULL ESTIMATED COST                           445.25     445.46

FILE 'CAPLUS' ENTERED AT 13:58:50 ON 15 JAN 2003
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PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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```

FILE COVERS 1907 - 15 Jan 2003 VOL 138 ISS 3
FILE LAST UPDATED: 14 Jan 2003 (20030114/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d his

(FILE 'HOME' ENTERED AT 13:55:43 ON 15 JAN 2003)

FILE 'REGISTRY' ENTERED AT 13:56:03 ON 15 JAN 2003
L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 STRUCTURE UPLOADED
L4 17 S L1
L5 3890 S L1 FUL
L6 300 S L2 FUL
L7 71 S L3 FUL

FILE 'CAPLUS' ENTERED AT 13:58:50 ON 15 JAN 2003

=> s l5
L8 334 L5

=> s l5 /biol
334 L5
5298920 BIOL/RL
L9 86 L5 /BIOL
(L5 (L) BIOL/RL)

=> s l6
L10 72 L6

=> s l7
L11 3 L7

=> s (l9 or l10) not l11
L12 156 (L9 OR L10) NOT L11

=> s l9 not l10
L13 86 L9 NOT L10

=> d l11 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 3 ANSWERS - CONTINUE? Y/(N):y

L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:545684 CAPLUS
DOCUMENT NUMBER: 135:137394
TITLE: Preparation of diarylaminothiophenes as electroluminescent phosphors
INVENTOR(S): Rogler, Wolfgang; Kanitz, Andreas; Hartmann, Horst; Schumann, Joerg
PATENT ASSIGNEE(S): Siemens A.-G., Germany
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1

09/ 995,324

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001053286	A1	20010726	WO 2001-DE226	20010119
W: CN, JP, KR, US				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
DE 10002424	A1	20010726	DE 2000-10002424	20000120
EP 1248780	A1	20021016	EP 2001-909498	20010119
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				

PRIORITY APPLN. INFO.: DE 2000-10002424 A 20000120
WO 2001-DE226 W 20010119

OTHER SOURCE(S): MARPAT 135:137394

AB Title compds. were prepd. as electroluminescent phosphors (no data). Thus, Z(NPhCSCH2Ph)2 (Z = 1,4-phenylene) was cyclocondensed with PhCOCHClPh to give Z(NPhR)2 (R = 3,4,5-triphenyl-2-thienyl).

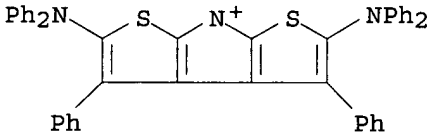
IT **351424-78-7P**
RL: DEV (Device component use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
(prepn. of diarylaminothiophenes as electroluminescent phosphors)

RN 351424-78-7 CAPLUS

CN 7H-Dithieno[2,3-b:3',2'-d]pyrrol-7-ylium, 2,5-bis(diphenylamino)-3,4-diphenyl-, perchlorate (9CI) (CA INDEX NAME)

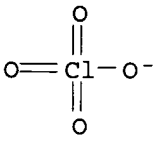
CM 1

CRN 351424-77-6
CMF C44 H30 N3 S2



CM 2

CRN 14797-73-0
CMF Cl O4



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:277989 CAPLUS
DOCUMENT NUMBER: 132:313703
TITLE: Heterocyclic condensed ring compounds in treatment and/or prevention of conditions mediated by peroxisome proliferator-activated receptors.
INVENTOR(S): Jeppesen, Lone; Bury, Paul Stanley; Sauerberg, Per

09/ 995,324

PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.; Reddy's Research Foundation
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000023451	A1	20000427	WO 1999-DK573	19991019
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9963257	A1	20000508	AU 1999-63257	19991019
EP 1123297	A1	20010816	EP 1999-950503	19991019
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6365586	B1	20020402	US 1999-420347	19991019
JP 2002527520	T2	20020827	JP 2000-577177	19991019
US 2002055502	A1	20020509	US 2001-994986	20011127
US 2002061876	A1	20020523	US 2001-995177	20011127
US 2002061880	A1	20020523	US 2001-995324	20011127
US 2002065267	A1	20020530	US 2001-994971	20011127
US 2002065268	A1	20020530	US 2001-995137	20011127

PRIORITY APPLN. INFO.:
DK 1998-1354 A 19981021
US 1998-105913P P 19981021
US 1999-420347 A3 19991019
WO 1999-DK573 W 19991019

OTHER SOURCE(S): MARPAT 132:313703

AB Heterocyclic arom. compds. such as 3-[4-[2-(8,9-dihydro-3,5-dithia-4-azacyclopenta{f}azulen-4-yl)ethoxy]phenyl]-2-ethoxypropionic acid are useful in the treatment and/or prevention of conditions mediated by nuclear receptors, in particular the Peroxisome Proliferator-Activated Receptors (PPAR).

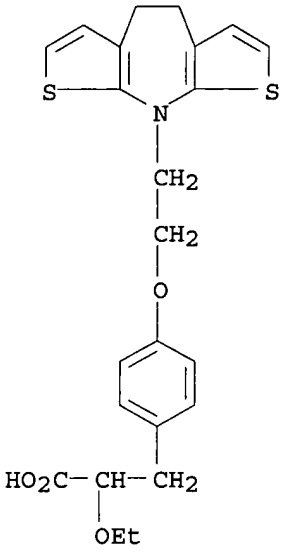
IT **265318-05-6 265318-06-7 265318-07-8 265318-08-9 265318-09-0 265318-10-3 265318-11-4 265318-12-5 265318-13-6 265318-14-7 265318-15-8 265318-16-9 265318-17-0 265318-18-1 265318-19-2 265318-20-5 265318-21-6 265318-67-0 265318-68-1 265318-69-2 265318-70-5 265318-71-6 265318-72-7 265318-73-8 265318-74-9 265318-75-0 265318-76-1 265318-77-2 265318-78-3 265318-79-4 265318-80-7 265318-81-8 265318-82-9 265318-83-0 265318-84-1 265318-85-2 265318-86-3 265318-87-4 265318-88-5 265318-89-6 265318-90-9 265318-91-0 265318-92-1 265318-93-2 265318-94-3 265318-95-4 265318-96-5 265318-97-6 265318-98-7 265318-99-8 265319-00-4 265319-01-5 265319-02-6 265319-03-7 265319-04-8 265319-05-9 265319-06-0 265319-07-1 265319-08-2 265319-09-3 265319-10-6 265319-11-7 265319-12-8 265319-13-9 265319-14-0**

Applicant's

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclic condensed ring compds. in treatment and/or prevention of conditions mediated by peroxisome proliferator-activated receptors)

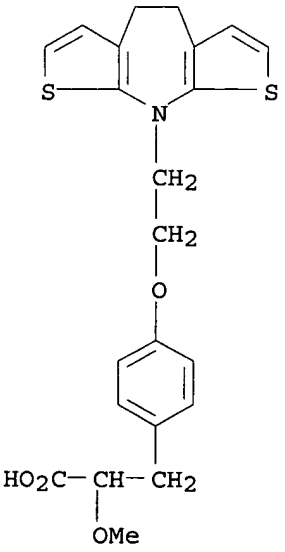
RN 265318-05-6 CAPLUS

CN Benzenepropanoic acid, 4-[2-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)ethoxy]-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



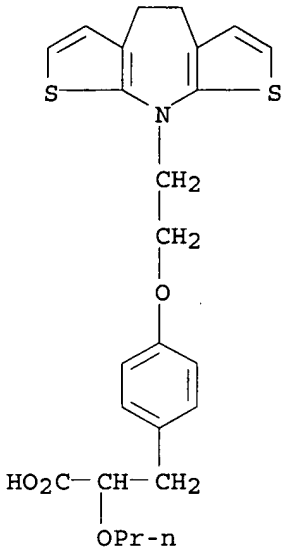
RN 265318-06-7 CAPLUS

CN Benzenepropanoic acid, 4-[2-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)ethoxy]-.alpha.-methoxy- (9CI) (CA INDEX NAME)

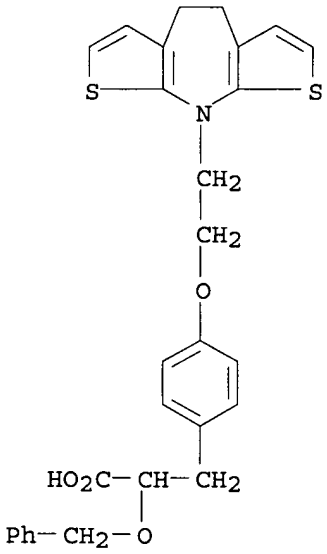


RN 265318-07-8 CAPLUS

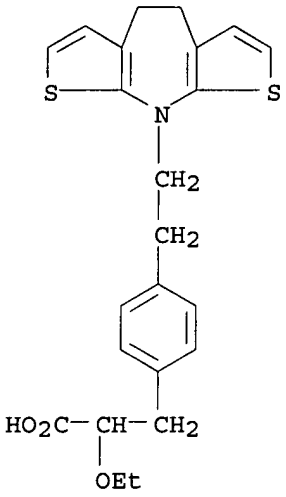
CN Benzenepropanoic acid, 4-[2-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)ethoxy]-.alpha.-propoxy- (9CI) (CA INDEX NAME)



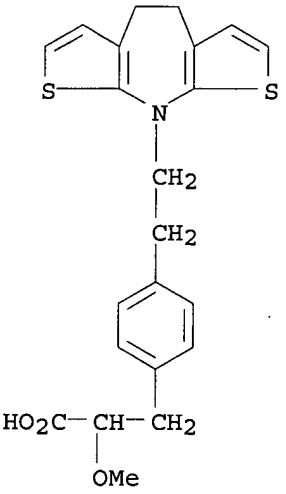
RN 265318-08-9 CAPLUS
CN Benzenepropanoic acid, 4-[2-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)ethoxy]-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



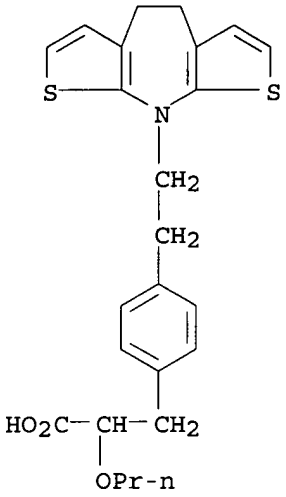
RN 265318-09-0 CAPLUS
CN Benzenepropanoic acid, 4-[2-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)ethyl]-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



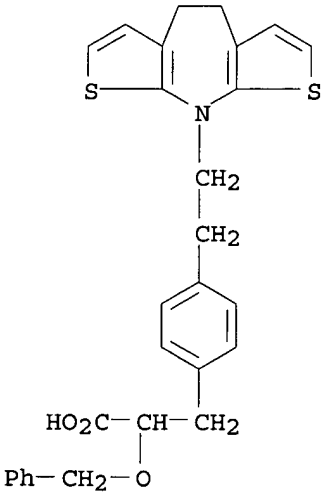
RN 265318-10-3 CAPLUS
CN Benzenepropanoic acid, 4-[2-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)ethyl]-.alpha.-methoxy- (9CI) (CA INDEX NAME)



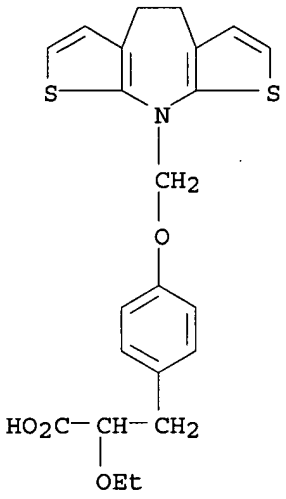
RN 265318-11-4 CAPLUS
CN Benzenepropanoic acid, 4-[2-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)ethyl]-.alpha.-propoxy- (9CI) (CA INDEX NAME)



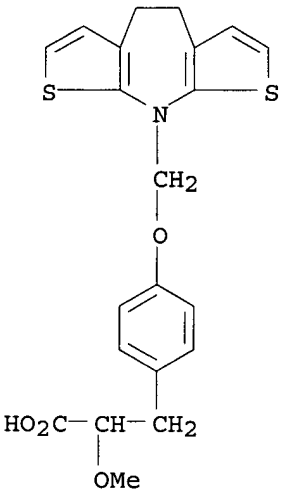
RN 265318-12-5 CAPLUS
CN Benzenepropanoic acid, 4-[2-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)ethyl]-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



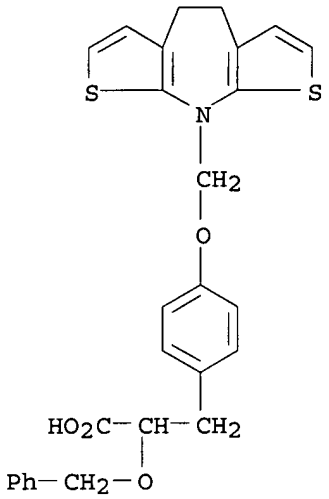
RN 265318-13-6 CAPLUS
CN Benzenepropanoic acid, 4-[(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)methoxy]-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



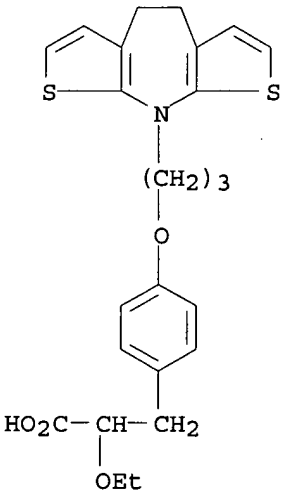
RN 265318-14-7 CAPLUS
CN Benzenepropanoic acid, 4-[(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)methoxy]-.alpha.-methoxy- (9CI) (CA INDEX NAME)



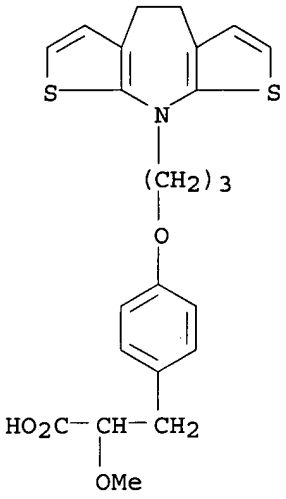
RN 265318-15-8 CAPLUS
CN Benzenepropanoic acid, 4-[(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)methoxy]-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



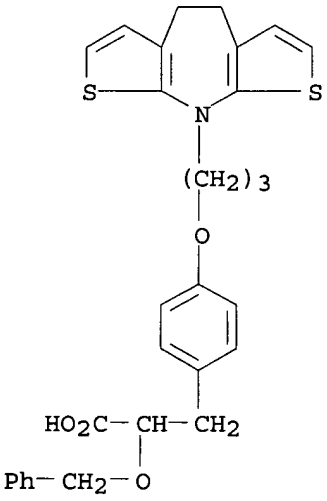
RN 265318-16-9 CAPLUS
CN Benzenepropanoic acid, 4-[3-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)propoxy]-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



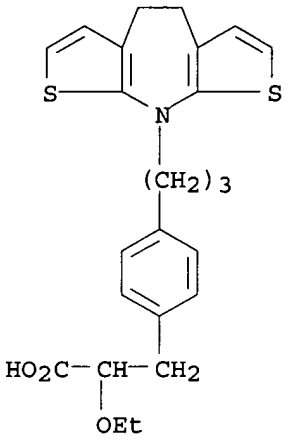
RN 265318-17-0 CAPLUS
CN Benzenepropanoic acid, 4-[3-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)propoxy]-.alpha.-methoxy- (9CI) (CA INDEX NAME)



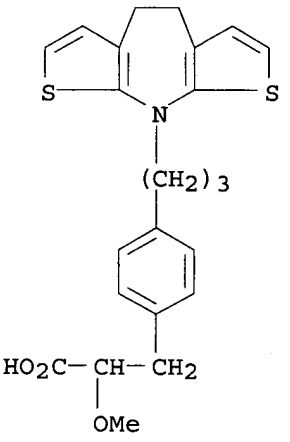
RN 265318-18-1 CAPLUS
CN Benzenepropanoic acid, 4-[3-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)propoxy]-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



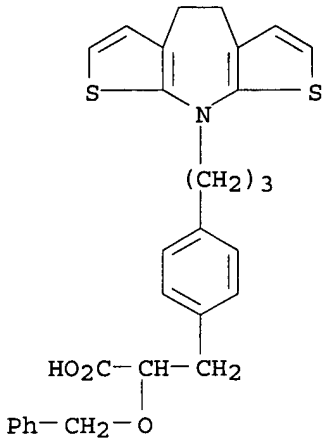
RN 265318-19-2 CAPLUS
CN Benzenepropanoic acid, 4-[3-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)propyl]-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



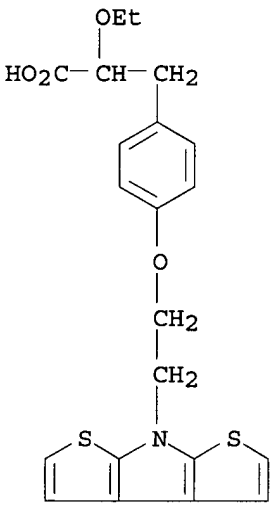
RN 265318-20-5 CAPLUS
CN Benzenepropanoic acid, 4-[3-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)propyl]-.alpha.-methoxy- (9CI) (CA INDEX NAME)



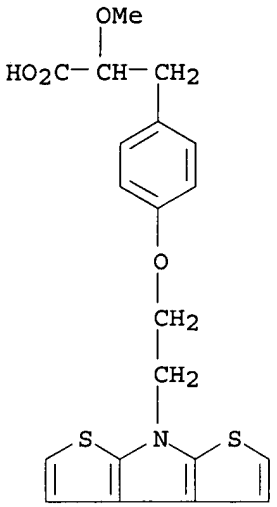
RN 265318-21-6 CAPLUS
CN Benzenepropanoic acid, 4-[3-(4,5-dihydro-9H-dithieno[2,3-b:3',2'-f]azepin-9-yl)propyl]-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



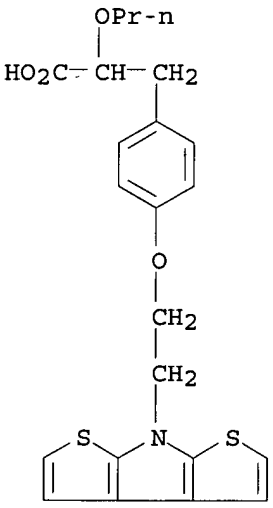
RN 265318-67-0 CAPLUS
CN Benzenepropanoic acid, 4-[2-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)ethoxy]-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



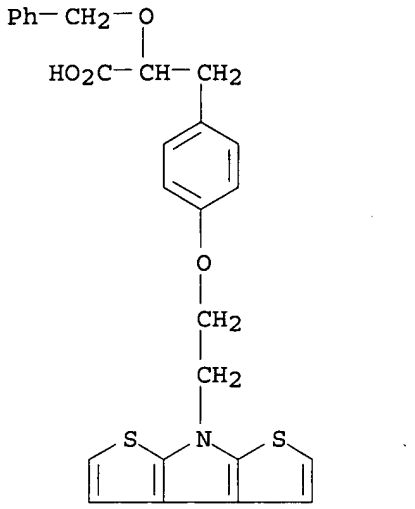
RN 265318-68-1 CAPLUS
CN Benzenepropanoic acid, 4-[2-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)ethoxy]-.alpha.-methoxy- (9CI) (CA INDEX NAME)



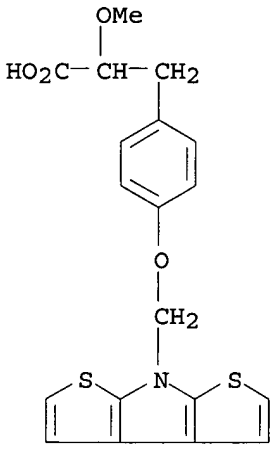
RN 265318-69-2 CAPLUS
CN Benzenepropanoic acid, 4-[2-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)ethoxy]-.alpha.-propoxy- (9CI) (CA INDEX NAME)



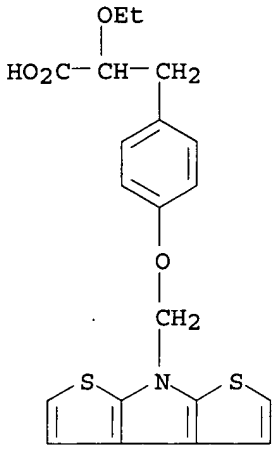
RN 265318-70-5 CAPLUS
CN Benzenepropanoic acid, 4-[2-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)ethoxy]-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



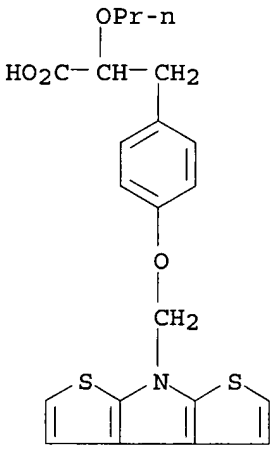
RN 265318-71-6 CAPLUS
CN Benzenepropanoic acid, 4-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-ylmethoxy)-.alpha.-methoxy- (9CI) (CA INDEX NAME)



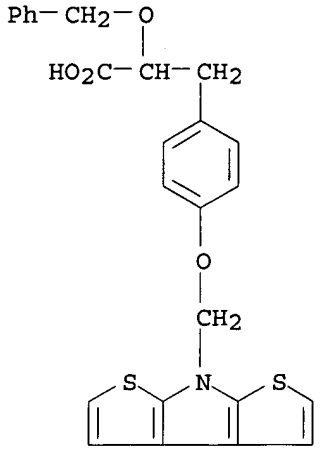
RN 265318-72-7 CAPLUS
CN Benzenepropanoic acid, 4-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-ylmethoxy)-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



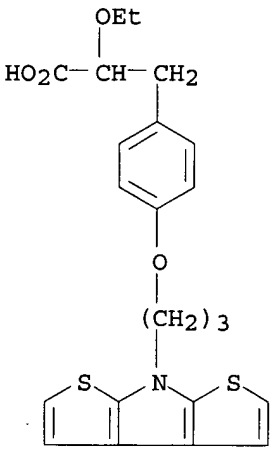
RN 265318-73-8 CAPLUS
CN Benzenepropanoic acid, 4-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-ylmethoxy)-.alpha.-propoxy- (9CI) (CA INDEX NAME)



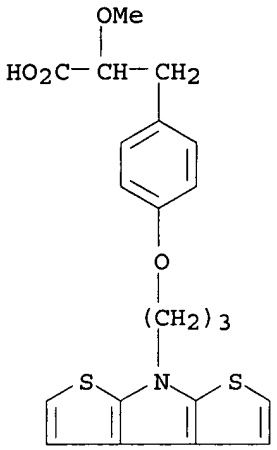
RN 265318-74-9 CAPLUS
CN Benzenepropanoic acid, 4-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-ylmethoxy)-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



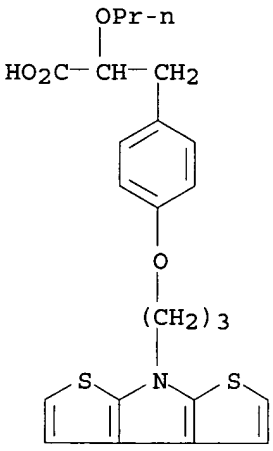
RN 265318-75-0 CAPLUS
CN Benzenepropanoic acid, 4-[3-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)propoxy]-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



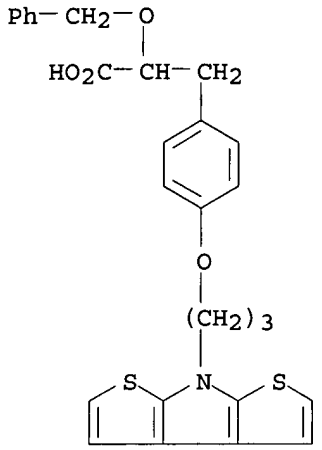
RN 265318-76-1 CAPLUS
CN Benzenepropanoic acid, 4-[3-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)propoxy]-.alpha.-methoxy- (9CI) (CA INDEX NAME)



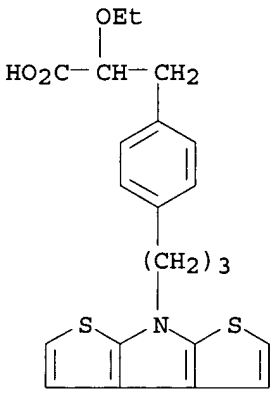
RN 265318-77-2 CAPLUS
CN Benzenepropanoic acid, 4-[3-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)propoxy]-.alpha.-propoxy- (9CI) (CA INDEX NAME)



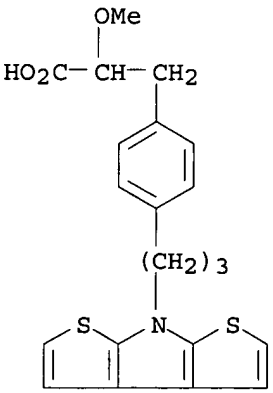
RN 265318-78-3 CAPLUS
CN Benzenepropanoic acid, 4-[3-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)propoxy]-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



RN 265318-79-4 CAPLUS
CN Benzenepropanoic acid, 4-[3-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)propyl]-.alpha.-ethoxy- (9CI) (CA INDEX NAME)

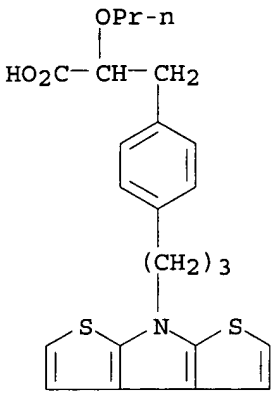


RN 265318-80-7 CAPLUS
CN Benzenepropanoic acid, 4-[3-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)propyl]-.alpha.-methoxy- (9CI) (CA INDEX NAME)

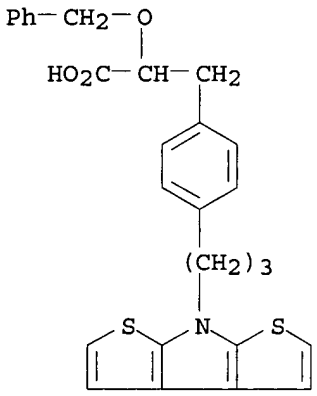


RN 265318-81-8 CAPLUS
CN Benzenepropanoic acid, 4-[3-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)propyl]-

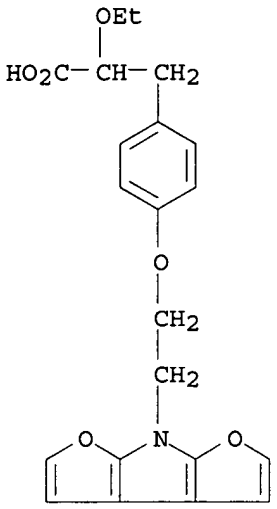
.alpha.-propoxy- (9CI) (CA INDEX NAME)



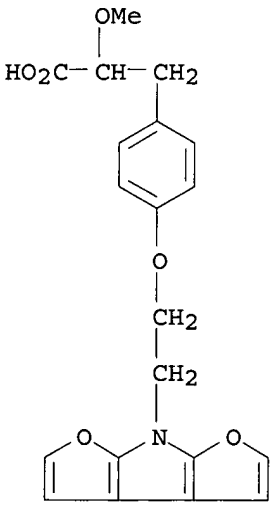
RN 265318-82-9 CAPLUS
CN Benzenepropanoic acid, 4-[3-(7H-dithieno[2,3-b:3',2'-d]pyrrol-7-yl)propyl]-
.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



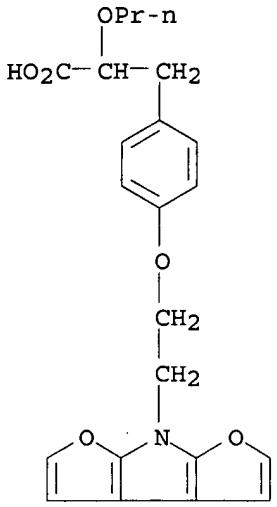
RN 265318-83-0 CAPLUS
CN Benzenepropanoic acid, 4-[2-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)ethoxy]-
.alpha.-ethoxy- (9CI) (CA INDEX NAME)



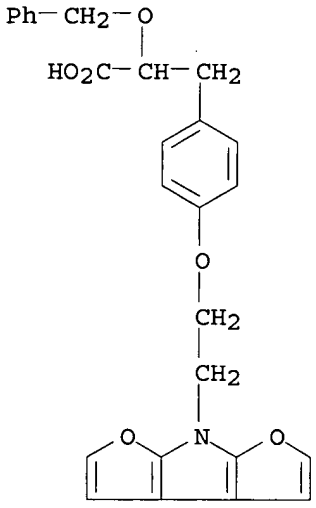
RN 265318-84-1 CAPLUS
CN Benzenepropanoic acid, 4-[2-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)ethoxy]-.alpha.-methoxy- (9CI) (CA INDEX NAME)



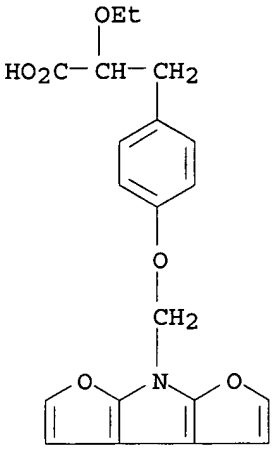
RN 265318-85-2 CAPLUS
CN Benzenepropanoic acid, 4-[2-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)ethoxy]-.alpha.-propoxy- (9CI) (CA INDEX NAME)



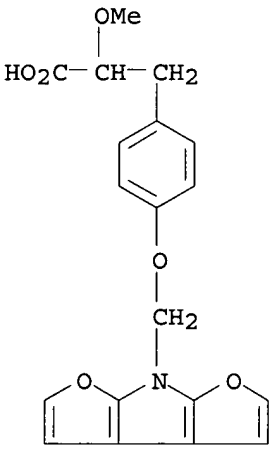
RN 265318-86-3 CAPLUS
CN Benzenepropanoic acid, 4-[2-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)ethoxy]-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



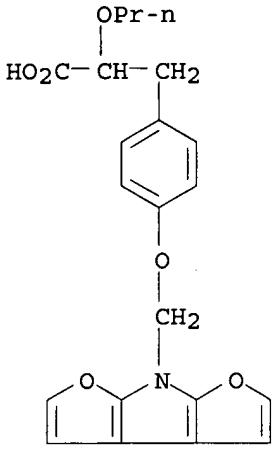
RN 265318-87-4 CAPLUS
CN Benzenepropanoic acid, 4-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-ylmethoxy)-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



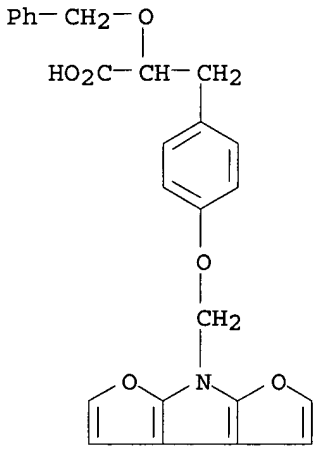
RN 265318-88-5 CAPLUS
CN Benzenepropanoic acid, 4-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-ylmethoxy)-.alpha.-methoxy- (9CI) (CA INDEX NAME)



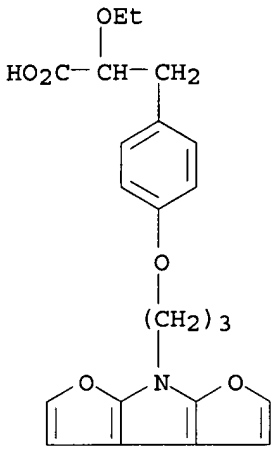
RN 265318-89-6 CAPLUS
CN Benzenepropanoic acid, 4-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-ylmethoxy)-.alpha.-propoxy- (9CI) (CA INDEX NAME)



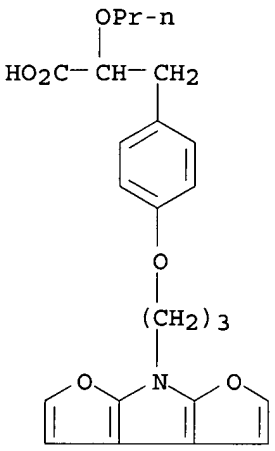
RN 265318-90-9 CAPLUS
CN Benzenepropanoic acid, 4-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-ylmethoxy)-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



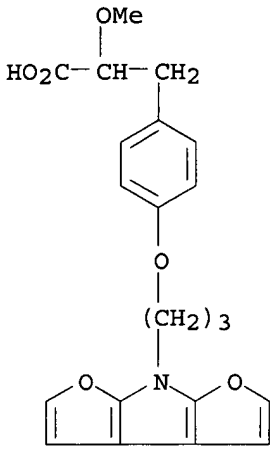
RN 265318-91-0 CAPLUS
CN Benzenepropanoic acid, 4-[3-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)propoxy]-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



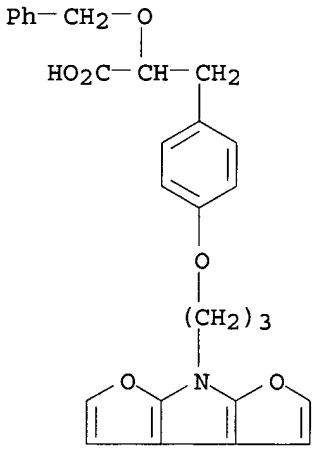
RN 265318-92-1 CAPLUS
CN Benzenepropanoic acid, 4-[3-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)propoxy]-.alpha.-propoxy- (9CI) (CA INDEX NAME)



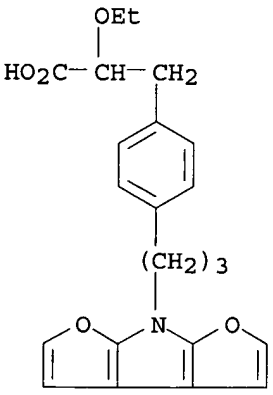
RN 265318-93-2 CAPLUS
CN Benzenepropanoic acid, 4-[3-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)propoxy]-.alpha.-methoxy- (9CI) (CA INDEX NAME)



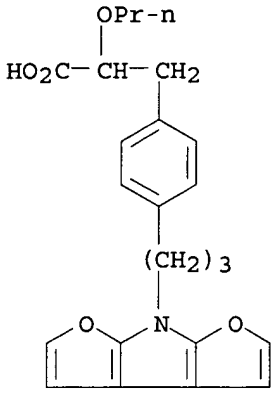
RN 265318-94-3 CAPLUS
CN Benzenepropanoic acid, 4-[3-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)propoxy]-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



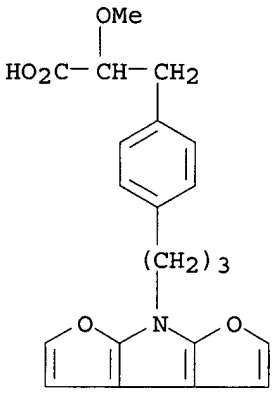
RN 265318-95-4 CAPLUS
CN Benzenepropanoic acid, 4-[3-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)propyl]-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



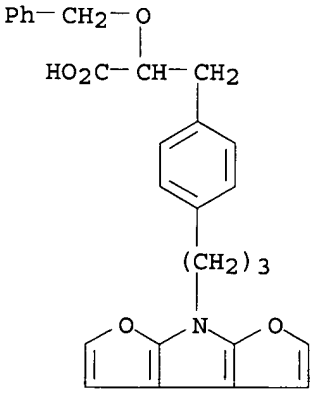
RN 265318-96-5 CAPLUS
CN Benzenepropanoic acid, 4-[3-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)propyl]-.alpha.-propoxy- (9CI) (CA INDEX NAME)



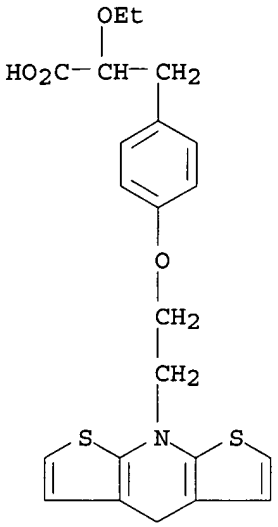
RN 265318-97-6 CAPLUS
CN Benzenepropanoic acid, 4-[3-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)propyl]-.alpha.-methoxy- (9CI) (CA INDEX NAME)



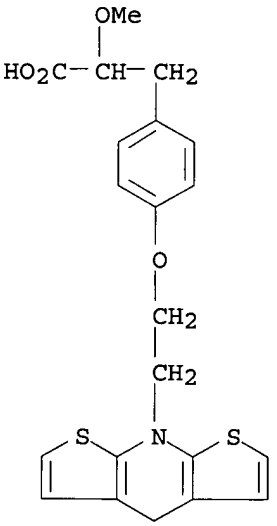
RN 265318-98-7 CAPLUS
CN Benzenepropanoic acid, 4-[3-(7H-difuro[2,3-b:3',2'-d]pyrrol-7-yl)propyl]-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



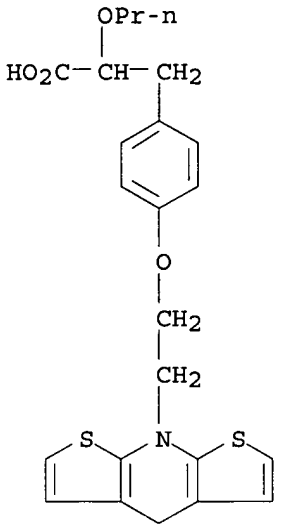
RN 265318-99-8 CAPLUS
CN Benzenepropanoic acid, 4-(2-dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylethoxy)-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



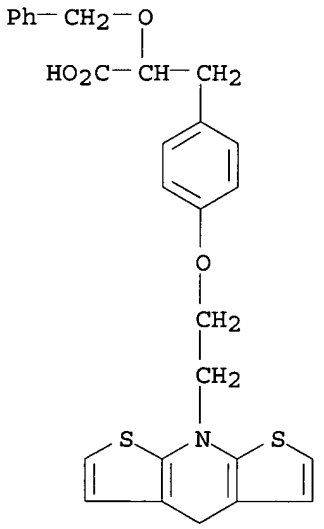
RN 265319-00-4 CAPLUS
CN Benzenepropanoic acid, 4-(2-dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylethoxy)-.alpha.-methoxy- (9CI) (CA INDEX NAME)



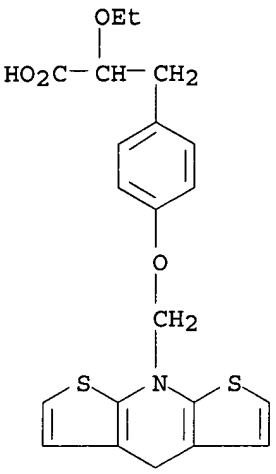
RN 265319-01-5 CAPLUS
CN Benzenepropanoic acid, 4-(2-dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylethoxy)-.alpha.-propoxy- (9CI) (CA INDEX NAME)



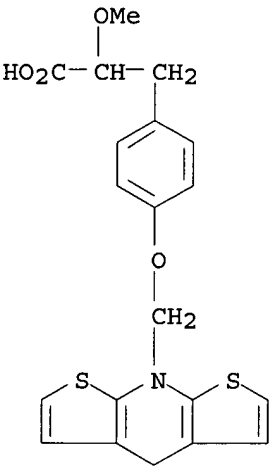
RN 265319-02-6 CAPLUS
CN Benzenepropanoic acid, 4-(2-dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylethoxy)-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



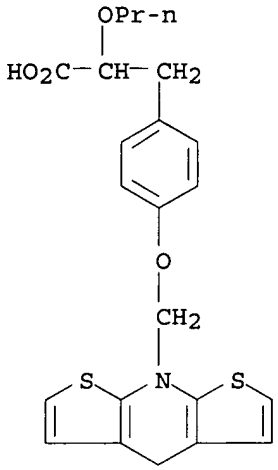
RN 265319-03-7 CAPLUS
CN Benzenepropanoic acid, 4-(dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylmethoxy)-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



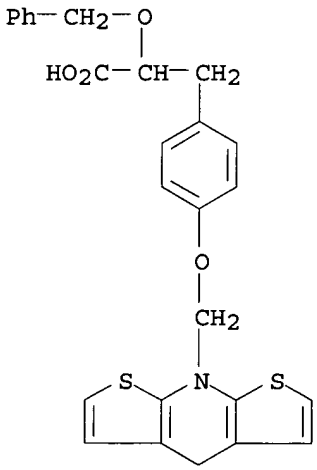
RN 265319-04-8 CAPLUS
CN Benzenepropanoic acid, 4-(dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylmethoxy)-.alpha.-methoxy- (9CI) (CA INDEX NAME)



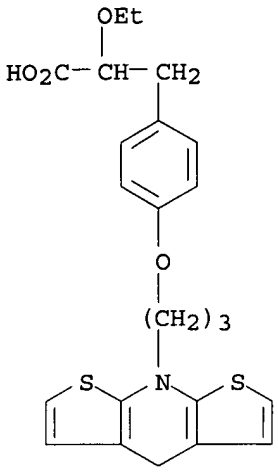
RN 265319-05-9 CAPLUS
CN Benzenepropanoic acid, 4-(dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylmethoxy)-.alpha.-propoxy- (9CI) (CA INDEX NAME)



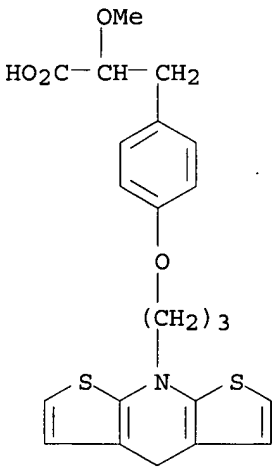
RN 265319-06-0 CAPLUS
CN Benzenepropanoic acid, 4-(dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylmethoxy)-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



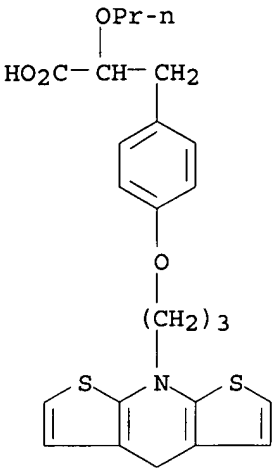
RN 265319-07-1 CAPLUS
CN Benzenepropanoic acid, 4-(3-dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylpropoxy)-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



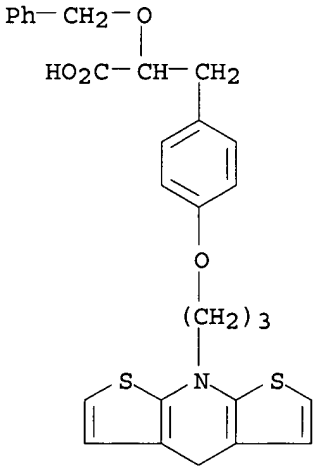
RN 265319-08-2 CAPLUS
CN Benzenepropanoic acid, 4-(3-dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylpropoxy)-.alpha.-methoxy- (9CI) (CA INDEX NAME)



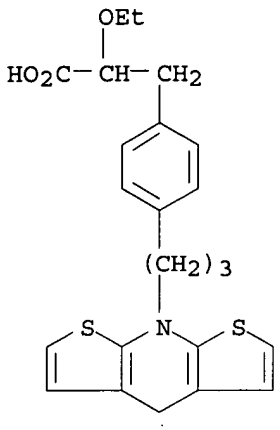
RN 265319-09-3 CAPLUS
CN Benzenepropanoic acid, 4-(3-dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylpropoxy)-.alpha.-propoxy- (9CI) (CA INDEX NAME)



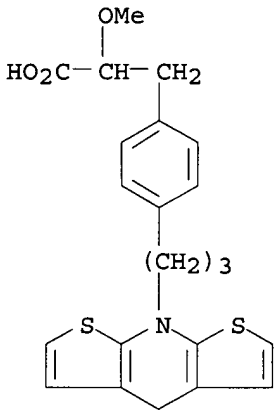
RN 265319-10-6 CAPLUS
CN Benzenepropanoic acid, 4-(3-dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylpropoxy)-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



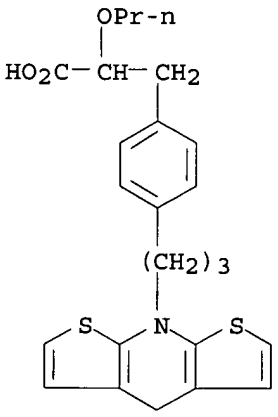
RN 265319-11-7 CAPLUS
CN Benzenepropanoic acid, 4-(3-dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylpropyl)-.alpha.-ethoxy- (9CI) (CA INDEX NAME)



RN 265319-12-8 CAPLUS
CN Benzenepropanoic acid, 4-(3-dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylpropyl)-.alpha.-methoxy- (9CI) (CA INDEX NAME)



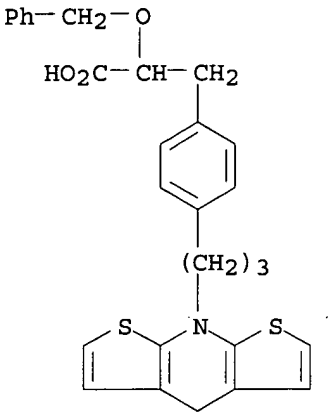
RN 265319-13-9 CAPLUS
CN Benzenepropanoic acid, 4-(3-dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylpropyl)-.alpha.-propoxy- (9CI) (CA INDEX NAME)



RN 265319-14-0 CAPLUS

09/ 995,324

CN Benzenepropanoic acid, 4-(3-dithieno[2,3-b:3',2'-e]pyridin-8(4H)-ylpropyl)-.alpha.-(phenylmethoxy)- (9CI) (CA INDEX NAME)



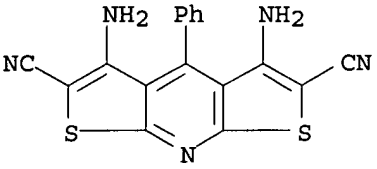
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:814730 CAPLUS
DOCUMENT NUMBER: 130:191419
TITLE: Synthesis, antihistaminic and cytotoxic activity of pyridothieno- and pyridodithienotriazines
AUTHOR(S): Quintela, Jose Maria; Peinador, Carlos; Veiga, Mari Carmen; Botana, Luis M.; Alfonso, Amparo; Riguera, Ricardo
CORPORATE SOURCE: Departamento de Quimica Fundamental e Industrial, Facultad de Ciencias, Universidad de La Coruna, La Coruna, 15071, Spain
SOURCE: European Journal of Medicinal Chemistry (1998), 33(11), 887-897
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The synthesis of pyrido[3',2':4,5]thieno[3,2-d]-1,2,3-triazines and pyrido[3',2':4,5]dithieno[3,2-d]-1,2,3-triazines, and their inhibitory action on the release of histamine from rat mast cells under immunol. and chem. stimulus are presented. Some compds. are strong inhibitors under all the conditions tested while some are good inhibitor in all conditions except when it is preincubated with ovalbumin. Some compds. are good inhibitors in the immunol. expts. but are practically inactive under chem. stimulus. Some compds. show in vitro cytotoxic activity against several human and mouse tumoral cell lines with IC50 values well under 1 mg/mL.

IT **220757-45-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and antihistaminic and cytotoxic structure activity relations of pyridothieno- and pyridodithienotriazines)

RN 220757-45-9 CAPLUS
CN Dithieno[2,3-b:3',2'-e]pyridine-2,6-dicarbonitrile, 3,5-diamino-4-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 13:55:43 ON 15 JAN 2003)

FILE 'REGISTRY' ENTERED AT 13:56:03 ON 15 JAN 2003
L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 STRUCTURE UPLOADED
L4 17 S L1
L5 3890 S L1 FUL
L6 300 S L2 FUL
L7 71 S L3 FUL

FILE 'CAPLUS' ENTERED AT 13:58:50 ON 15 JAN 2003
L8 334 S L5
L9 86 S L5 /BIOL
L10 72 S L6
L11 3 S L7
L12 156 S (L9 OR L10) NOT L11
L13 86 S L9 NOT L10

=> d l12 1- ibib abs fhitstr
YOU HAVE REQUESTED DATA FROM 156 ANSWERS - CONTINUE? Y/(N):y

L12 ANSWER 1 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:927424 CAPLUS
DOCUMENT NUMBER: 138:14006
TITLE: Preparation of carbazoles for treating neuropeptide Y-related diseases
INVENTOR(S): Rudolf, Klaus; Hurnaus, Rudolf; Eberlein, Wolfgang; Engel, Wolfhard; Wieland, Heike-Andrea; Krist, Bernd
PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany; Novo Nordisk A/S
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002096902	A1	20021205	WO 2002-EP5750	20020524

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,

CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10125961 A1 20021212 DE 2001-10125961 20010529

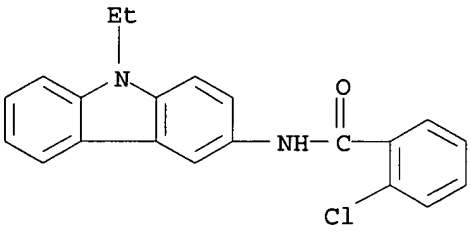
PRIORITY APPLN. INFO.: DE 2001-10125961 A 20010529

AB The invention relates to carbazoles (e.g. N-(9-ethyl-9H-carbazol-3-yl)nicotinamide), their use for the prepn. of a pharmaceutical compn. for the treatment of eating and metabolic disorders such as obesity, bulimia nervosa, anorexia nervosa, of sleep disturbance, of morphine withdrawal symptoms and of epileptic seizures, a pharmaceutical compn. contg. them and a process for prepg. them. No pharmacol. data is included. Although the methods of prepn. are not claimed, several general methods are included and characterization data for .apprx.60 carbazoles are tabulated.

IT **416878-86-9P**, 2-Chloro-N-(9-ethyl-9H-carbazol-3-yl)benzamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(drug candidate; prepn. of carbazoles for treating neuropeptide Y-related diseases)

RN 416878-86-9 CAPLUS

CN Benzamide, 2-chloro-N-(9-ethyl-9H-carbazol-3-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:906181 CAPLUS

DOCUMENT NUMBER: 138:4617

TITLE: Substituted 1-benzyl-4-arylpiperazine analogs as melanin concentrating hormone receptor ligands

INVENTOR(S): Hutchison, Alan; Peterson, John; Doller, Dario; Gustavson, Linda E.; Caldwell, Timothy; Yoon, Taeyoung; Pringle, Wallace; Bakthavatchalam, Rajagopal; Shen, Yiping; Steenstra, Cheryl; Yin, Helen; De, Simone Robert; He, Xiao-shu

PATENT ASSIGNEE(S): Neurogen Corporation, USA; et al.

SOURCE: PCT Int. Appl., 133 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094799	A2	20021128	WO 2002-US15979	20020521

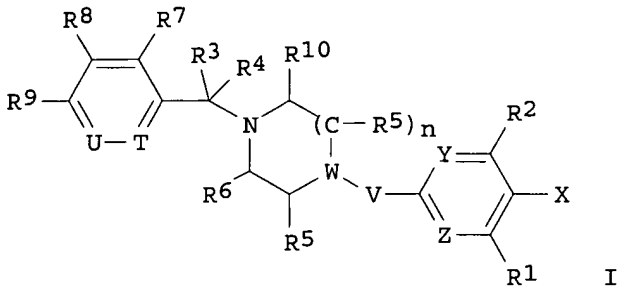
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-292719P P 20010522

OTHER SOURCE(S): MARPAT 138:4617

GI



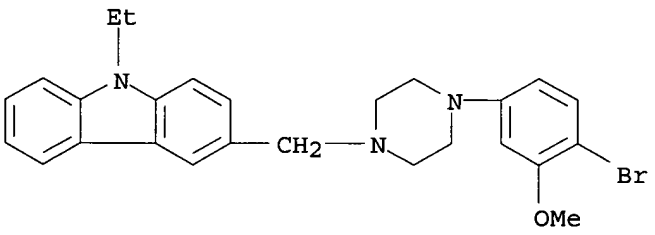
I

AB Title compds. I [T, U = N, O, (un)substituted CH; V = bond, CO; W = N, CH, C(OH), C(CN); X = halogen, OH, NO2, CN, O, (un)substituted NH2, OH, SO2H, SO2NH2, CONH2, NHCHO; Y, Z = CH, N; YR5 ZR5 = atoms required to complete a carbcyclic or heterocyclic ring; n = 1, 2; R1, R2, R7, R8, R9 = H, halogen, OH, NO2, CN, O, (un)substituted NH2, OH, SO2H, SO2NH2, CONH2, NHCHO; R3 = H, alkyl, alkenyl, haloalkyl; R3T = atoms required to complete a carbocyclic or heterocyclic ring; R4 = H, alkyl, haloalkyl; R5, R6 = H, halogen, OH, NO2, CN, NH2, O, alkyl, alkenyl, alkoxy, haloalkyl, haloalkoxy, aminoalkyl; R10 = H, halogen, OH, NO2, CN, alkyl, alkenyl, alkynyl, alkoxy, haloalkyl, haloalkoxy, (un)substituted NH2; R7R10 = atoms required to form a ring] were prepd. for use as melanin concg. hormone receptor ligands. Such ligands may be used to modulate MCH binding to MCH receptors in vivo or in vitro, and are particularly useful in the treatment of a variety of metabolic, feeding and sexual disorders in humans, domesticated companion animals and livestock animals. Thus, 1-(5-bromo-6-methoxypyridin-2-yl)piperazine was reductively alkylated with 3,4-(MeO)2C6H3CHO to give the 4-(3,4-dimethoxybenzyl) deriv.

IT **477191-98-3P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of substituted 1-benzyl-4-arylpiperazine analogs as melanin concg. hormone receptor ligands)

RN 477191-98-3 CAPLUS

CN 9H-Carbazole, 3-[[4-(4-bromo-3-methoxyphenyl)-1-piperazinyl]methyl]-9-ethyl- (9CI) (CA INDEX NAME)



L12 ANSWER 3 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:906136 CAPLUS

DOCUMENT NUMBER: 138:4422

TITLE: Aromatic and heteroaromatic amino alcohol derivatives

useful as .beta.3 adrenergic agonists, for treatment of pollakiuria and urinary incontinence, and their preparation.

INVENTOR(S): Sakurai, Minoru; Washizuka, Kenichi; Hamashima, Hitoshi; Tomishima, Yasuyo; Imanishi, Masashi; Kayakiri, Hiroshi; Taniguchi, Kiyoshi; Takamura, Fujiko

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 256 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094770	A2	20021128	WO 2002-JP4865	20020520

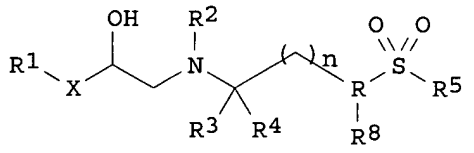
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:
AU 2001-5232 A 20010524
AU 2001-9780 A 20011228
AU 2002-799 A 20020228

OTHER SOURCE(S): MARPAT 138:4422

GI



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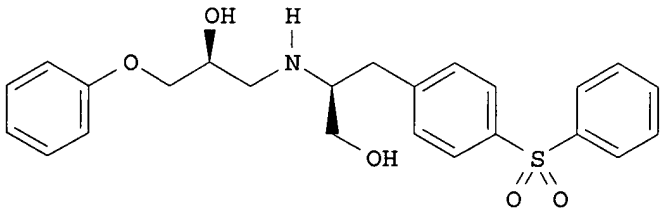


II

AB The invention relates to compds. I [wherein R1 is Ph, pyridyl, indolyl, or carbazolyl, each of which may be substituted with one or two substituent(s); R2 is hydrogen, an amino protective group, etc.; R3 and R4 are each independently hydrogen, lower alkyl or hydroxy(lower)alkyl; R is a benzene or pyridine nucleus; R5 is aryl, ar(lower)alkyl, heterocyclic, or alkyl, each of which may be substituted with one, two, or three substituent(s); R8 is hydrogen or halogen; X is a single bond or OCH2; and n is 0, 1, or 2] or salts thereof. I and their pharmaceutically acceptable salts are .beta.3 adrenergic receptor agonists, useful for the prophylactic and/or therapeutic treatment of pollakiuria or urinary

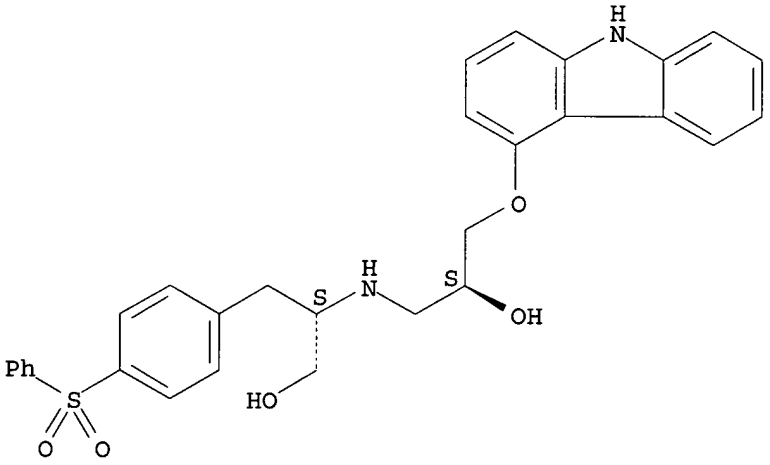
incontinence. Approx. 700 compds. were prepd. as invention compds. and/or intermediates. For instance, tert-Bu [(S)-2-hydroxy-1-(4-hydroxybenzyl)ethyl]carbamate was protected with Me2C(OMe) as the oxazolidine, then converted to the aryl triflate, coupled with PhSH, oxidized to the sulfone, and deprotected to give (S)-2-amino-3-[4-(phenylsulfonyl)phenyl]-1-propanol as the hydrochloride. This compd. underwent reductive N-benzylation with benzaldehyde, coupling with (S)-2-(phenoxymethyl)oxirane, and hydrogenolytic debenzylation, to give title compd. II. When administered intraduodenally to anesthetized beagle dogs at 0.32 mg/kg, II gave a 30% inhibition of carbachol-induced (1.8 .mu.g/kg) increase in intravesical pressure (IVP).

IT **477256-98-7P**, (2S)-2-[[(2S)-3-(9H-Carbazol-4-yloxy)-2-hydroxypropyl]amino]-3-[4-(phenylsulfonyl)phenyl]-1-propanol
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(drug candidate; prepn. of arom. and heteroarom. amino alc. derivs. as .beta.3 adrenergic agonists)

RN 477256-98-7 CAPLUS

CN Benzenepropanol, .beta.-[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]-4-(phenylsulfonyl)-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 4 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:905855 CAPLUS
DOCUMENT NUMBER: 138:303
TITLE: Caspase inhibitors and therapeutic uses
INVENTOR(S): Mortimore, Michael; Miller, Andrew; Studley, John; Charrier, Jean-Damien
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002094263	A2	20021128	WO 2002-US16353	20020523

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-292969P P 20010523

OTHER SOURCE(S): MARPAT 138:303

AB This invention provides compds. which are effective inhibitors of apoptosis and IL-1.beta. secretion. The invention also discusses the therapeutic potential of these compds. in treating diseases like IL-1 mediated disease, apoptosis mediated disease or an inflammatory disease.

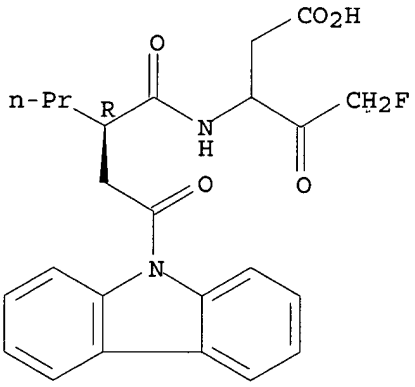
IT **476635-25-3P**

RL: PAC (Pharmacological activity); RCT (Reactant); **BIOL (Biological study)**; THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(caspase inhibitors)

RN 476635-25-3 CAPLUS

CN Pentanoic acid, 3-[[(2R)-2-[2-(9H-carbazol-9-yl)-2-oxoethyl]-1-oxopentyl]amino]-5-fluoro-4-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 5 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:888718 CAPLUS

DOCUMENT NUMBER: 137:384842

TITLE: Benzimidazole compounds and antiviral uses thereof

INVENTOR(S): Lackey, John William; Kinder, Daniel S.; Tvermoes, Nicolai A.

PATENT ASSIGNEE(S): Trimeris, Inc., USA

SOURCE: PCT Int. Appl., 143 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

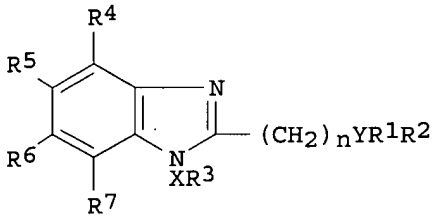
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002092575	A1	20021121	WO 2002-US14598	20020510

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,

LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 2001-290038P P 20010511
OTHER SOURCE(S): MARPAT 137:384842
GI

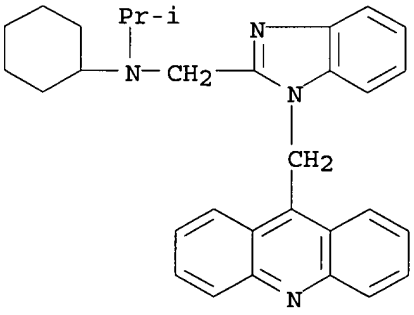


I

AB Title compds. I [R1, R2 = H, (un)substituted alkyl, cycloalkyl, heterocyclic, aryl, heteroaryl; R3 = H, halo, (un)substituted alkyl, Oh, alkoxy, aryl, heterocyclic, heteroaryl; R4-R7 = H, halo, (un)substituted alkyl, OH, alkoxy, aryl, heterocyclic, heteroaryl; X = bond, (un)substituted alkylene, C:N, CO, P, S; Y = N, P, O, S; when Y = O, S, R2 is absent; n = 0-4] were prepd. for use as virucides that inhibit membrane fusion assocd. events such as viral transmission, reduce viral load or otherwise treat viral infections, particularly that caused by Respiratory Syncytial Virus. Thus, I [R1 = cyclohexyl, R2 = CHMe2, Y = N, X = CH2, R3 = 2-quinolinyl, R4-R7 = H] had IC50 of 5.16 .mu.g/mL.

IT **475646-70-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of benzimidazole derivs. as virucides for treating Respiratory Syncytial Virus infections)

RN 475646-70-9 CAPLUS
CN 1H-Benzimidazole-2-methanamine, 1-(9-acridinylmethyl)-N-cyclohexyl-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:886145 CAPLUS
DOCUMENT NUMBER: 137:385004
TITLE: Preparation of morphinane derivatives as hypoglycemic

agents
INVENTOR(S): Nagase, Hiroshi; Kawamura, Kuniaki; Mizusuna, Akira; Fujii, Hideaki; Nakaya, Izumi; Fujita, Tatsuya
PATENT ASSIGNEE(S): Toray Industries, Inc., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 63 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

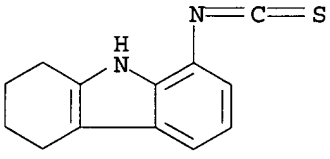
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002332284	A2	20021122	JP 2001-137616	20010508

PRIORITY APPLN. INFO.: JP 2001-137616 20010508
OTHER SOURCE(S): MARPAT 137:385004
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The compds. I (R1 = H, C1-5 alkyl, C4-7 cycloalkylalkyl, C5-7 cycloalkenylalkyl, C6-12 aryl; R2, R3 = H, OH, C1-5 alkoxy, C1-5 alkanoyloxy; R4 = H, NHZR6; Z = C:A, C:AXC:A; A = O, S; X = (CH2)n, NH(CH2)nNH, C6H4, n = 1-5; R6 = Q1, Q2, Q3, Q4; R7 = H, C1-5 alkyl, C4-7 cycloalkylalkyl, C5-7 cycloalkenylalkyl, C6-12 aryl, etc.; R8, R9 = H, OH, C1-5 alkyloxy, C1-5 alkanoyloxy; R10, R11 = H, C1-5 alkyl; R12, R13 = H, C1-5 alkyl, C6-12 aryl; R12R13 may form C3-6 bridge structure; R5 = H, C1-5 alkyl, (CH2)n(C:A)R6; if R4 = H, then R5 .noteq. H, C1-5 alkyl) or their pharmaceutically acceptable salts are prepd. Naltrexone hydrochloride was reacted with 2-nitrophenylhydrazine in the presence of HCl in AcOH at 80.degree. for 3 h and treated with MeSO3H to give 29% 17-cyclopropylmethyl-6,7-dehydro-4,5.alpha.-epoxy-3,14.beta.-dihydroxy-7'-nitro-6,7-2',3'-indolomorphinan methanesulfonate. A compd. satisfying structure I reduced blood glucose level in rats.

IT **475212-80-7P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); **BIOL (Biological study)**; **BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of morphinan derivs. as hypoglycemic agents)
RN 475212-80-7 CAPLUS
CN 1H-Carbazole, 2,3,4,9-tetrahydro-8-isothiocyanato- (9CI) (CA INDEX NAME)



L12 ANSWER 7 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:868898 CAPLUS
DOCUMENT NUMBER: 137:369762
TITLE: Preparation of cyclohexane-1,4-diamines as regulators of the ORL1 opioid receptor
INVENTOR(S): Sundermann, Bernd; Hennies, Hagen-Heinrich; Englberger, Werner; Koegel, Babette-Yvonne
PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany

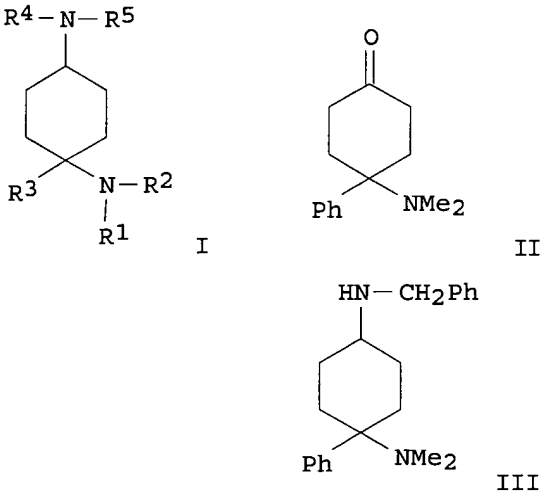
09/ 995,324

SOURCE: PCT Int. Appl., 256 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002090317	A1	20021114	WO 2002-EP5051	20020508

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DE 2001-10123163 A 20010509
OTHER SOURCE(S): MARPAT 137:369762
GI

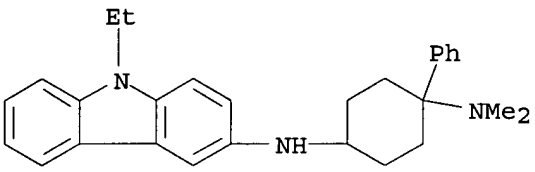


AB Title compds. I [R1, R2 = H, alkyl, cycloalkyl, etc. or R1 and R2 together form a ring, e.g., CH2CH2OCH2CH2, (CH2)3-6, CH2CH2NR6CH2CH2; R6 = H, alkyl, cycloalkyl, etc.; R3 = alkyl, cycloalkyl, (un)substituted aryl, etc.; R4 = H, alkyl, C(X)R7; X = O, S; R7 = H, alkyl, cycloalkyl, etc.; R5 = cycloalkyl, aryl, heteroaryl, etc.] and their pharmaceutically acceptable salts were prepd. For example, reductive amination of ketone II, e.g., prepd. from 1,4-dioxaspiro[4.5]decan-8-one in 3-steps, and benzylamine afforded after chromatog., the nonpolar diastereomer of diamine III.HCL. In ORL1 opioid receptor binding assays, 91-specific examples of compds. I exhibited binding to the receptor with Ki values ranging from 0.0004-0.75 .mu.M, e.g., the Ki of the nonpolar diastereomer of diamine III.HCL = 0.010 .mu.M. Compds. I may be useful in the treatment of anxiety, depression, epilepsy, etc.

IT **475097-83-7P**, N'-(9-Ethyl-9H-carbazol-3-yl)-N,N-dimethyl-1-phenylcyclohexan-1,4-diamine Dihydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(drug candidate; prepn. of cyclohexyldiamines as regulators of the ORL1 opioid receptor)

RN 475097-83-7 CAPLUS
CN 1,4-Cyclohexanediamine, N4-(9-ethyl-9H-carbazol-3-yl)-N1,N1-dimethyl-1-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

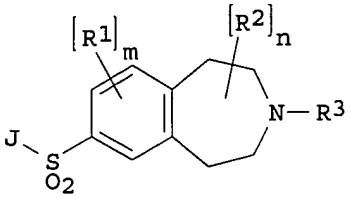
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:868745 CAPLUS
DOCUMENT NUMBER: 137:369983
TITLE: Preparation of benzo[d]azepines as 5-HT6 receptor antagonists
INVENTOR(S): Bromidge, Steven Mark; Moss, Stephen Frederick
PATENT ASSIGNEE(S): Smithkline Beecham PLC, UK
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002089811	A1	20021114	WO 2002-EP4804	20020502

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2001-11186 A 20010508
OTHER SOURCE(S): MARPAT 137:369983
GI



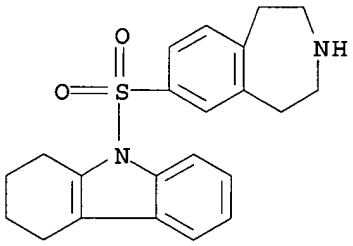
I

AB The title compds. [I; R1 = halo, alkyl, alkoxy, etc.; R2 = alkyl; R3 = H, (un)substituted alkyl; m = 0-3; n = 0-8; J = (un)substituted indol-1-yl, indazol-1-yl, carbazol-9-yl, etc.], useful in the treatment of disorders such like depression, anxiety and Alzheimer's disease, were prepd. Thus, reacting indole with 3-acetyl-2,3,4,5-tetrahydro-1H-benzo[d]azepine-7-sulfonyl chloride followed by N-deacetylation afforded I [R1-R3 = H; J = indol-1-yl]. All exemplified compds. I showed pKi of 7.7-9.7 at human cloned 5-HT6 receptors.

IT **475205-33-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of benzo[d]azepines as 5-HT6 receptor antagonists)

RN 475205-33-5 CAPLUS

CN 1H-Carbazole, 2,3,4,9-tetrahydro-9-[(2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)sulfonyl]- (9CI) (CA INDEX NAME)



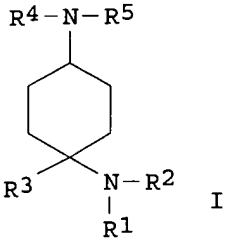
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:868721 CAPLUS
DOCUMENT NUMBER: 137:369761
TITLE: Preparation of cyclohexane-1,4-diamines as regulators of the .mu.-opioid receptor
INVENTOR(S): Friderichs, Elmar Josef; Sundermann, Bernd; Hinze, Claudia; Koegel, Babette-Yvonne
PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany
SOURCE: PCT Int. Appl., 125 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

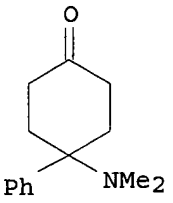
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002089783	A1	20021114	WO 2002-EP5122	20020509

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM,

HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: DE 2001-10123163 A 20010509
OTHER SOURCE(S): MARPAT 137:369761
GI



I



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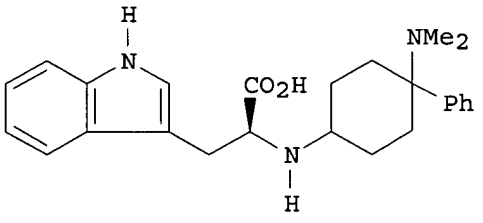


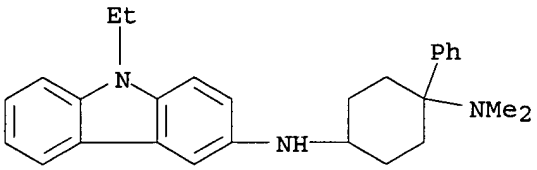
III

AB Title compds. I [R1, R2 = H, alkyl, cycloalkyl, etc. or R1 and R2 together form a ring, e.g., CH2CH2OCH2CH2, (CH2)3-6, CH2CH2NR6CH2CH2; R6 = H, alkyl, cycloalkyl, etc.; R3 = alkyl, cycloalkyl, (un)substituted aryl, etc.; R4 = H, alkyl, C(X)R7; X = O, S; R7 = H, alkyl, cycloalkyl, etc.; R5 = cycloalkyl, aryl, heteroaryl, etc.] and their pharmaceutically acceptable salts were prepd. For example, reductive amination of ketone II, e.g., prepd. from 1,4-dioxaspiro[4.5]decan-8-one in 3-steps, and L-tryptophan Me ester hydrochloride, followed by ester hydrolysis, afforded after chromatog. and workup the calcium salt of the nonpolar diastereomer of diamine III. In .mu.-opioid receptor binding assays, 9-specific examples of compds. I exhibited binding to the receptor with Ki values ranging from 0.0008-0.140 .mu.M, e.g., the Ki of the calcium salt of the nonpolar diastereomer of diamine III = 0.0011 .mu.M. Compds. I may be useful in the treatment of irritable bowel syndrome, diarrhea, peripheral pain, etc.

IT **475097-83-7P**, N'-(9-Ethyl-9H-carbazol-3-yl)-N,N-dimethyl-1-phenylcyclohexan-1,4-diamine Dihydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(drug candidate; prepn. of cyclohexane-1,4-diamines as regulators of the .mu.-opioid receptor)

RN 475097-83-7 CAPLUS

CN 1,4-Cyclohexanediamine, N4-(9-ethyl-9H-carbazol-3-yl)-N1,N1-dimethyl-1-phenyl-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

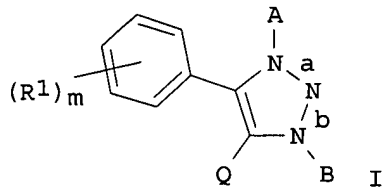
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:849615 CAPLUS
DOCUMENT NUMBER: 137:353030
TITLE: Preparation of 4-aryltriazoles useful in treating diseases associated with unwanted cytokine activity
INVENTOR(S): Tullis, Joshua Spector; Van Rens, John Charles; Clark, Michael Philip; Blass, Benjamin Eric; Natchus, Michael George; De, Biswanath
PATENT ASSIGNEE(S): The Procter & Gamble Company, USA
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088108	A1	20021107	WO 2002-US13074	20020425

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-287639P P 20010430
OTHER SOURCE(S): MARPAT 137:353030
GI



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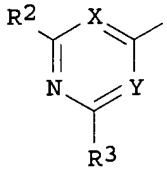

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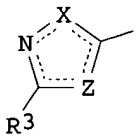


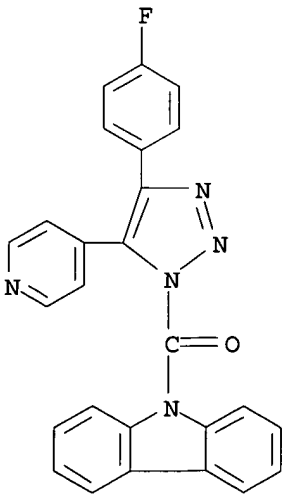
III

AB The present invention relates to 4-aryltriazoles (shown as I; e.g. 4-(4-fluorophenyl)-5-(pyridin-4-yl)-1-(thiophen-2-ylcarbonyl)-1,2,3-triazole) wherein R1 is independently: lower alkyl, lower alkenyl, lower alkynyl, lower heteroalkyl, lower heteroalkenyl, lower heteroalkynyl, heterocycloalkyl, heteroaryl, halo, CN, OR4, SR4, S(O)R4, S(O)2R4, and NR4R5; Q is II or III, and other variables are defined in the claims. Said compds. are useful in treating diseases assocd. with unwanted cytokine activity, inter alia, interleukin-1 (IL-1) and tumor necrosis factor (TNF) from cells, e.g. osteoarthritis, rheumatoid arthritis, and congestive heart failure (no data). Although the methods of prepn. are not claimed, several example prepns. are included and about 150 specific claimed compds. are listed.

IT **474512-50-0P**, 4-(4-Fluorophenyl)-5-(pyridin-4-yl)-1-[(carbazol-9-yl)carbonyl]-1,2,3-triazole
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(drug candidate; prepn. of aryltriazoles useful in treating diseases assocd. with unwanted cytokine activity)

RN 474512-50-0 CAPLUS

CN 9H-Carbazole, 9-[[4-(4-fluorophenyl)-5-(4-pyridinyl)-1H-1,2,3-triazol-1-yl]carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

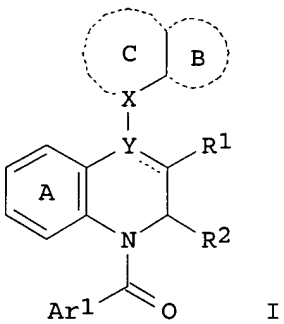
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 11 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:849594 CAPLUS
DOCUMENT NUMBER: 137:353065
TITLE: Preparation of 4-heterocyclylquinoline derivatives as beta-amyloid precursor protein secretion promoters
INVENTOR(S): Kakihana, Mitsuru; Kato, Kaneyoshi; Mori, Masaaki; Yamashita, Toshiro
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 233 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002088087	A1	20021107	WO 2002-JP4148	20020425

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2001-128677 A 20010426
JP 2002-43523 A 20020220
OTHER SOURCE(S): MARPAT 137:353065
GI



I

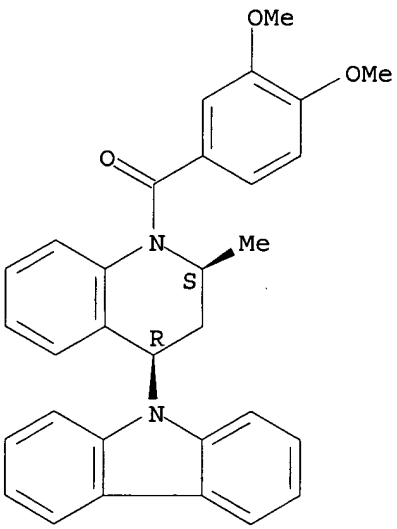
AB Novel compds. represented by the following general formula (I), salts thereof or prodrugs of the same [wherein R1, R2 = H, (un)substituted lower alkyl or HO; or R1 and R2 together with the C atom attached to them form a 4 to 7-membered ring; A1 = (un)substituted arom. group; the ring A = (un)substituted benzene ring; the ring B = (un)substituted arom. ring; the ring C = (un)substituted 4- to 8-membered ring which may be fused with an optionally substituted ring; X = CH or N; the solid line accompanied by a dotted line represents a single or double bond; when it represent a single bond, Y is CH or N; when it represents a double bond, it is C] are prepd. These compds. provide sol. beta-amyloid precursor protein (sol. .beta.APP, sAPP) secretion promoters and/or apoptosis inhibitors which are efficacious in preventing and/or treating neurodegenerative diseases such

as Alzheimer's disease, Parkinson's disease, neuropathy, and senile dementia and nerve cell damages at cerebrovascular disorders. Thus, iodotrimethylsilane was added to a soln. of cis-1-(3,4-dimethoxybenzoyl)-2-methyl-1,2,3,4-tetrahydro-4-quinolinol in CHCl3 under ice-cooling, stirred for 2 h, concd., dissolved in THF, and stirred with 1,2,3,4-tetrahydroquinoline and BaCO3 at room temp. for 48 h to give cis-4-(1,2,3,4-tetrahydroquinolin-1-yl)-1-(3,4-dimethoxybenzoyl)-1,2,3,4-tetrahydroquinoline (II). II was sepd. by HPLC on a CHIRALPAK AD column to give (+)- and (-)-II. (-)-II at 10 nM increased the secretion of sAPP by .apprx.2.2 fold in rat pheochromocytoma PC12h cell line and completely inhibited the apoptosis of PC12h cell caused by the glutamic acid-induced inhibition of the uptake of glutathione.

IT **474537-59-2P**
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); **BIOL (Biological study)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of heterocyclylquinolines as sol. .beta.-amyloid precursor protein secretion promoters and/or apoptosis inhibitors for preventing and/or treating neurodegenerative diseases nerve cell damages at cerebrovascular disorders)

RN 474537-59-2 CAPLUS
CN Quinoline, 4-(9H-carbazol-9-yl)-1-(3,4-dimethoxybenzoyl)-1,2,3,4-tetrahydro-2-methyl-, (2R,4S)-rel-(-)- (9CI) (CA INDEX NAME)

Rotation (-). Absolute stereochemistry unknown.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:849432 CAPLUS
DOCUMENT NUMBER: 137:333132
TITLE: Pharmaceutical combinations based on pyridoindolone derivatives and anticancer agents
INVENTOR(S): Bourrie, Bernard; Casellas, Pierre; Derocq, Jean-Marie
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002087575	A1	20021107	WO 2002-FR1450	20020426

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

FR 2823975	A1	20021031	FR 2001-5843	20010427

PRIORITY APPLN. INFO.: FR 2001-5843 A 20010427

OTHER SOURCE(S): MARPAT 137:333132

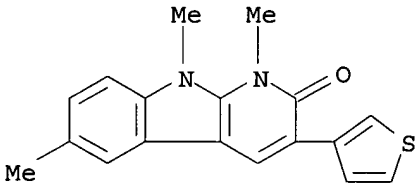
AB The invention concerns the combination of pyridoindolone derivs. with several anticancer agents.

IT **474282-16-1**

RL: THU (Therapeutic use); **BIOL (Biological study)**; USES (Uses) (pharmaceutical combinations based on pyridoindolone derivs. and anticancer agents)

RN 474282-16-1 CAPLUS

CN 2H-Pyrido[2,3-b]indol-2-one, 1,9-dihydro-1,6,9-trimethyl-3-(3-thienyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 13 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:849431 CAPLUS

DOCUMENT NUMBER: 137:346154

TITLE: Use of pyrido[2,3-b]indol-2-one derivatives as anticancer agents

INVENTOR(S): Bourrie, Bernard; Casellas, Pierre; Derocq, Jean-Marie

PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.

SOURCE: PCT Int. Appl., 9 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002087574	A2	20021107	WO 2002-FR1449	20020426

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,

TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

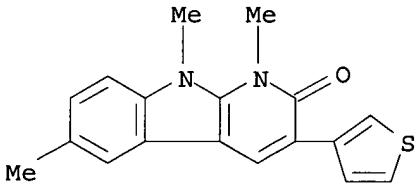
FR 2823975	A1	20021031	FR 2001-5843	20010427

PRIORITY APPLN. INFO.: FR 2001-5843 A 20010427
OTHER SOURCE(S): MARPAT 137:346154

AB 3-Arylpyrido[2,3-b]indol-2-one derivs. [e.g., 6-chloro-1,9-dimethyl-3-phenyl-1,9-dihydro-2H-pyrido[2,3-b]indol-2-one; m.p. 178.5-179.5.degree.] were tested and found to be effective anticancer agents via the MDA-MB-231 cell line.

IT **474282-16-1**
RL: PAC (Pharmacological activity); THU (Therapeutic use); **BIOL (Biological study)**; USES (Uses)
(use of pyrido[2,3-b]indol-2-one derivs. as anticancer agents)

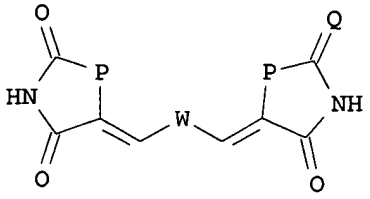
RN 474282-16-1 CAPLUS
CN 2H-Pyrido[2,3-b]indol-2-one, 1,9-dihydro-1,6,9-trimethyl-3-(3-thienyl)-(9CI) (CA INDEX NAME)



L12 ANSWER 14 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:847768 CAPLUS
DOCUMENT NUMBER: 137:346151
TITLE: Bis(hetero-5-membered ring) compounds as telomerase inhibitors and their uses as antitumor agents
INVENTOR(S): Sasho, Setsuya; Komatsu, Kazunori; Kobayashi, Yumiko; Yamashita, Nobunori; Asai, Akiyoshi
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002322161	A2	20021108	JP 2001-127229	20010425

PRIORITY APPLN. INFO.: JP 2001-127229 20010425
GI



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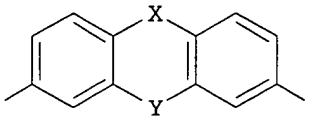

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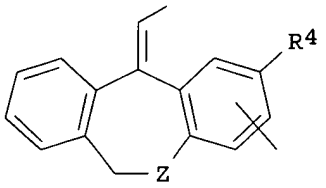
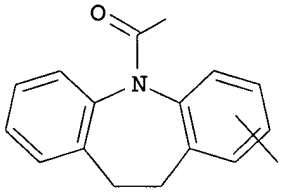


III



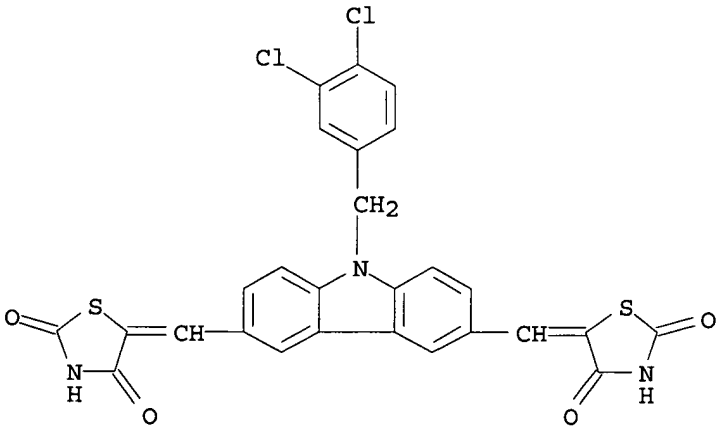
IV

AB The compds. I [W = II [X = NR1, CR2R3; R1 = H, (un)substituted lower alkenyl, (un)substituted aralkyl, (un)substituted heteroarylalkyl; R2, R3 = H, OH, (un)substituted lower alkyl, (un)substituted lower alkoxy, (un)substituted aralkyloxy; if X = NR1, then Y = CH2O, CH2CH2, CH:CH, direct bond; if X = CR2R3, then Y = CH2CH2], III (R4 = H, lower alkyl; Z = O, S), IV; Q = O, S, NH; if W = II or III or W = IV and Q = NH, then P = O, S, or NH; if W = IV and Q = S or O, then P = S or NH] or theor pharmacol. acceptable salts inhibit telomerase and are useful as antitumor agents. IC50 of I (W = II, P = S, Q = O, Y = CH2CH2, X = NCH2C6H3F2-2,6) (prepn. given) was 0.43 .mu.mol/L.

IT **474641-75-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of antitumor bis(hetero-5-membered ring) compds. as telomerase inhibitors)

RN 474641-75-3 CAPLUS

CN 2,4-Thiazolidinedione, 5,5'-[[9-[(3,4-dichlorophenyl)methyl]-9H-carbazole-3,6-diyl]dimethylidyne]bis- (9CI) (CA INDEX NAME)



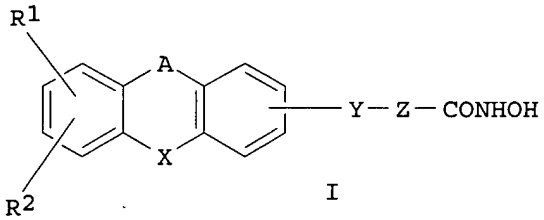
L12 ANSWER 15 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:832779 CAPLUS

09/ 995,324

DOCUMENT NUMBER: 137:337795
TITLE: Preparation of tricyclic alkylhydroxamates as cell proliferation inhibitors
INVENTOR(S): Grossmann, Adelbert; Von der Saal, Wolfgang; Sattelkau, Tim; Tibes, Ulrich
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085883	A1	20021031	WO 2002-EP4349	20020419
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002183513	A1	20021205	US 2002-127100	20020422

PRIORITY APPLN. INFO.: EP 2001-109428 A 20010423
OTHER SOURCE(S): MARPAT 137:337795
GI

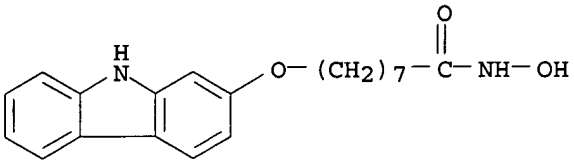


I

AB Tricyclic alkylhydroxamates [I; wherein A = bond, CH2O, CH2S, CH2CH2, NHCO; X = amino, C(:O), CH(OH); Y = O, S, amino; Z = (substituted) (C4-C8)alkylene; R1, R2, independently = H, halogen, (C1-C4)alkyl, CF3, OH, benzyloxy, (C1-C4)alkoxy, etc.] were prepd. For example, 7-(9H-carbazol-2-yloxy)heptanoic acid hydroxyamide, 1, was prepd. by a multistep procedure. The prepd. compds. have histone deacetylase (HDAC) inhibitor activity, and are inhibitors of cell proliferation. For example, compd. 1 exhibits 100% inhibition of HDAC at a concn. of 10 nM, using an aminocoumarin deriv. of an omega-acetylated lysine as substrate for the enzyme.

IT **473919-31-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of tricyclic alkylhydroxamates as cell proliferation inhibitors)

RN 473919-31-2 CAPLUS
CN Octanamide, 8-(9H-carbazol-2-yloxy)-N-hydroxy- (9CI) (CA INDEX NAME)

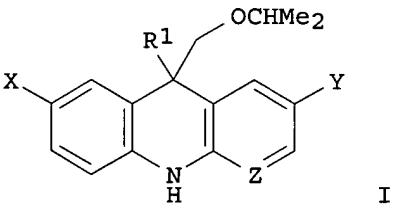


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 16 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:832620 CAPLUS
DOCUMENT NUMBER: 137:337872
TITLE: Tricyclic compounds useful as HIV reverse transcriptase inhibitors
INVENTOR(S): Johnson, Barry L.; Rodgers, James D.; Lin, Qiyan; Srivastava, Anurag S.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085365	A1	20021031	WO 2002-US12208	20020417
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002177603	A1	20021128	US 2002-124105	20020417

PRIORITY APPLN. INFO.: US 2001-284818P P 20010419
OTHER SOURCE(S): MARPAT 137:337872
GI

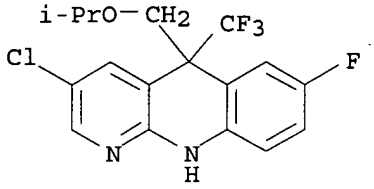


I

AB Tricyclic compds. I [R1 = alkyl, haloalkyl; X, Y = F, Cl, Br, I, CN; Z = N, N(O)] and their stereoisomers were prepd. for use as inhibitors of HIV reverse transcriptase in treating viral infection or as assay std. or reagents in diagnostic kits (no data). Thus, 7-fluoro-5-trifluoromethylbenzo[b][1,8]naphthyridine was reductively cyanated, the nitrile group reduced to formyl which was converted to its diisopropylacetal, followed by reductive deisopropoxylation and chlorination to give I [R1 = CF3, X = F, Y = Cl, Z = N].

09/ 995,324

IT **473893-37-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); **BIOL (Biological study)**; **BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of polyfluoroalkyl(isopropoxymethyl)benzonaphthyridines as HIV reverse transcriptase inhibitors)
RN 473893-37-7 CAPLUS
CN Benzo[b][1,8]naphthyridine, 3-chloro-7-fluoro-1,5-dihydro-5-[(1-methylethoxy)methyl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



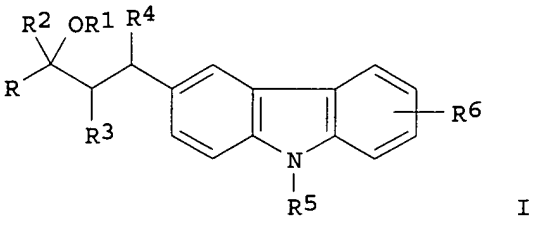
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 17 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:831832 CAPLUS
DOCUMENT NUMBER: 137:337778
TITLE: Substituted carbazoles as tubulin polymerization inhibitors and their use for the treatment of cancer
INVENTOR(S): Caulfield, Thomas; Cherrier, Marie-Pierre; Combeau, Cecile; Mailliet, Patrick
PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.
SOURCE: Eur. Pat. Appl., 11 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1253141	A1	20021030	EP 2001-401097	20010427

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRIORITY APPLN. INFO.: EP 2001-401097 20010427
GI



I

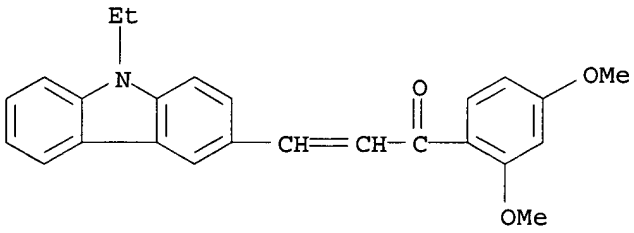
AB New alkoxyphenylcarbazolylpropen-1-ones I [R = (un)substituted Ph; R1 = R2 = H; R1R2 = bond; R3 = R4 = H; R3R4 = bond; R5 = alkyl; R6 = H, halogen, alkly, alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyl, (un)substituted NH2] were prepd. for use as tubulin polymn. and vascularization-inhibiting compds. in the treatment of cancer. Thus, 2,4-(MeO)2C6H3COMe was treated

with 9-ethyl-9H-carbazole-2-carboxaldehyde to give I [R = 2,4-(MeO)2C6H3, R1R2, R3R4 = bond, R5 = Et, R6 = H] which had IC50 for tubulin polymn. inhibition of 2 .mu.M.

IT **473915-35-4P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); **BIOL (Biological study)**; **BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of alkoxyphenylcarbazolylpropenones as tubulin polymn. inhibitors in treatment of cancer)

RN 473915-35-4 CAPLUS

CN 2-Propen-1-one, 1-(2,4-dimethoxyphenyl)-3-(9-ethyl-9H-carbazol-3-yl)- (9CI) (CA INDEX NAME)

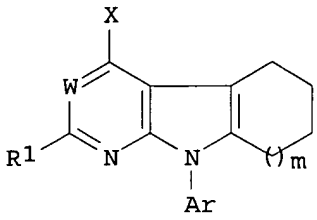


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

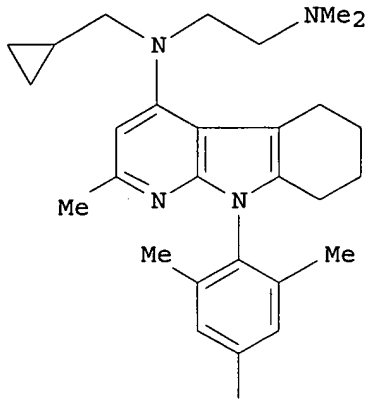
L12 ANSWER 18 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:830255 CAPLUS
DOCUMENT NUMBER: 137:325406
TITLE: Preparation of aminoalkyl-substituted pyridino[2,3-b]indole and pyrimidino[4,5-b]indole derivatives as CRF1 specific ligands
INVENTOR(S): Horvath, Raymond F.; Darrow, James W.; Maynard, George D.
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: U.S., 21 pp., Cont.-in-part of U.S. 6,291,473.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6472402	B1	20021029	US 1999-408613	19990930
US 6291473	B1	20010918	US 1999-283723	19990401

PRIORITY APPLN. INFO.: US 1998-80410P P 19980402
US 1999-283723 A2 19990401
OTHER SOURCE(S): MARPAT 137:325406
GI



I



II

AB Title compds. I [Ar = Ph, naphthyl, pyridyl, pyrimidinyl, halo, CF3, OH, amino, carboxamido, alkyl, alkoxy, with the proviso that at least one of the positions ortho or para to the point of attachment of Ar to the tricyclic ring system is substituted; R1 = H, halo, CF3, alkyl; R3 = H, alkyl; m = 0-2; X = substituted amino] were prepd. For instance, 2-Amino-4,5,6,7-tetrahydro-1-phenyl-1H-indole-3-carbonitrile (prepn. given) was subjected to the following sequence: i. 1,2-dichloroethane (DCE), 2-methoxypropene, pTsOH, reflux, 1 h; ii. DCE, c-C3H7COCl, (i-Pr)2NEt, reflux; iv. THF, BH3.bul.SMe2, reflux, 8 h; v. DCE, ClCOCH2Cl, reflux, 4 h; vi. THF, BH3.bul.SMe2, reflux, 1 h and vii. NMP, Me2NH, 80.degree., 10 h (bomb) to afford II. Example compds. had IC50 in the range of 0.5 nM to 10 .mu.M for the CRF1 receptor. I are useful for the treatment of anxiety, depression, etc.

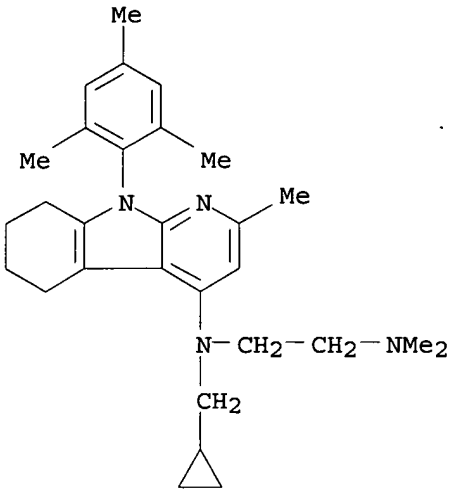
IT **473664-55-0P**, 4-[N-(2-Dimethylaminoethyl)-N-(cyclopropylmethyl)amino]-2-methyl-9-(2,4,6-trimethylphenyl)-5,6,7,8-tetrahydro-9H-pyridino[2,3-b]indole sulfate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of aminoalkyl-substituted pyridino[2,3-b]indole and pyrimidino[4,5-b]indole derivs. as CRF1 specific ligands)

RN 473664-55-0 CAPLUS

CN 1,2-Ethanediamine, N-(cyclopropylmethyl)-N',N'-dimethyl-N-[6,7,8,9-tetrahydro-2-methyl-9-(2,4,6-trimethylphenyl)-5H-pyrido[2,3-b]indol-4-yl]-, sulfate (1:1) (9CI) (CA INDEX NAME)

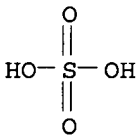
CM 1

CRN 245549-35-3
CMF C29 H40 N4



CM 2

CRN 7664-93-9
CMF H2 O4 S



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 19 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:826913 CAPLUS
TITLE: Property-Based Design of GPCR-Targeted Library
AUTHOR(S): Balakin, Konstantin V.; Tkachenko, Sergey E.; Lang, Stanley A.; Okun, Ilya; Ivashchenko, Andrey A.; Savchuk, Nikolay P.
CORPORATE SOURCE: Chemical Diversity Labs Inc., San Diego, CA, 92121, USA
SOURCE: Journal of Chemical Information and Computer Sciences (2002), 42(6), 1332-1342
CODEN: JCISD8; ISSN: 0095-2338
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The design of a GPCR-targeted library, based on a scoring scheme for the classification of mols. into "GPCR-ligand-like" and "non-GPCR-ligand-like", is outlined. The methodol. is a valuable tool that can aid in the selection and prioritization of potential GPCR ligands for bioscreening from large collections of compds. It is based on the distn. of knowledge from large databases of GPCR and non-GPCR active agents. The method employed a set of descriptors for encoding the mol. structures and by training of a neural network for classifying the mols. The mol. requirements were profiled and validated by using available databases of GPCR- and non-GPCR-active agents. The method enables efficient qualification or disqualification of a mol. as a potential GPCR ligand and

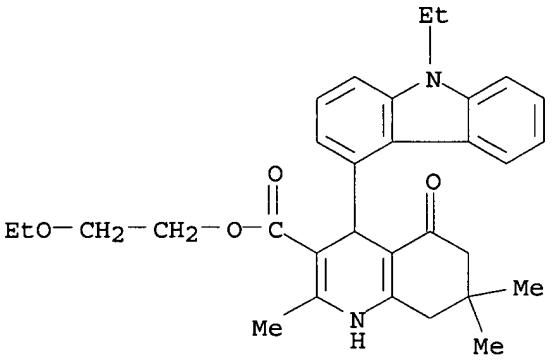
represents a useful tool for constraining the size of GPCR-targeted libraries that will help speed up the development of new GPCR-active drugs.

IT INDEXING IN PROGRESS

IT **478932-84-2**
RL: PAC (Pharmacological activity); THU (Therapeutic use); **BIOL (Biological study)**; USES (Uses)
(property-based design of GPCR-targeted library)

RN 478932-84-2 CAPLUS

CN INDEX NAME NOT YET ASSIGNED



REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 20 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:782633 CAPLUS

DOCUMENT NUMBER: 138:29117

TITLE: Medicinal preparation for parenteral usage

INVENTOR(S): Alekseeva, L. E.; Kovalenko, A. L.

PATENT ASSIGNEE(S): Obshchestvo s Ogranichennoi Otvetstvennost'yu Nauchno-Tekhnologicheskaya Farmatsevticheskaya Firma "POLISAN", Russia

SOURCE: Russ., No pp. given
CODEN: RUXXE7

DOCUMENT TYPE: Patent

LANGUAGE: Russian

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RU 2182004	C1	20020510	RU 2001-104859	20010222

PRIORITY APPLN. INFO.: RU 2001-104859 20010222

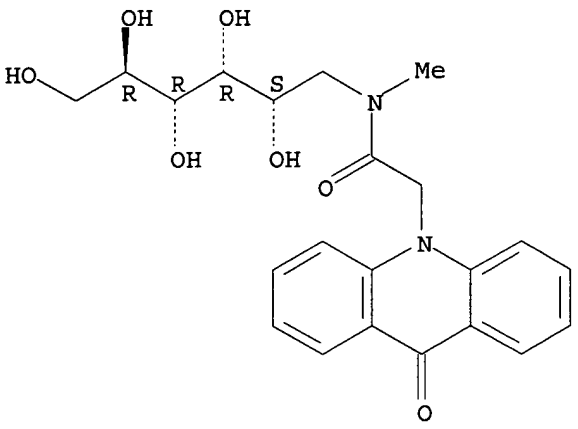
AB The prepn. contains as an active substance 1-desoxy-1-N-[methyl-(2-acridon-9-on-10-yl-acetate)]-ammonium-D-glucitol (I), and as a stabilizer N-methylglucamine (II), as a solvent, water for injections (III) at the following ratio of components, wt.%: I: 8.5-25.0%, II: 0.05- 1.00%, III: up to 100%. There is increased biol. activity of the prepn. and stability of its medicinal form during prodn. and storage processes.

IT **477836-36-5**
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); **BIOL (Biological study)**; PROC (Process); USES (Uses)
(medicinal prepn. for parenteral usage)

RN 477836-36-5 CAPLUS

CN D-Glucitol, 1-deoxy-1-[methyl[(9-oxo-10(9H)-acridinyl)acetyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 21 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:777927 CAPLUS
DOCUMENT NUMBER: 137:290986
TITLE: Viologen linked acridine based molecule and process for the preparation thereof
INVENTOR(S): Danaboyina, Ramaiah; Nadukkudy, Varghese Eldho; Joshy, Joseph
PATENT ASSIGNEE(S): Council of Scientific and Industrial Research, India
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002079191	A1	20021010	WO 2001-IN67	20010330

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: WO 2001-IN67 20010330
OTHER SOURCE(S): MARPAT 137:290986

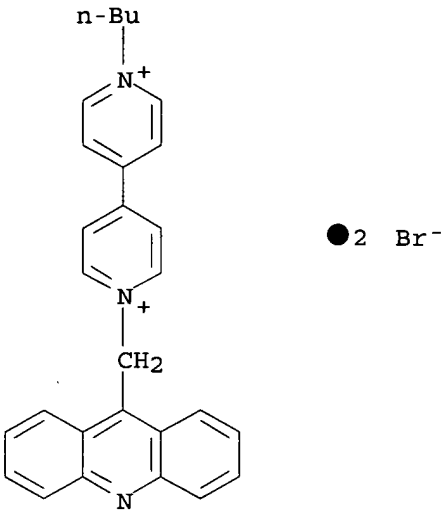
AB Bifunctional mols. based on viologen-linked acridines or derivs. thereof, which can be used as phototherapeutic and catalytic photoactivated DNA cleaving agents, and a process for their prepn. are claimed. 1-[(Acridin-9-yl)methyl]-1'-butyl-4,4'-bipyridinium dibromide, for example, is shown to induce DNA photodamage through photoinduced electron transfer.

IT **467419-11-0P**
RL: NUU (Other use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(viologen-linked acridines as catalytic photoactivated DNA cleaving agents)

RN 467419-11-0 CAPLUS

09/ 995,324

CN 4,4'-Bipyridinium, 1-(9-acridinylmethyl)-1'-butyl-, dibromide (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 22 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:777892 CAPLUS
DOCUMENT NUMBER: 137:279090
TITLE: Substituted carbazoles as inhibitors of sPLA2
INVENTOR(S): Harper, Richard Waltz; Lin, Ho-Shen; Richett, Michael Enrico
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002079154	A1	20021010	WO 2002-US6636	20020315

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

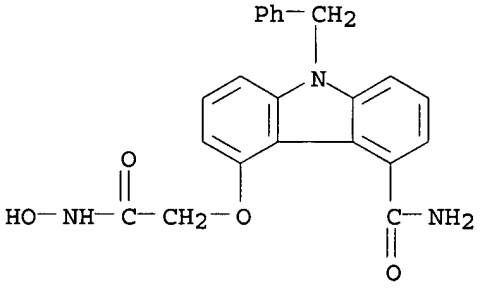
PRIORITY APPLN. INFO.: US 2001-279300P P 20010328
OTHER SOURCE(S): CASREACT 137:279090; MARPAT 137:279090
AB Carbazoles with hydroxy-functional amide (hydroxamic or esters) are disclosed together with using such compds. for inhibiting sPLA2 mediated release of fatty acids for treatment of conditions such as septic shock. Seven carbazoles, N-alkoxy-N-(5-carbamoyl-9-benzyl-4-carbazolyloxy)acetamides (alkoxy = MeO, EtO, PhCH2O), their derivs. and analogs, were prepd. by amidation of 9-benzyl-5-carbamoyl-4-carbazolylacetic acid sodium salt with O-alkoxy hydroxylamine

hydrochlorides in 50-88% yields. The carbazoles gave IC50 (nM) values of 12.0-29.0 against sPLA2.

IT **466635-42-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. of carbazolyloxyacetamide sPLA2 inhibitors)

RN 466635-42-7 CAPLUS

CN 9H-Carbazole-4-carboxamide, 5-[2-(hydroxyamino)-2-oxoethoxy]-9-(phenylmethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 23 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:777702 CAPLUS
DOCUMENT NUMBER: 137:273187
TITLE: Succinimide and maleimide derivatives and their use as topoisomerase II catalytic inhibitors
INVENTOR(S): Jensen, Peter Buhl; Sokilde, Birgitte; Carstensen, Elisabeth Vang; Langer, Seppo W.; Creighton, Andrew; Sehested, Maxvell; Jensen, Lars Hollund
PATENT ASSIGNEE(S): Topo Target Aps, Den.
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002078679	A2	20021010	WO 2002-DK213	20020327

W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

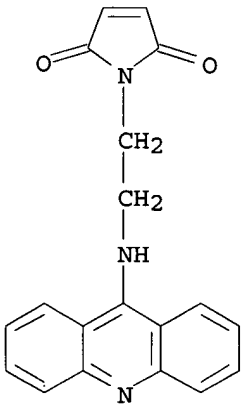
PRIORITY APPLN. INFO.: DK 2001-522 A 20010329
OTHER SOURCE(S): MARPAT 137:273187

AB Maleimide and succinimide derivs. were effective topoisomerase II catalytic inhibitors. Due to this property, the maleimide and succinimide derivs. were investigated for their use as cytostatic agents and thus in the treatment of cancer. The compds. of the invention can be used in combination treatments with other cytostatic agents, such as topoisomerase II poisons. The maleimide and succinimide derivs., due to their effective

topoisomerase II catalytic inhibitory activity, are also useful as extravasation agents, such as upon administration of a topoisomerase II poison.

IT **466640-64-2D**, derivs.
RL: PAC (Pharmacological activity); THU (Therapeutic use); **BIOL (Biological study)**; USES (Uses)
(succinimide and maleimide derivs. and use as topoisomerase II catalytic inhibitors for treatment of cancer and as extravasation agents and combination with other cytostatic agents)

RN 466640-64-2 CAPLUS
CN 1H-Pyrrole-2,5-dione, 1-[2-(9-acridinylamino)ethyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 24 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:754544 CAPLUS
DOCUMENT NUMBER: 137:275021
TITLE: Oligonucleotide conjugates with aromatic groups for binding to telomerase RNA and inhibition of human telomerase
INVENTOR(S): Gryaznov, Sergei; Pongracz, Krisztina; Tolman, Richard L.; Morin, Gregg B.
PATENT ASSIGNEE(S): Geron Corporation, USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002077184	A2	20021003	WO 2002-US9138	20020321

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-278322P P 20010323

AB Oligonucleotide conjugates, where an oligonucleotide is covalently attached to an arom. system are provided. In particular embodiments, the

oligonucleotide, complementary to the RNA component of telomerase, is attached to a fluorophore. The conjugates inhibit telomerase enzyme activity. Thus, thiophosphoramidate-linked 5'-TTAGGG-3' conjugated with fluorescein exhibited an IC50 of 3 nM in in vitro telomerase assays. In HME50 and Caki-1 cells this conjugate had an IC50 of 5 .mu.M.

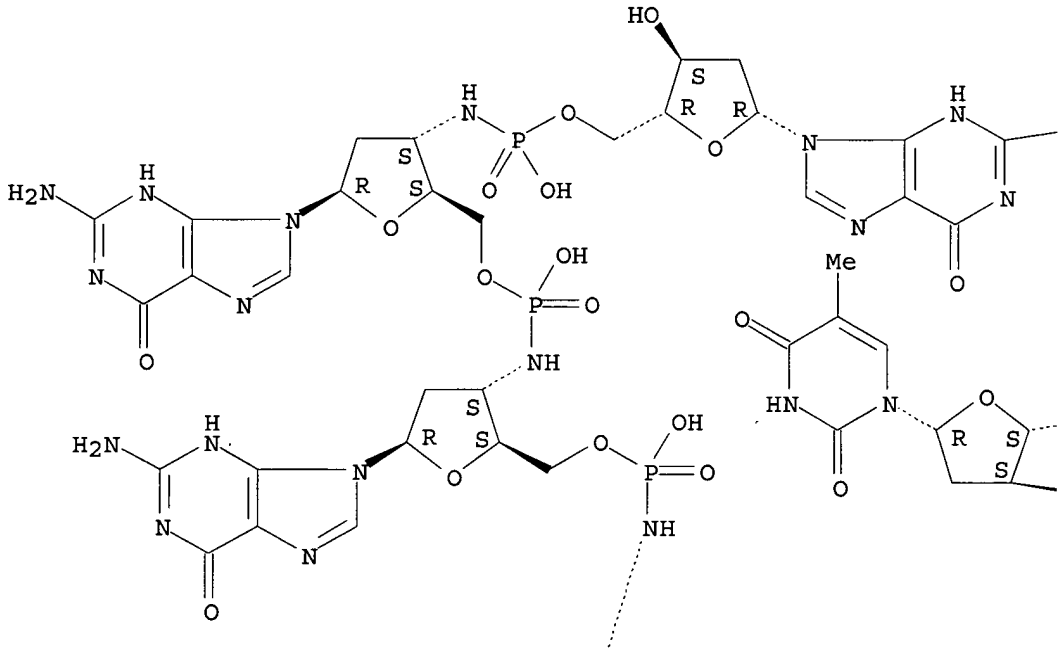
IT **467213-41-8**
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); **BIOL (Biological study)**; USES (Uses)
(oligonucleotide conjugates with arom. groups for binding to telomerase RNA and inhibition of human telomerase)

RN 467213-41-8 CAPLUS

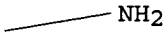
CN Guanosine, 3'-amino-5'-O-[[[6-[(6-chloro-9,10-dihydro-2-methoxy-9-acridinyl)amino]-2-(hydroxymethyl)hexyl]oxy]hydroxyphosphinyl]-3'-deoxythymidylyl-(3'.fwdarw.5')-3'-amino-3'-deoxythymidylyl-(3'.fwdarw.5')-3'-amino-2',3'-dideoxyadenylyl-(3'.fwdarw.5')-3'-amino-2',3'-dideoxyguanylyl-(3'.fwdarw.5')-3'-amino-2',3'-dideoxyguanylyl-(3'.fwdarw.5')-2'-deoxy- (9CI) (CA INDEX NAME)

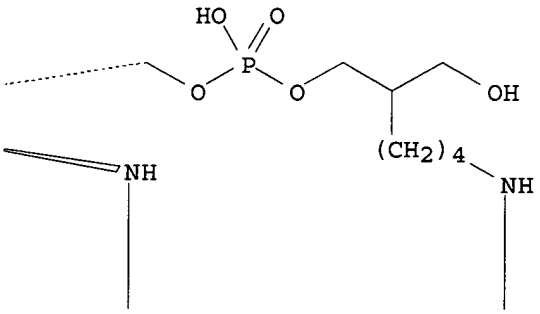
Absolute stereochemistry.

PAGE 1-A

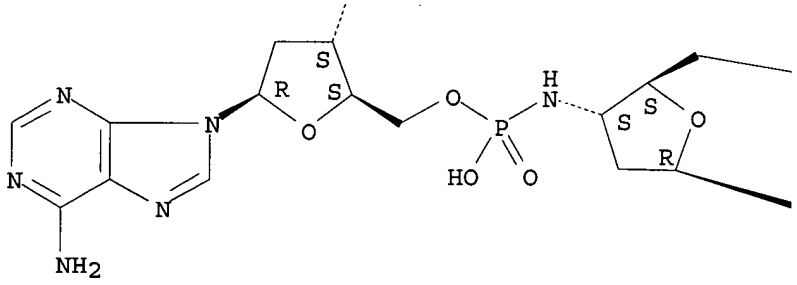


PAGE 1-B

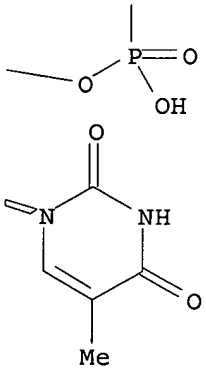


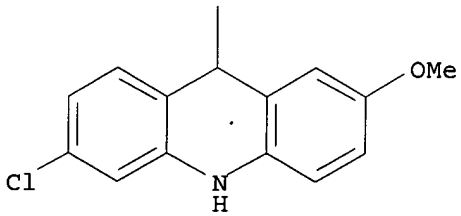


PAGE 2-A



PAGE 2-B





L12 ANSWER 25 OF 156 CAPLUS COPYRIGHT 2003 ACS

09/ 995,324

ACCESSION NUMBER: 2002:754195 CAPLUS
DOCUMENT NUMBER: 137:257697
TITLE: Compounds capable of modulating the activity of multidrug transporters, and therapeutic use
INVENTOR(S): Gudkov, Andrei; Kondratov, Roman
PATENT ASSIGNEE(S): The Board of Trustees of the University of Illinois, USA
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002076439	A2	20021003	WO 2002-US8896	20020322

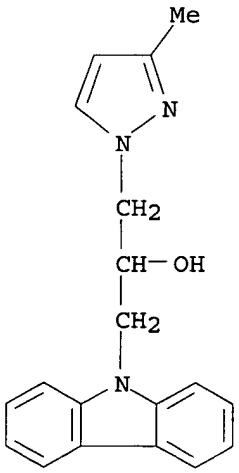
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-278218P P 20010323
US 2001-300023P P 20010621

AB Methods of modulating the activity of multidrug transporters are disclosed. The methods use compds. that selectively increase or decrease the efflux capabilities of the multidrug transporter. The methods can be used therapeutically to enhance performance of therapeutic drugs, e.g. chemotherapeutic drugs and antibiotics; to promote detoxification of cells and tissues; and to increase or decrease the efficacy of the blood-brain barrier or placental barrier.

IT **463934-53-4**
RL: PAC (Pharmacological activity); THU (Therapeutic use); **BIOL (Biological study)**; USES (Uses)
(compds. modulating activity of multidrug transporters, and therapeutic use)

RN 463934-53-4 CAPLUS
CN 9H-Carbazole-9-ethanol, .alpha.-[(3-methyl-1H-pyrazol-1-yl)methyl]- (9CI) (CA INDEX NAME)

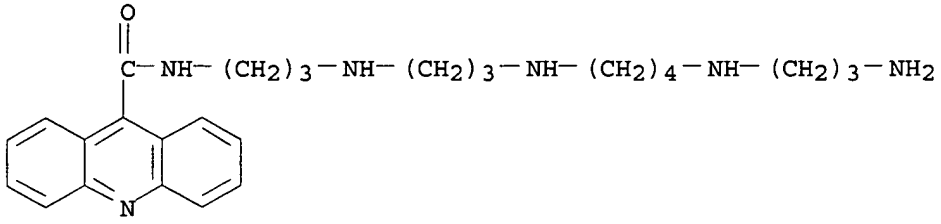


L12 ANSWER 26 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:743728 CAPLUS
DOCUMENT NUMBER: 138:150
TITLE: Effect of Spermine Conjugation on the Cytotoxicity and Cellular Transport of Acridine
AUTHOR(S): Delcros, Jean-Guy; Tomasi, Sophie; Carrington, Simon; Martin, Benedicte; Renault, Jacques; Blagbrough, Ian S.; Uriac, Philippe
CORPORATE SOURCE: Faculte de Medecine, Groupe de Recherche en Therapeutiques Anticancereuses, Universite Rennes 1, UPR ESA CNRS 6027, Rennes, 35043, Fr.
SOURCE: Journal of Medicinal Chemistry (2002), 45(23), 5098-5111
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Polyamines are believed to be potent vectors for the selective delivery of chemotherapeutic agents into cancer cells. In this paper, we report the effect of spermine conjugation on the cytotoxic and transport properties of acridine. Six derivs., composed of a spermine chain attached at its N1 position to an acridine via an aliph. chain, were synthesized. The aliph. linker, comprised of 3-5 methylene units, was connected to the position-9 of the heterocycle through either an amide or an amine linkage. Independently of their architecture, all ligands showed a high affinity for DNA binding but a limited DNA sequence selectivity. In a whole cell assay with L1210 and Chinese hamster ovary (CHO) cells, the aminoacridines (IC50 values around 2 .mu.M) were more potent than the amidoacridines (IC50 values between 20 and 40 .mu.M). This was related to a less efficient transport for the latter. As detd. from competitive uptake studies with [14C]spermidine, all conjugates had a high affinity for the polyamine transport system (PTS). However, on the basis of competitive studies with an excess of spermidine and on the differential effect on cell growth and accumulation in CHO and in the mutant PTS deficient CHO-MG cells, the accumulation of the conjugates through the PTS was poor but still more efficient for the aminoacridines. .alpha.-Difluoromethylornithine (DFMO), an inhibitor of ornithine decarboxylase, which induces an up-regulation of the activity of the PTS, enhanced accumulation of all acridine conjugates through the PTS and had a synergistic effect on the potency of the acridine conjugates to inhibit cell growth. Despite their high affinity for the PTS, the low amt. of derivs. transiting through the PTS is likely to be related to their ability to repress rapidly and efficiently the activity of the PTS and, consequently, to inhibit their own uptake via this system.

IT **476447-02-6P**
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(spermine conjugation on cytotoxicity and cellular transport of acridine)

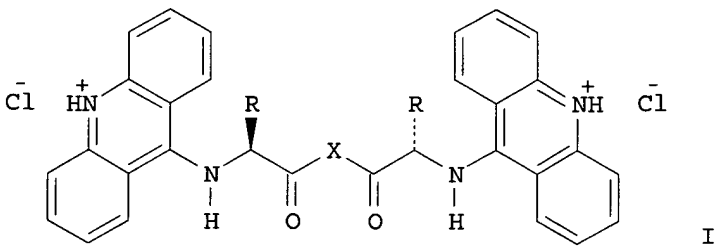
RN 476447-02-6 CAPLUS
CN 9-Acridinecarboxamide, N-[3-[[3-[[4-[(3-aminopropyl)amino]butyl]amino]propyl]amino]propyl]-, pentahydrochloride (9CI) (CA INDEX NAME)



●5 HCl

REFERENCE COUNT: 77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 27 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:720451 CAPLUS
DOCUMENT NUMBER: 137:232535
TITLE: Bis-acridinylated derivatives of bis-aminoacyldiamines
AUTHOR(S): Lyakhov, S. A.; Suveizdis, Ya. I.; Khomenko, O. A.; Mazepa, A. V.; Litvinova, L. A.; Andronati, S. A.
CORPORATE SOURCE: Fiz.-Khim. Inst. im. A. V. Bogatskogo, NAN Ukr., Odessa, Ukraine
SOURCE: Ukrainskii Khimicheskii Zhurnal (Russian Edition) (2001), 67(5-6), 36-39
CODEN: UKZHAU; ISSN: 0041-6045
PUBLISHER: Institut Obshchei i Neorganicheskoi Khimii im. V. I. Vernadskogo NAN Ukrainy
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI

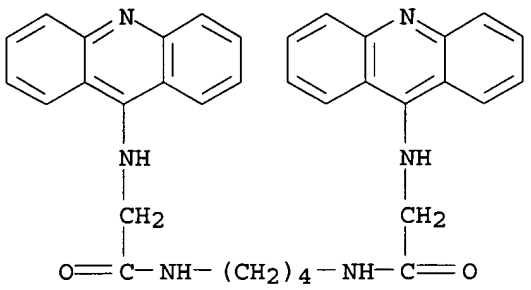


I

AB Bis[(acridin-9-yl)aminoacyl]diamine dihydrochlorides I [R = H, Me, Me2CH, PhCH2, etc.; X = HN(CH2)2NH, HN(CH2)6NH, 1,4-piperazino, etc.] were prepd. via a routine procedure of peptide synthesis followed by condensation with 9-methoxyacridine. All compds. I demonstrated the cytostatic activity towards the side-root growth. The cytotoxic activity of alanine-based compds. I (R = Me; X = HNCH2CMe2CH2NH, HN(CH2)4NH, HN(CH2)6NH) exceeded that of the known cytostatic 1,6-bis(acridin-9-ylamino)hexane.

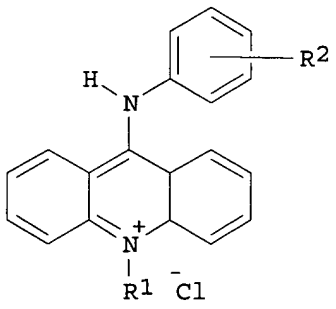
IT **459165-97-0P**
RL: AGR (Agricultural use); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of root cytotoxic bis(acridinylaminoacetyl)diamines)

RN 459165-97-0 CAPLUS
CN Acetamide, N,N'-1,4-butanediylbis[2-(9-acridinylamino)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

L12 ANSWER 28 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:719880 CAPLUS
DOCUMENT NUMBER: 137:232532
TITLE: Synthesis and cytostatic activity of (9-anilino)-10-alkylacridinium salts
AUTHOR(S): Suveyzdis, Ya. I.; Kostenchuk, M. N.; Rusakova, M. Yu.
CORPORATE SOURCE: Fiz.-Khim. Inst. im . A. V. Bogatskogo, NAN, Ukraine
SOURCE: Farmatsevtichnii Zhurnal (Kiev) (2000), (5), 59-64
CODEN: FRZKAP; ISSN: 0367-3057
PUBLISHER: Zdorov'ya
DOCUMENT TYPE: Journal
LANGUAGE: Ukrainian
GI



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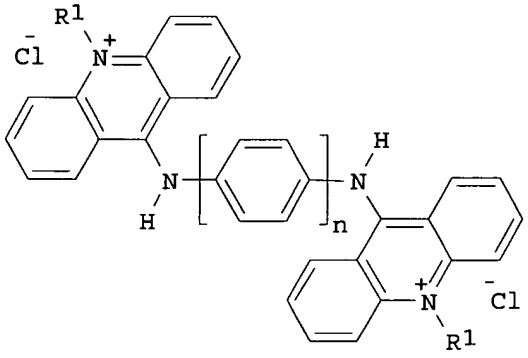


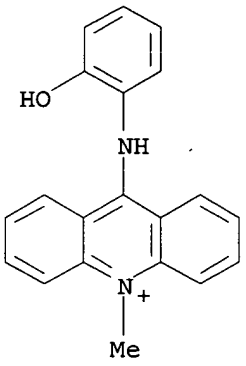
II

AB A series of (9-anilino)-10-alkylacridinium salts I (R1 = Me, Et, hexyl, etc.; R2 = H, 4-Me, 2-Br, 3-HO2C, 3-O2N, etc.) and bis(acridinium salts) II (n = 1, 2) were synthesized by alkylation of acridone followed with chlorodeoxygenation of the obtained 10-alkylacridones and condensation with aryl amines. The root cytostatic activity of I and II was investigated. The effect of a substituent at the aniline ring on the cytotoxicity exceeded that of a 9-acridinium substituent, with the most cytotoxic compds. being I (R2 = 4-Me, 4-Br).

IT **457055-56-0P**
RL: AGR (Agricultural use); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of root cytotoxic (phenylamino)alkylacridinium salts)

RN 457055-56-0 CAPLUS

CN Acridinium, 9-[(2-hydroxyphenyl)amino]-10-methyl-, chloride (9CI) (CA INDEX NAME)



Cl-

L12 ANSWER 29 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:716267 CAPLUS

DOCUMENT NUMBER: 137:247716

TITLE: Preparation and use of substituted piperazine/piperidine derivatives as H receptor antagonists

INVENTOR(S): Rosenblum, Stuart B.; Zeng, Qingbei; Mutahi, Mwangi Wa; Aslanian, Robert G.; Ting, Pauline C.; Shih, Neng-Yang; Solomon, Daniel M.; Cao, Jianhua; Vaccaro, Henry A.; McCormick, Kevin D.; Baldwin, John J.; Li, Ge

PATENT ASSIGNEE(S): Schering Corporation, USA; Pharmacopeia, Inc.

SOURCE: PCT Int. Appl., 112 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

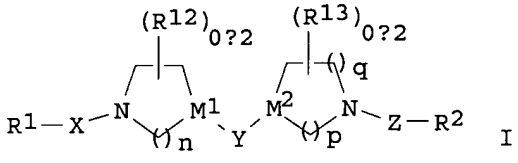
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

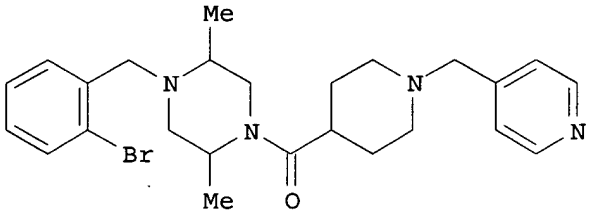
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072570	A2	20020919	WO 2002-US7106	20020311

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD,

MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SE, SG, SI, SK,
SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VN, YU, ZA, ZM, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 2001-275417P P 20010313
OTHER SOURCE(S): MARPAT 137:247716
GI



I



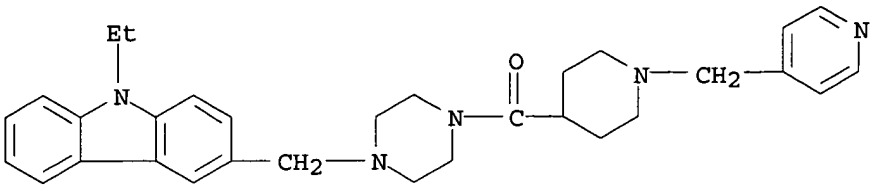
II

AB Title compds. I [R = (hetero)aryl, heterocycloalkyl, alkyl, carboxamido, etc.; X = alkyl, S(O)2; Y = bond, CO, CS, alkyl, amido, etc.; M = C, N; Z = alkyl, SO2, CO, carboxamido; R = 5-6 membered heteroaryl, alkyl, aryl, etc.; R = alkyl, OH, alkoxy, F, etc.; n, p, q = 1-3; with some provisions] were prepd. For instance, 2,5-dimethylpiperazine was alkylated with 2-bromobenzaldehyde (CH2Cl2, NaHB(OAc)3) and subsequently acylated with N-Boc-isonipecotic acid (CH2Cl2, PyBOP, i-Pr2NEt). The resulting intermediate was deprotected and reductively alkylated with pyridine-4-carboxaldehyde to afford. Selected example compds. had Ki within 0.2 and 600 nM for the H3 receptor. : I, alone and in combination with a H1 receptor antagonist, are used for the treatment of various diseases or conditions, such as, allergy, allergy-induced airway responses and congestion (e.g., nasal congestion).

IT **460093-19-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(H3 receptor antagonist; prepn. and use of substituted piperazine/piperidine derivs. as H receptor antagonists)

RN 460093-19-0 CAPLUS

CN Piperazine, 1-[(9-ethyl-9H-carbazol-3-yl)methyl]-4-[[1-(4-pyridinylmethyl)-4-piperidinyl]carbonyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 30 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:716129 CAPLUS
DOCUMENT NUMBER: 137:268424
TITLE: Gene carriers with the use of polysaccharide and process for producing the same
INVENTOR(S): Kimura, Taro; Mizu, Masami; Sakurai, Kazuo; Shinkai, Seiji; Koumoto, Kazuya
PATENT ASSIGNEE(S): Japan Science and Technology Corporation, Japan
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072152	A1	20020919	WO 2002-JP2228	20020311

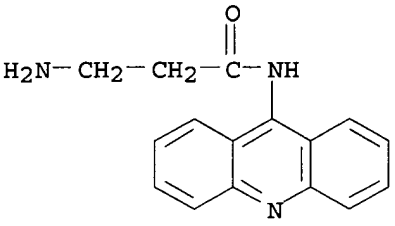
W: AU, JP, US
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

PRIORITY APPLN. INFO.: JP 2001-69655 A 20010313
JP 2001-130705 A 20010427

AB Disclosed are gene carriers with the use of .beta.-1,3-glucans. A .beta.-1,3-glucan has at least one 1,6-glucopyranoside branch in its repeating unit. It is chem. modified by oxidn. with periodic acid and reductive amination, etc. to thereby acquire a functional group bonding to nucleic acid (for example, a cationic functional group) at least in a part of its branch. By dissolving in a polar org. solvent, .beta.-1,3-glucan triple helix is disassembled into single strands. By replacing the polar org. solvent contg. the chem. modified single-stranded .beta.-1,3-glucan by water, a complex (gene carrier) composed of the acid bonded to the double-stranded .beta.-1,3-glucan is formed. Schizofiran was modified with 2-aminoethanol and its dimethylsulfoxide soln. was mixed with poly(C) buffer soln. to obtain a conjugate.

IT **460083-08-3DP**, reaction products with schizofiran, conjugates with nucleic acids
RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(gene carriers contg. .beta.-1,3-glucan derivs.)

RN 460083-08-3 CAPLUS
CN Propanamide, N-9-acridinyl-3-amino- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 45 THERE ARE 45 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 31 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:716087 CAPLUS
DOCUMENT NUMBER: 137:232569
TITLE: Preparation of acridinylpropanediaminess as stimulators of Fas-mediated apoptosis
INVENTOR(S): Villar, Hugo O.; Laborde, Edgardo
PATENT ASSIGNEE(S): Telik, Inc., USA
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

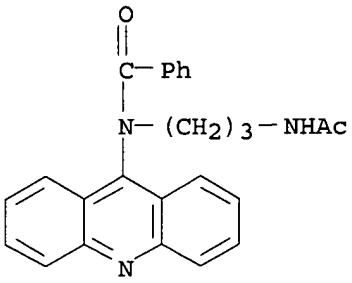
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002072096	A1	20020919	WO 2002-US7031	20020307
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002169183	A1	20021114	US 2002-82801	20020222

PRIORITY APPLN. INFO.: US 2001-274535P P 20010308
OTHER SOURCE(S): MARPAT 137:232569

AB R2R3N(CH2)3NR4R5 [R2 = (un)substituted 9-acridinyl; R3-R5 = H, alkyl, alkanoyl, aryl, etc.] were prepd. Thus, 9-chloroacridine was aminated by H2N(CH2)3NEt2 to give R2NH(CH2)3NEt2 (I; R2 = 9-acridinyl). Data for biol. activity of I were given.

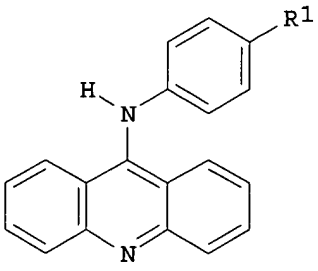
IT **459124-12-0P**, 9-[(3-Acetylaminopropyl)(benzoyl)amino]acridine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of acridinylpropanediaminess as stimulators of Fas-mediated apoptosis)

RN 459124-12-0 CAPLUS
CN Benzamide, N-[3-(acetylamino)propyl]-N-9-acridinyl- (9CI) (CA INDEX NAME)

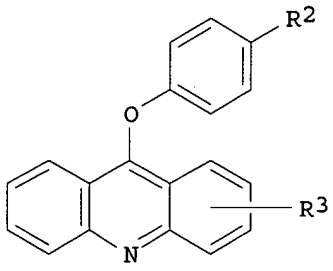


REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 32 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:680223 CAPLUS
DOCUMENT NUMBER: 137:352880
TITLE: Synthesis and Antiinflammatory Evaluation of 9-Anilinoacridine and 9-Phenoxyacridine Derivatives
AUTHOR(S): Chen, Yeh-Long; Lu, Chih-Ming; Chen, I-Li; Tsao, Lo-Ti; Wang, Jih-Pyang
CORPORATE SOURCE: School of Chemistry, Kaohsiung Medical University, Kaohsiung City, 807, Taiwan
SOURCE: Journal of Medicinal Chemistry (2002), 45(21), 4689-4694
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



II

AB Two types of acridines, 9-anilinoacridines I (R1 = MeCO, HON:CMe, MeON:CMe, MeCOCH2O) and 9-phenoxyacridines II [R2 = MeCO, R3 = H, 2-Cl, 2-MeO, 4-MeO; R2 = CHO, (E)-MeCOCH:CH, R3 = H], were synthesized by reaction of 9-chloroacridines with appropriate anilines or phenols, resp. The inhibitory potencies of I and II against activation of mast cells, neutrophils, and macrophages, which are implicated in the pathogenesis of acute and chronic inflammatory diseases, were studied. Acridines I (R1 = MeON:CMe) and II (R2 = MeCO, R3 = 4-MeO; R2 = CHO, R3 = H) were more potent than the ref. inhibitor mepacrine for the inhibition of rat peritoneal mast cell degranulation with similar IC50 values (16-21 .mu.M). I (R1 = HON:CMe) also showed potent inhibitory activity (IC50 = 8.2 and 4.4 .mu.M, resp.) for the secretion of lysosomal enzyme and .beta.-glucuronidase from neutrophils, whereas I (R1 = MeCOCH2O) and II (R2 = MeCO; R3 = 2-MeO) were shown to be efficacious inhibitors of TNF-.alpha. prodn. in macrophage-like cell lines RAW 264.7. Acridines I (R1 = MeCO) and II [R2 = (E)-MeCOCH:CH, R3 = H; (III)] were the potent

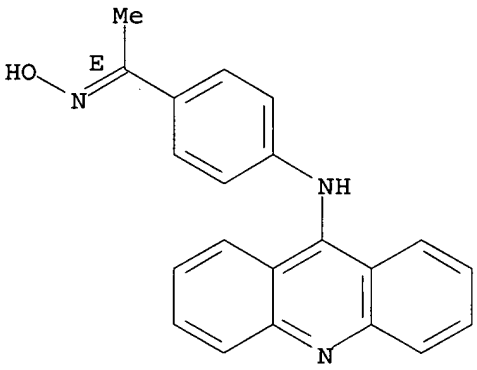
inhibitors of TNF-.alpha. prodn. in murine microglial cell lines N9. To further explore the cytotoxic properties of these acridines, III was selected for NCI's in vitro disease-oriented tumor cells screen. The results indicated that III had no significant cytotoxicity with an av. GI50 of 58.0 .mu.M. Thus, it was shown that antiinflammatory effects of I and II were mediated, at least in part, through the suppression of chem. mediators released from mast cells, neutrophils, and macrophages and that these compds. have the potential to be novel antiinflammatory agents with no significant cytotoxicity.

IT **474686-52-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. of anti-inflammatory anilinoacridines and phenoxyacridines via reaction of chloroacridines with anilines or phenols)

RN 474686-52-7 CAPLUS

CN Ethanone, 1-[4-(9-acridinylamino)phenyl]-, oxime, monohydrochloride, (1E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 33 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:675838 CAPLUS
DOCUMENT NUMBER: 137:216934
TITLE: Preparation of fused cyclic succinimide compounds and analogs thereof, as modulators of nuclear hormone receptor function
INVENTOR(S): Salvati, Mark E.; Attar, Ricardo M.; Gottardis, Marco M.; Balog, James A.; Pickering, Dacia A.; Martinez, Rogelio L.; Sun, Chongqing
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 331 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002067939	A1	20020906	WO 2002-US5302	20020220

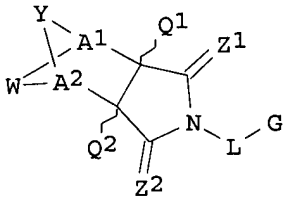
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

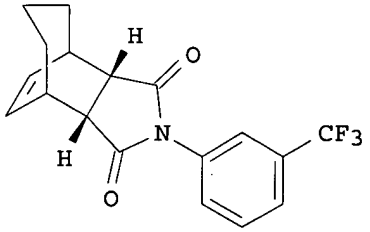
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-271672P P 20010227
OTHER SOURCE(S): MARPAT 137:216934

GI



I



II

AB Title compds. I [G = (un)substituted cycloalkenyl, aryl or heterocyclo (mono or polycyclic); Z1 and Z2 independently = O, S, NH or substituted amine; L = bond, substituted alkyl chain, NH, substituted amine; A1 and A2 independently = CR1 or N when Y = J-J'-J'' where J = (CR1R1')n with n = 0-3, J' = bond, carbonyl, CR1R1', R2P:O, R2P:S, etc., and W = CR1R1'-CR1R1', CR3:CR3', (un)substituted cycloalkyl, etc.; or when Y is absent A1 and A2 independently = CR1R1' or NR1; or when Y is absent A1, A2 and W together form -NR1-N:N-; Q1 and Q2 independently = H, (un)substituted alkyl, alkenyl, cycloalkyl, etc.; R1 and R1' independently = H, (un)substituted alkyl, alkenyl, cycloalkyl, cycloalkenyl, amino, halo, CN, etc.; R2 = (un)substituted alkyl, cycloalkyl, cycloalkenyl, heterocyclo, aryl, arylalkyl, etc.; R3 and R3' independently = H, (un)substituted alkyl, alkenyl, CN, halo, nitro, amino, etc.] are prepd. and methods of using such compds. in the treatment of nuclear hormone receptor-assocd. conditions, and pharmaceutical compns. contg. such compds are disclosed. Thus, II was prepd. by cyclocondensation of (3a.alpha.,4.beta.,8.beta.,8a.alpha.)-4,5,6,7,8,8a-hexahydro-4,8-etheno-1H-cyclohepta[c]furan-1,3(3aH)dione (prepn. given) with 3-(trifluoromethyl)aniline. Combinatorial methods of prepg. compds. of formula I are also provided. As modulators of nuclear hormone receptor function, the use of I as potential anticancer agents and for treatment of immune disorders is claimed (no data).

IT **455273-06-0P**

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU (Therapeutic use); **BIOL (Biological study)**; CMBI (Combinatorial study); PREP (Preparation); USES (Uses)

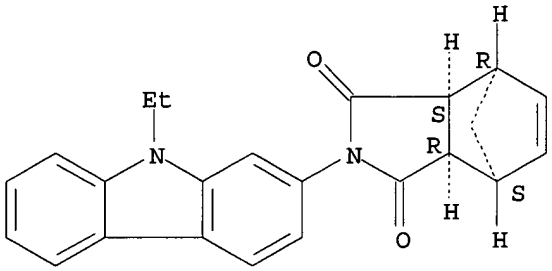
(target compd.; prepn. of combinatorial libraries of substituted fused

cyclic isoindolediones as modulators of nuclear hormone receptor function)

RN 455273-06-0 CAPLUS

CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, 2-(9-ethyl-9H-carbazol-2-yl)-3a,4,7,7a-tetrahydro-, (3aR,4S,7R,7aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 34 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:669463 CAPLUS

DOCUMENT NUMBER: 137:195543

TITLE: Indole derivatives from Malassezia yeast with inhibitory effect on phenol oxidase

INVENTOR(S): Mayser, Peter; Steglich, Wolfgang; Kraemer, Hans-Joachim; Irlinger, Bernhard

PATENT ASSIGNEE(S): Germany

SOURCE: Ger. Offen., 6 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10109005	A1	20020905	DE 2001-10109005	20010223
WO 2002068389	A2	20020906	WO 2002-EP1920	20020223

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: DE 2001-10109005 A 20010223

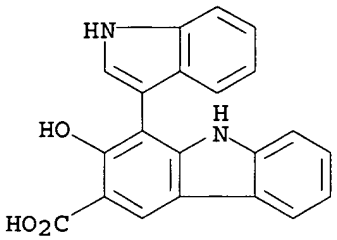
OTHER SOURCE(S): MARPAT 137:195543

AB The invention concerns indole derivs. with phenol oxidase inhibitory activity that are produced by Malassezia and can be used as agents to treat hyperpigmentation, malignant and semimalignant melanocytes and to inhibit their proliferation. Malassezia furfur is grown on tryptophane-rich medium; indole derivs. are isolated by extn. and chromatog. The indole derivs. can be used in topical formulations in combination with other active substances, e.g. antioxidants, sunscreens, vitamins etc.

IT **454222-42-5**

RL: PAC (Pharmacological activity); THU (Therapeutic use); **BIOL (Biological study)**; USES (Uses)
(indole derivs. from Malassezia yeast with inhibitory effect on phenol oxidase)

RN 454222-42-5 CAPLUS
CN 9H-Carbazole-3-carboxylic acid, 2-hydroxy-1-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)

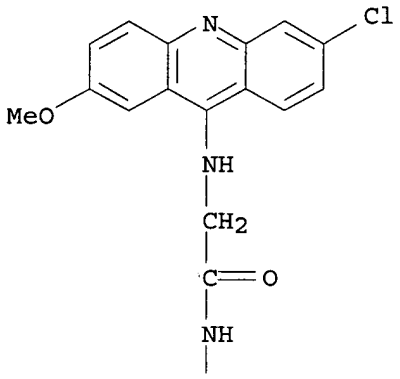


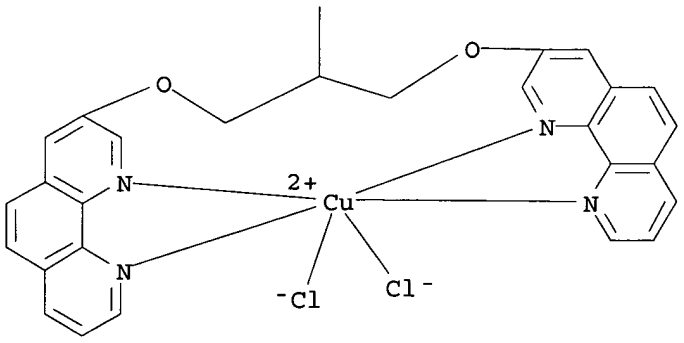
L12 ANSWER 35 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:661429 CAPLUS
DOCUMENT NUMBER: 137:332744
TITLE: Acridine Conjugates of 3-Clip-Phen: Influence of the Linker on the Synthesis and the DNA Cleavage Activity of Their Copper Complexes
AUTHOR(S): Boldron, Christophe; Ross, Steven A.; Pitie, Marguerite; Meunier, Bernard
CORPORATE SOURCE: Laboratoire de Chimie de Coordination, CNRS, Toulouse, 31077, Fr.
SOURCE: Bioconjugate Chemistry (2002), 13(5), 1013-1020
CODEN: BCCHES; ISSN: 1043-1802
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB To increase the DNA cleavage activity and the cell delivery of the bis(phenanthroline) DNA cleaver "3-Clip-Phen", conjugates between 3-Clip-Phen and the intercalators acridine and 6-chloro-2-methoxyacridine, through amino acid linkers of various length, were prepd. After complexation with CuCl2, the ability of these conjugates to cleave .PHI.X 174 DNA in the presence of a reductant and air was compared. The results indicated that (i) the coupling of 3-Clip-Phen to an acridine deriv. increased the DNA cleavage efficiency of the copper complexes, (ii) the acridine derivs. were more active than 6-chloro-2-methoxyacridine derivs., (iii) the linker length influenced cleavage efficiency, the highest DNA cleavage activity being obtained for an aminocaproic spacer.

IT **473925-41-6**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); **BIOL (Biological study)**
(acridine conjugates of 3-Clip-Phen: influence of linker on synthesis and the DNA cleavage activity of their copper complexes)

RN 473925-41-6 CAPLUS
CN Copper, dichloro[2-[(6-chloro-2-methoxy-9-acridinyl)amino]-N-[2-[(1,10-phenanthrolin-3-yl-.kappa.N1,.kappa.N10)oxy]-1-[[(1,10-phenanthrolin-3-yl-.kappa.N1,.kappa.N10)oxy]methyl]ethyl]acetamide]- (9CI) (CA INDEX NAME)





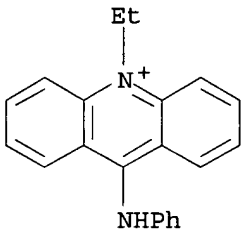
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 36 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:655964 CAPLUS
DOCUMENT NUMBER: 137:190369
TITLE: Hair dyes containing cationic quinolinium direct dyes
PATENT ASSIGNEE(S): Wella A.-G., Germany
SOURCE: Ger. Gebrauchsmusterschrift, 25 pp.
CODEN: GGXXFR
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

09/ 995,324

DE 20204129 U1 20020829 DE 2002-20204129 20020315
PRIORITY APPLN. INFO.: DE 2002-20204129 20020315
OTHER SOURCE(S): MARPAT 137:190369
AB The invention concerns hair dye compns. that contain cationic direct dyes from the group of quinolinium salts. The compns. further contain other direct dyes, e.g. azo dyes, quinone dyes, and triphenylmethanes. Oxidative dyes, oxidn. agent, synthetic polymers or modified natural polymers can be included. Thus 4-[(4-aminophenyl)amino]-1-ethylquinolinium-tetrafluoroborate was synthesized and used at an amt. of 0.01 g in a dye that also included 10.00 g ethanol and 10.00 g water. The dye mixt. was dild. with 10% citric acid or 10% ammonia soln. for testing the color effects.
IT **449776-58-3D**, salts
RL: COS (Cosmetic use); **BIOL (Biological study)**; USES (Uses)
(hair dyes contg. cationic quinolinium direct dyes)
RN 449776-58-3 CAPLUS
CN Acridinium, 10-ethyl-9-(phenylamino)- (9CI) (CA INDEX NAME)



L12 ANSWER 37 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:655568 CAPLUS
DOCUMENT NUMBER: 137:334545
TITLE: Coupling of a Competitive and an Irreversible Ligand Generates Mixed Type Inhibitors of Trypanosoma cruzi Trypanothione Reductase
AUTHOR(S): Inhoff, Oliver; Richards, Jonathan M.; Briet, Jan Willem; Lowe, Gordon; Krauth-Siegel, R. Luise
CORPORATE SOURCE: Biochemie-Zentrum, Heidelberg University, Heidelberg, D-69120, Germany
SOURCE: Journal of Medicinal Chemistry (2002), 45(20), 4524-4530
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 9-Aminoacridines and (terpyridine)platinum(II) complexes are competitive and irreversible inhibitors, resp., of trypanothione reductase from Trypanosoma cruzi, the causative agent of Chagas' disease. Four chimeric compds. in which 2-methoxy-6-chloro-9-aminoacridine was covalently linked to the (2-hydroxyethanethiolate)(2,2':6',2''-terpyridine)platinum(II) complex were synthesized and studied as inhibitors of the parasite enzyme. The derivs. differed by the nature and/or the length of the spacer connecting the two arom. systems. All four compds. were effective mixed type inhibitors of trypanothione reductase with Ki and Ki' values of 0.3-4 and 2-11 .mu.M, resp. The most potent inhibitor had an ethylthioether linkage between the two arom. ring systems, and the other compds. contained an alkyl ether group with 4-6 methylene groups. In contrast to the parasite enzyme, human glutathione reductase, the closest related host enzyme was not inhibited by these compds. The finding that the conjugation of a competitive and an irreversible inhibitor can give rise to reversible mixed type inhibitors underlines the difficulties assocd. with inhibitor design based on the three-dimensional structure of

trypanothione reductase.

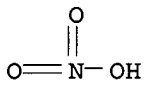
IT **474296-34-9P**
RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(coupling competitive and irreversible ligands results in mixed type inhibitors of Trypanosoma cruzi trypanothione reductase)

RN 474296-34-9 CAPLUS

CN Platinum(1+), [3-chloro-7-methoxy-N-[2-[([2,2':6',2''-terpyridin]-4'-yl-.kappa.N1,.kappa.N1',.kappa.N1'')thio]ethyl]-9-acridinamine][2-(mercapto-.kappa.S)ethanolato]-, (SP-4-2)-, nitrate, mononitrate (9CI) (CA INDEX NAME)

CM 1

CRN 7697-37-2
CMF H N O3

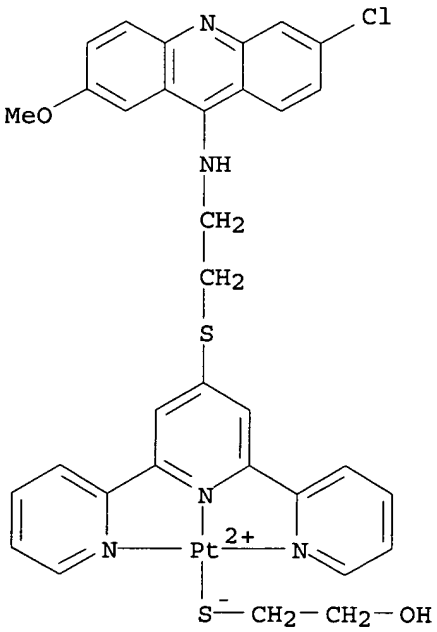


CM 2

CRN 474296-33-8
CMF C33 H29 Cl N5 O2 Pt S2 . N O3

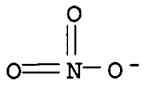
CM 3

CRN 474296-32-7
CMF C33 H29 Cl N5 O2 Pt S2
CCI CCS



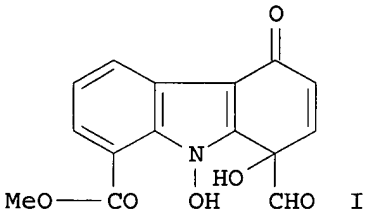
CM 4

CRN 14797-55-8
CMF N O3



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 38 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:650992 CAPLUS
DOCUMENT NUMBER: 137:322750
TITLE: Coproverdine, a novel, cytotoxic marine alkaloid from a New Zealand ascidian
AUTHOR(S): Urban, Sylvia; Blunt, John W.; Munro, Murray H. G.
CORPORATE SOURCE: Department of Chemistry, University of Canterbury, Christchurch, N. Z.
SOURCE: Journal of Natural Products (2002), 65(9), 1371-1373
CODEN: JNPRDF; ISSN: 0163-3864
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

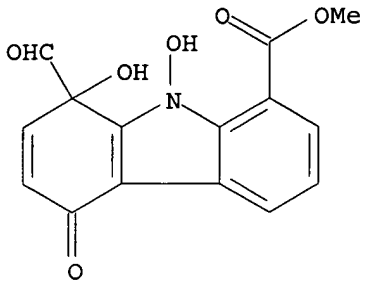


AB The crude ext. of a New Zealand ascidian displayed antitumor activity. Bioassay-directed fractionation yielded a novel alkaloid, coproverdine (I). The structure of I was assigned on the basis of detailed spectroscopic anal. Coproverdine was responsible for the antitumor activity of the crude ext.

IT **437702-23-3P**
RL: BSU (Biological study, unclassified); NPO (Natural product occurrence); PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); **BIOL (Biological study)**; OCCU (Occurrence); PREP (Preparation)
(cytotoxic marine alkaloid from New Zealand ascidian)

RN 437702-23-3 CAPLUS
CN 1H-Carbazole-8-carboxylic acid, 1-formyl-4,9-dihydro-1,9-dihydroxy-4-oxo-, methyl ester, (-)- (9CI) (CA INDEX NAME)

Rotation (-).
Currently available stereo shown.



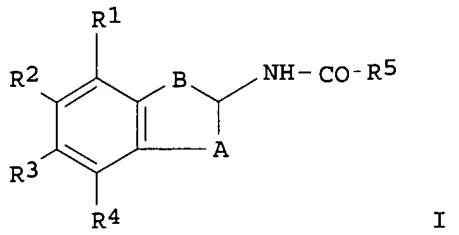
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 39 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:637636 CAPLUS
DOCUMENT NUMBER: 137:185515
TITLE: Preparation of acylated indanyl amines and their use as remedies in upregulation of endothelial nitric oxide synthase
INVENTOR(S): Strobel, Hartmut; Wohlfart, Paulus; Safarova, Alena; Walser, Armin; Suzuki, Teri; Dharanipragada, Ramalinga M.
PATENT ASSIGNEE(S): Aventis Pharma Deutschland Gmbh, Germany
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002064545	A1	20020822	WO 2002-EP1444	20020212

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: EP 2001-102850 A 20010213
OTHER SOURCE(S): MARPAT 137:185515
GI



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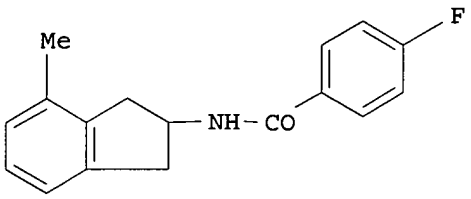


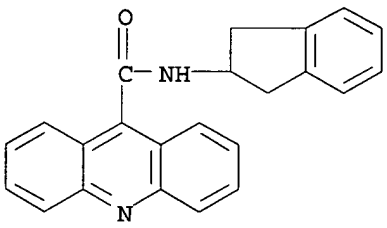
II

AB Title compds. [I; R1-R4 =; A = CH2, CHOH, CH(C1-C3-alkyl); B = CH2, CH(C1-C3-alkyl); R5 = aryl, heteroaryl] are prepd. and are useful in the upregulation of endothelial nitric oxide synthase (eNOS). Title compds. I may therefore be useful for the manuf. of medicaments for the treatment of cardiovascular diseases, stable or unstable angina pectoris, coronary heart disease, Prinymetal angina, acute coronary syndrome, heart failure, myocardial infarction, stroke, thrombosis, peripheral artery occlusive disease, endothelial dysfunction, atherosclerosis, restenosis, endothelial damage after PTCA(percutaneous trans-luminal coronary angioplasty), hypertension, essential hypertension, pulmonary hypertension, secondary hypertension, renovascular hypertension, chronic glomerulonephritis, erectile dysfunction, ventricular arrhythmia, diabetes or diabetes complications, nephropathy or retinopathy, angiogenesis, asthma bronchial, chronic renal failure, cirrhosis of the liver, osteoporosis, restricted memory performance, a restricted ability to learn, or for the lowering of cardiovascular risk of postmenopausal women or after intake of contraceptives. Thus, the title compd. II was prepd. from 2-amino-4-methylindane and 4-fluorobenzoyl chloride, purified by HPLC and was in vitro tested on human umbilical vein cord endothelial cells for activation effect of eNOS transcription with EC-50(.mu.M) = 6.0 and TIR(max) = 2.80.

IT **450352-82-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. method of acylated indanyl amines and use as remedies in upregulation of endothelial nitric oxide synthase)

RN 450352-82-6 CAPLUS

CN 9-Acridinecarboxamide, N-(2,3-dihydro-1H-inden-2-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 40 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:627989 CAPLUS
DOCUMENT NUMBER: 137:294798

TITLE: Antitumor AHMA Linked to DNA Minor Groove Binding Agents: Synthesis and Biological Evaluation

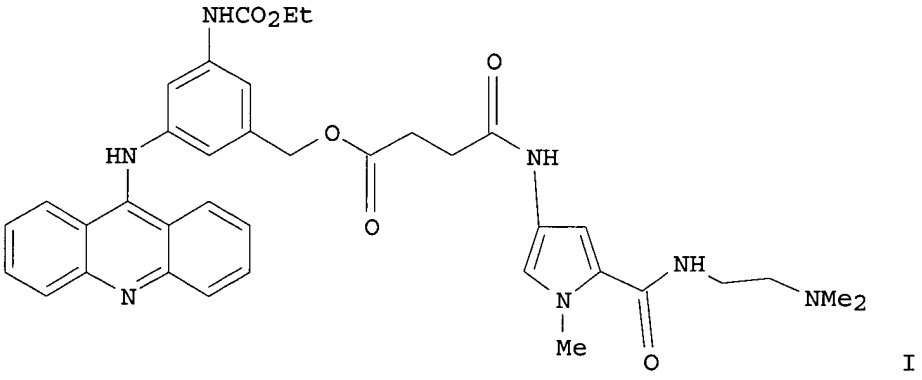
AUTHOR(S): Rastogi, Kamesh; Chang, Jang-Yang; Pan, Wen-Yu; Chen, Ching-Huang; Chou, Ting-Chao; Chen, Li-Tzong; Su, Tsann-Long

CORPORATE SOURCE: Institute of Biomedical Sciences, Laboratory of Bioorganic Chemistry, Academia Sinica, Taipei, 115, Taiwan

SOURCE: Journal of Medicinal Chemistry (2002), 45(20), 4485-4493
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

GI



I

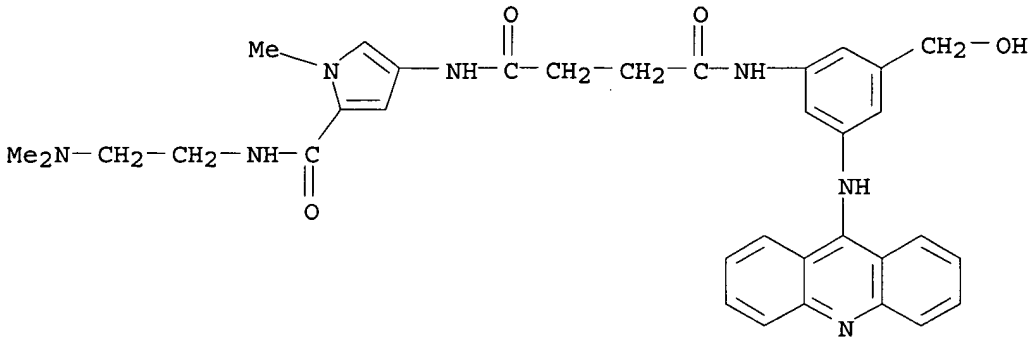
AB DNA minor groove binder hybrid mols., netropsin derivs. such as N-[2-(dimethylamino)ethyl]-1-methyl-4-aminopyrrolo-2-carboxamide (MePy) or its derivs. contg. two units of N-methylpyrrolecarboxamide (diMePy) and bisbenzimidazole (Ho33258), were linked to the NH2 function of AHMA or to the CH2OH group of AHMA-ethylcarbamate to form AHMA-N-netropsins, AHMA-ethylcarbamate-O-netropsins, and AHMA-bisbenzimidazole (AHMA-Ho33258) resp. These conjugates' in vitro antitumor activity, and inhibition of a variety of human tumor cell growth, revealed that AHMA-ethylcarbamate-O-netropsin derivs. were more cytotoxic than AHMA-N-netropsin compds. In the same studies, all compds. bearing MePy were more potent than those compds. linked with diMePy. Moreover, AHMA-netropsin derivs. bearing a succinyl chain as the linking spacer were more potent than those compds. having a glutaryl bridge. Among these hybrid mols., AHMA-ethylcarbamate-O-succinyl-MePy (I) was 2- to 6-fold more cytotoxic than the parent compd. AHMA in various cell lines, whereas the AHMA-bisbenzimidazole (AHMA-Ho33258) had very poor soly. and was inactive. Studies on the inhibitory effect against topoisomerase II (Topo II) and DNA interaction of these conjugates showed no correlation between the potency of DNA binding and inhibitory activity against Topo II.

IT **470480-93-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. of DNA groove binding acrydinylaminohydroxymethylaniline netropsin derivs. from 3-(9-acrydinylamino)-5-hydroxymethylanilines and

their antitumor activity and their DNA topoisomerase II inhibitory activity)

RN 470480-93-4 CAPLUS

CN Butanediamide, N-[3-(9-acridinylamino)-5-(hydroxymethyl)phenyl]-N'-[5-[[[2-(dimethylamino)ethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 41 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:594814 CAPLUS

DOCUMENT NUMBER: 137:135119

TITLE: Carbazole derivatives and fluorene derivatives, and their uses as heparanase inhibitors

INVENTOR(S): Ayal-Hershkovitz, Maty; Miron, Daphna; Koller, Avi; Ilan, Neta; Levy, Ofra

PATENT ASSIGNEE(S): Insight Strategy and Marketing Ltd., Israel

SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060867	A2	20020808	WO 2002-IL79	20020129

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-264304P P 20010129

OTHER SOURCE(S): MARPAT 137:135119

AB Carbazole derivs. having at the 9-position a 3-(substituted)amino-2-hydroxypropyl group, and fluorene derivs. having at the 9-position a =N-NHR4 group [R4 = (substituted) carboxamido, (substituted) thiocarboxamido, (substituted) hydrazido], are provided as heparanase inhibitors suitable for the treatment of diseases and disorders caused by or assocd. with heparanase catalytic activity, e.g. cancer, inflammatory disorders, and autoimmune diseases. Prepn. and biol. activity of 1-[3-(3,6-dibromocarbazol-9-yl)-2-hydroxypropyl]-1-phenethyl-3-p-

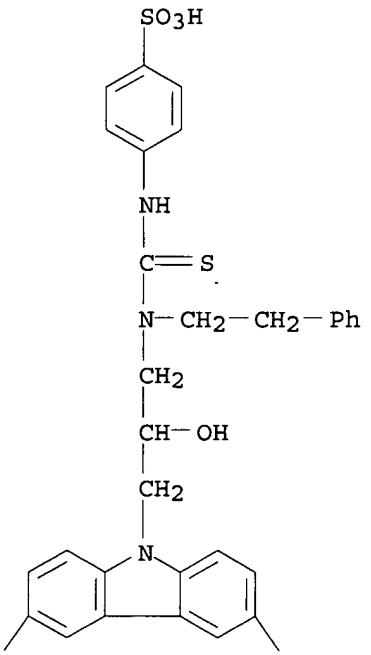
sulfonylthiourea is described.

IT **444883-62-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **BIOL (Biological study)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(carbazole derivs. and fluorene derivs., and use as heparanase inhibitors)

RN 444883-62-9 CAPLUS

CN Benzenesulfonic acid, 4-[[[[3-(3,6-dibromo-9H-carbazol-9-yl)-2-hydroxypropyl](2-phenylethyl)amino]thioxomethyl]amino]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

L12 ANSWER 42 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:594639 CAPLUS
DOCUMENT NUMBER: 137:154941
TITLE: Preparation of pyrimidine and indol-2-one derivatives as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety
INVENTOR(S): Blackburn, Thomas P.; Konkel, Michael
PATENT ASSIGNEE(S): Synaptic Pharmaceutical Corporation, USA
SOURCE: PCT Int. Appl., 832 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002060392	A2	20020808	WO 2002-US4608	20020131

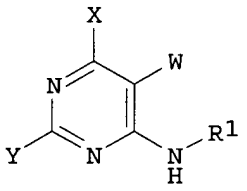
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

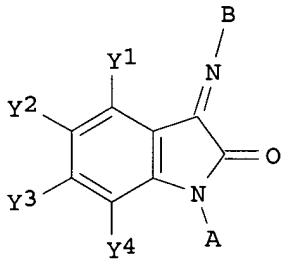
PRIORITY APPLN. INFO.: US 2001-775341 A 20010131

OTHER SOURCE(S): MARPAT 137:154941

GI



I



II

AB The title compds. [I (wherein W = H, halo, CN, etc.; X = substituted NH2, (un)substituted piperidino, 4-oxopiperidino, piperazino; R1 = bicyclic ring, adamantyl, (hetero)aryl, etc.; Y = substituted NH2, (un)substituted 2-isoquinolinyl, morpholino, etc.) and II (Y1-Y4 = H, alkyl, fluoroalkyl, etc.; A = (un)substituted Ph, thienyl, pyridylmethyl, etc.; B = (un)substituted Ph, pyridyl, indolyl, etc.)] which are selective antagonists for the GAL3 receptor, and are useful in treating depression and/or anxiety, were prepd. Various general procedures for synthesis of the compds. I and II and their biol. data, were given. E.g., exemplified compd. I [W = H; X = piperidino; Y = N-cyclohexyl-N-methylamino; R1 = 4-MeC6H4] showed Ki of 35 nM against GalR3 receptor binding vs. Ki of 668 nM and Ki of 188 nM against GalR1 and GalR2, resp.

IT **445455-15-2P**

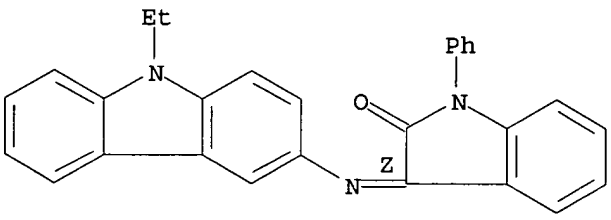
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)

(prepn. of pyrimidine and indol-2-one derivs. as galanin GAL3 receptor antagonists for the treatment of depression and/or anxiety)

RN 445455-15-2 CAPLUS

CN 2H-Indol-2-one, 3-[(9-ethyl-9H-carbazol-3-yl)imino]-1,3-dihydro-1-phenyl-, (3Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L12 ANSWER 43 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:591918 CAPLUS
DOCUMENT NUMBER: 137:159310
TITLE: Activators of peroxisome proliferator-activated receptor (PPAR) .alpha. for treatment of fatty liver, and hypolipemic agents containing the activators and MTP inhibitors
INVENTOR(S): Noguchi, Takeshi; Hirota, Kotaro; Tanaka, Masashi
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002220345	A2	20020809	JP 2001-15602	20010124

PRIORITY APPLN. INFO.: JP 2001-15602 20010124

AB Title activators are useful for prophylactic and/or therapeutic treatment of fatty liver in patients under treatment with microsomal triglyceride transfer protein (MTP) inhibitors. Thus, oral administration of BAY 13-9952 at 10 mg/kg and clinofibrate at 30 mg/kg in high sucrose-loaded rats resulted in serum triglyceride 23.0 mg/dL, serum cholesterol 32.8 mg/dL, liver triglyceride 24.6 mg/g, and liver cholesterol 3.4 mg/g.

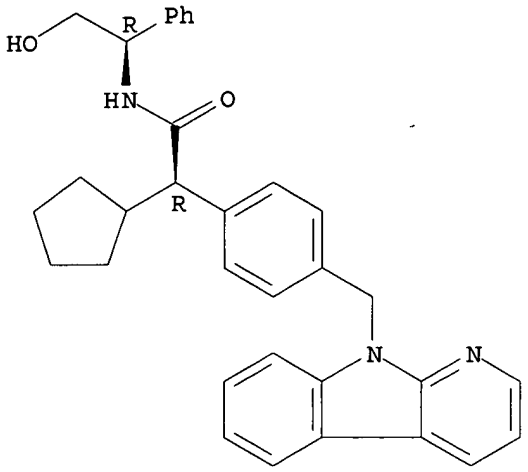
IT **445389-84-4**
RL: PAC (Pharmacological activity); THU (Therapeutic use); **BIOL (Biological study)**; USES (Uses)
(hypolipemic agents contg. peroxisome proliferator-activated receptor .alpha. activators and MTP inhibitors causing no fatty liver)

RN 445389-84-4 CAPLUS
CN Butanoic acid, 2,2'-[cyclohexylidenebis(4,1-phenyleneoxy)]bis[2-methyl-, mixt. with (.alpha.R)-.alpha.-cyclopentyl-N-[(1R)-2-hydroxy-1-phenylethyl]-4-(9H-pyrido[2,3-b]indol-9-ylmethyl)benzeneacetamide (9CI) (CA INDEX NAME)

CM 1

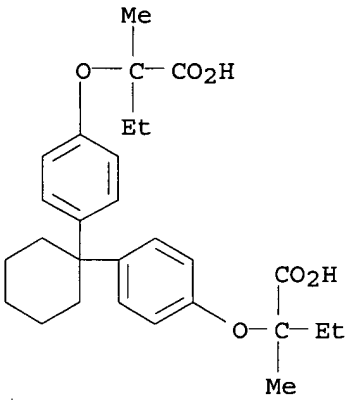
CRN 177277-96-2
CMF C33 H33 N3 O2

Absolute stereochemistry.



CM 2

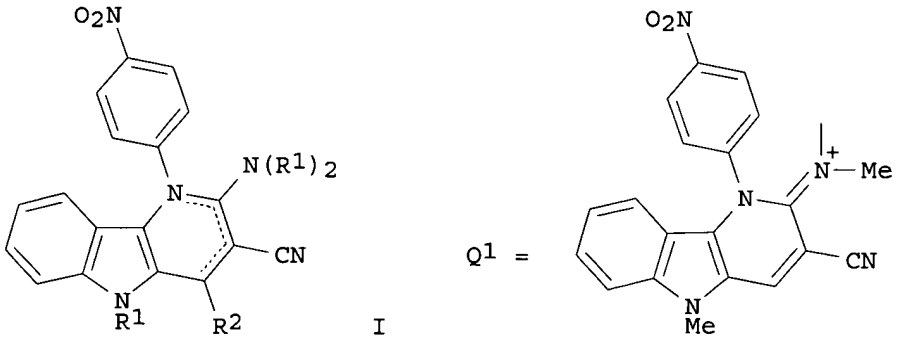
CRN 30299-08-2
CMF C28 H36 O6



L12 ANSWER 44 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:575081 CAPLUS
DOCUMENT NUMBER: 137:125149
TITLE: Preparation of pyridoindoles as reverse transcriptase inhibitors.
INVENTOR(S): Rice, William G.; Huang, Mingjun; Buckheit, Robert W., Jr.; Covell, David G.; Czerwinski, Grzegorz; Michejda, Christopher J.
PATENT ASSIGNEE(S): The Government of the United States of America, Department of Health and Human Services, USA
SOURCE: PCT Int. Appl., 62 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2002059123 A2 20020801 WO 2001-US48311 20011213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 2000-256581P P 20001218
OTHER SOURCE(S): MARPAT 137:125149
GI

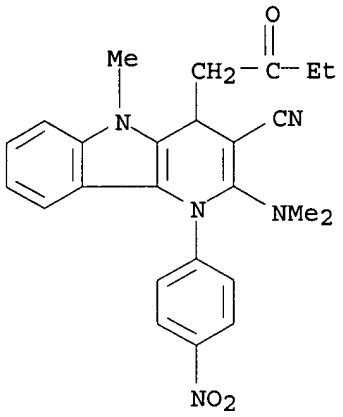


AB Title compds. (I; R1 = alkyl; R2 = H, alkyl, alkylamide, Q1; dotted lines = optional double bonds), were prepd. Thus, 1-(4-nitrophenyl)-2-methylimino-3-cyano-5-methyl-1,2-dihydro-5H-pyrido[3,2-b]indole (prepn. given) was refluxed with K2CO3, MeI, and acetone for 45 h to give 1-(4-nitrophenyl)-2-dimethylamino-3-cyano-4-(2-oxopropyl)-5-methyl-1,2-dihydro-5H-pyrido[3,2-b]indole. The latter showed IC50 = 0.1 .mu.M against HIV-1 RF in CEM-SS cells.

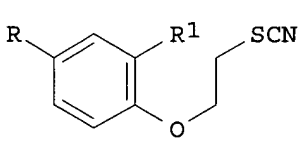
IT **442149-79-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of pyridoindoles as reverse transcriptase inhibitors)

RN 442149-79-3 CAPLUS

CN 1H-Pyrido[3,2-b]indole-3-carbonitrile, 2-(dimethylamino)-4,5-dihydro-5-methyl-1-(4-nitrophenyl)-4-(2-oxobutyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 45 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:558407 CAPLUS
DOCUMENT NUMBER: 137:154726
TITLE: Design, Synthesis, and Biological Evaluation of Aryloxyethyl Thiocyanate Derivatives against Trypanosoma cruzi
AUTHOR(S): Elhalem, Eleonora; Bailey, Brian N.; Docampo, Roberto; Ujvary, Istvan; Szajnman, Sergio H.; Rodriguez, Juan B.
CORPORATE SOURCE: Departamento de Quimica Organica Facultad de Ciencias Exactas y Naturales, Universidad de Buenos Aires, Buenos Aires, RA-1428, Argent.
SOURCE: Journal of Medicinal Chemistry (2002), 45(18), 3984-3999
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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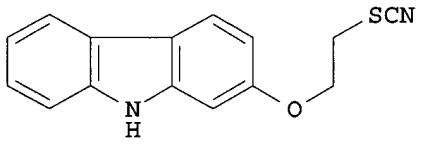
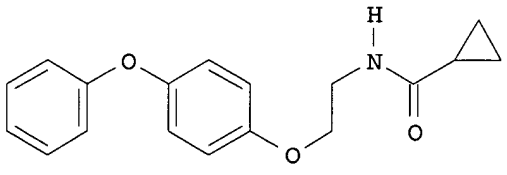


III



V

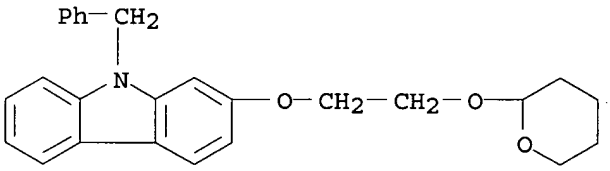
AB As a continuation of our project aimed at the search for new and safe chemotherapeutic and chemoprophylactic agents against American trypanosomiasis (Chagas' disease), several drugs structurally related to 4-phenoxyphenoxyethyl thiocyanate (4) were designed, synthesized, and evaluated as antiproliferative agents against the parasite responsible for this disease, the hemoflagellated protozoan Trypanosoma cruzi. This

thiocyanate deriv. was previously shown to be an effective and potent agent against T. cruzi proliferation. Several drugs possessing thiocyanate groups proved to be effective growth inhibitors of T. cruzi growth. Among the designed compds., it is important to point out the extremely potent activity shown by 11, 23, 38, 53, 90, 99, and 117 against the epimastigote forms of the parasite. All of them exhibited IC50values in the low micromolar range, and these values were comparable with those presented by our lead drug 4 and ketokonazole, a well-known antiparasitic agent. The activity displayed by thenitrogen-contg. deriv. 90 was very promising with IC50 values of 3.3 .mu.M. Several other thiocyanate derivs. also proved to be very potent inhibitors of the multiplication of T. cruzi epimastigotes, such as compds. 28, 33, 43, 48, 56, 61, 66, 71, 76, and 124. Compd. 43 resulted in being a promising drug because it was also very effective against amastigotes, the clin. more relevant form of the parasite. This compd. was 3-fold more potent than 4, while 11 showed nearly the same activity as our lead drug against intracellular T. cruzi. It was very surprising that the exptl. juvenoid 124, although fairly devoid of activity against epimastigotes, was very effective against intracellular amastigotes growing in myoblasts. The rest of the designed compds. showed a broad degree of inhibitory action, from moderately active drugs to drugs almost devoid of antiparasitic activity. Compd. 43 is an interesting example of an effective antichagasic agent that presents excellent prospectives not only as a lead drug but also to be used for further in vivo studies.

IT **445283-48-7P**
RL: PAC (Pharmacological activity); RCT (Reactant); **BIOL (Biological study)**; **BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or reagent)
(prepn., structure-activity relationship, and antitrypanosomal activity of N-benzylcarbazolyloxyethyl THP ether intermediate via O-protection of hydroxycarbazole, N-benzylation, THP cleavage, and alkylation with bromoethyl THP ether)

RN 445283-48-7 CAPLUS

CN 9H-Carbazole, 9-(phenylmethyl)-2-[2-[(tetrahydro-2H-pyran-2-yl)oxy]ethoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 46 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:556141 CAPLUS
DOCUMENT NUMBER: 137:125095
TITLE: 9-alkylamino-1-nitroacridine derivatives
INVENTOR(S): Konopa, Jerzy Kazimierz; Wysocka-Skrzela, Barbara; Tiwari, Raj
PATENT ASSIGNEE(S): Pol.
SOURCE: U.S. Pat. Appl. Publ., 14 pp., Cont.-in-part of U.S. Ser. No. 788,056.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002099211	A1	20020725	US 2001-934715	20010822

PRIORITY APPLN. INFO.: US 2000-183530P P 20000218
US 2001-788056 A2 20010216

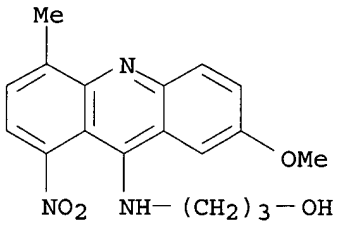
OTHER SOURCE(S): MARPAT 137:125095

AB The invention is directed to novel 9-hydroxyalkylamino-, 9-alkoxyalkylamino-1- nitroacridine derivs. Methods of prepn., pharmaceutical compns. comprising said derivs. and their medical uses are also encompassed by this invention.

IT **444017-87-2P**
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); **BIOL (Biological study)**; PREP (Preparation)
(prepn. methods, compns., and antitumor activity of 9-alkylamino-1-nitroacridine derivs.)

RN 444017-87-2 CAPLUS

CN 1-Propanol, 3-[(7-methoxy-4-methyl-1-nitro-9-acridinyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L12 ANSWER 47 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:556140 CAPLUS

DOCUMENT NUMBER: 137:125159

TITLE: Preparation and antiviral activity of heterocyclic substituted 2-methylbenzimidazole antiviral agents

INVENTOR(S): Yu, Kuo-Long; Civiello, Rita L.; Combrink, Keith D.; Gulgeze, Hatice Belgin; Sin, Ny; Wang, Xiangdong; Meanwell, Nicholas; Venables, Brian Lee; Zhang, Yi; Pearce, Bradley C.; Yin, Zhiwei; Thuring, Jan Willem

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 89 pp.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002099208	A1	20020725	US 2001-994012	20011116
WO 2002062290	A2	20020815	WO 2001-US45149	20011120
WO 2002062290	A3	20021121		

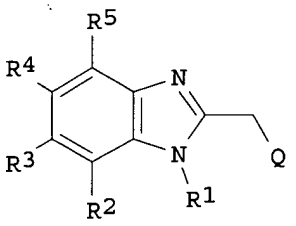
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-257139P P 20001220

OTHER SOURCE(S): MARPAT 137:125159

GI



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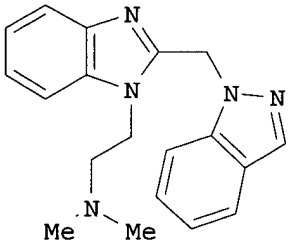


II

AB The title compds. [I; R1 = (CRaRb)nX; Ra, Rb = independently H, C1-6 (un)substituted alkyl; X = H, C1-6 (un)substituted alkyl; n = 1-6; R2, R5 = independently H or halogen; R3, R4 = independently H, halogen, C1-6 (un)substituted alkyl; Q = heterocyclic group], useful in the treatment of viral infections, more particularly, for the treatment of respiratory syncytial virus infection, were prepd. E.g., a four-step synthesis of II, starting with 2-(chloromethyl)benzimidazole, was given. The antiviral activity of these compds. against respiratory syncytial virus (RSV) was detd. in HEp-2 (ATCC CCL 23) cells. The title compds. I, disclosed herein, show antiviral activity with EC50s between 50 .mu.M and 0.001 .mu.M.

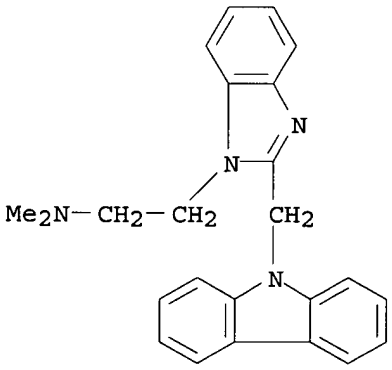
IT **443987-51-7P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)

(prepn. and use of heterocyclic substituted 2-methyl-benzimidazole antiviral agents)

RN 443987-51-7 CAPLUS

CN 1H-Benzimidazole-1-ethanamine, 2-(9H-carbazol-9-ylmethyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)



L12 ANSWER 48 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:539680 CAPLUS

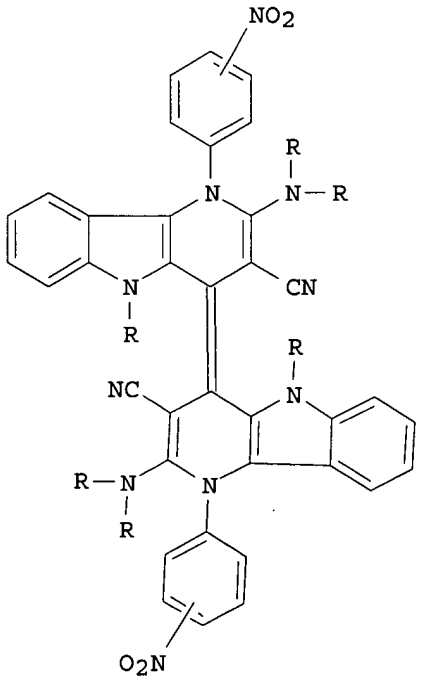
DOCUMENT NUMBER: 137:93737

09/ 995,324

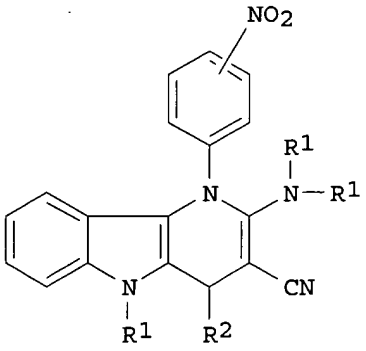
TITLE: Preparation of pyridoindoles as anti-AIDS agents
INVENTOR(S): Rice, William G.; Huang, Mingjun; Buckheit, Robert W., Jr.; Covell, David G.; Czerwinski, Grzegorz; Michejda, Christopher J.
PATENT ASSIGNEE(S): The Government of the United States of America, Secretary of Health and Human Services, USA; Makarov, Vadim
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002055520	A2	20020718	WO 2001-US48310	20011213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002182151	A1	20021205	US 2001-17323	20011213

PRIORITY APPLN. INFO.: US 2000-256556P P 20001218
OTHER SOURCE(S): MARPAT 137:93737
GI



I



II

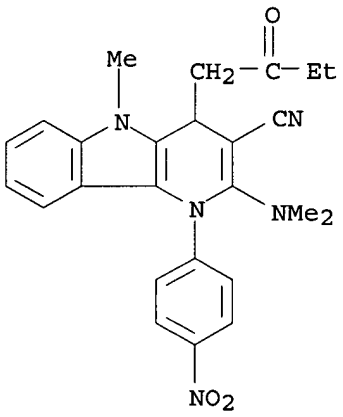
AB The title benzoylalkylindolepyridinium (BAIP) [sic] compds. I and II [wherein R and R1 = independently H or aliph.; R2 = CH2COCH3] were prepd.

and tested for antiviral activity against several retroviruses. I inhibit the reserve transcriptase enzymes of several retroviruses, including human immunodeficiency virus (HIV). For example, deacylation of 3-(p-nitrophenylamino)indole (80%), followed by formylaton (96%) and condensation with malonitrile (80%), afforded the (aminoindolylmethylidenyl)malononitrile intermediate. Cyclization to the 2-imino-1,2-dihydro-5H-pyrido[3,2-b]indole (60%). Methylation with MeI in acetone in the presence of anhyd. K2CO3 produced the unexpected 2-oxopropyl product I (R1 = Me; R2 = CH2COCH3; p-nitrophenyl) (III). The latter exerted antiretroviral activity against HIV-1RF, HIV-2ROD, and SIV in a std. screening cytoproctection assay with EC50 values of 0.1 .mu.M, 4.79 .mu.M, and 5.65 .mu.M, resp., and CC50 values > 200 .mu.M. Further studies demonstrated that III acts during the late phase of infection, after the provirus has integrated into the host cell genome, and that cells treated with III showed reduced virion-assocd. reverse transcriptase activity and viral infectivity levels. I and II are useful for therapy to individuals already carrying HIV-1 variants that are resistant to AZT or classical non-nucleoside reverse transcriptase inhibitors (no data).

IT **442149-79-3P**, 1-(4-Nitrophenyl)-2-dimethylamino-3-cyano-4-(2-oxobutyl)-5-methyl-1,4-dihydro-5H-pyrido[3,2-b]indole
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(antiretroviral agent; prepn. of pyridoindole anti-AIDS agents via cyclization and subsequent derivatization of (aminoindolylmethylidenyl)malononitrile)

RN 442149-79-3 CAPLUS

CN 1H-Pyrido[3,2-b]indole-3-carbonitrile, 2-(dimethylamino)-4,5-dihydro-5-methyl-1-(4-nitrophenyl)-4-(2-oxobutyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 49 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:504757 CAPLUS

DOCUMENT NUMBER: 137:78855

TITLE: Preparation of carbazoles as neuropeptide Y5 receptor ligands

INVENTOR(S): Block, Michael Howard; Foote, Kevin Michael; Donald, Craig Samuel; Schofield, Paul

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 102 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051806	A1	20020704	WO 2001-GB5577	20011217

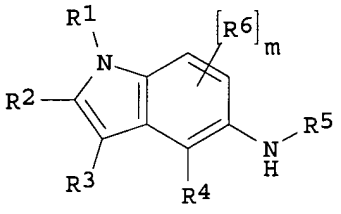
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2000-31382 A 20001222
GB 2001-21919 A 20010911

OTHER SOURCE(S): MARPAT 137:78855

GI



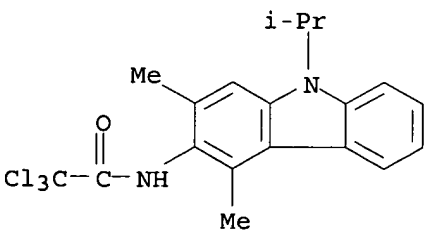
I

AB The title compds. [I; R1 = alkyl, alkanoyl, alkylsulfonyl, etc.; R2, R3 = Me; or R2 and R3 together = (un)substituted (CH2)4 or (CH)4; R4 = alkyl; R5 = CONR9R10, COR9, COCOR9; R6 = halo, CN, OH, etc.; R9, R10 = H, alkyl, alkoxy, etc.; or NR9R10 = (un)substituted heterocyclic ring; m = 0-2], useful as NPY 5 inhibitors in treating eating disorders, were prepd. and formulated. Thus, amidation of 4-morpholinecarbonyl chloride with 3-amino-2,4-dimethyl-9-isopropyl-9H-carbazole in the presence of Et3N in DCM afforded I [R1 = iso-Pr; R2 and R3 together = (CH)4; R4 = Me; R5 = morpholinocarbonyl; R6 = 2-Me; m = 1]. In general, compds. I possess an IC50 in the range 0.0002 to 200 .mu.M against NPY5.

IT **439861-76-4P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); **BIOL (Biological study)**; **BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of carbazoles as neuropeptide Y5 receptor ligands)

RN 439861-76-4 CAPLUS

CN Acetamide, 2,2,2-trichloro-N-[2,4-dimethyl-9-(1-methylethyl)-9H-carbazol-3-yl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 50 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:494783 CAPLUS
DOCUMENT NUMBER: 137:195453

TITLE: Discovery and Optimization of a Series of Carbazole Ureas as NPY5 Antagonists for the Treatment of Obesity

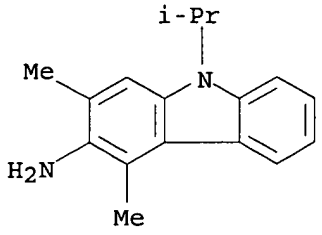
AUTHOR(S): Block, Michael H.; Boyer, Scott; Brailsford, Wayne; Brittain, David R.; Carroll, Debra; Chapman, Steve; Clarke, David S.; Donald, Craig S.; Foote, Kevin M.; Godfrey, Linda; Ladner, Anthony; Marsham, Peter R.; Masters, David J.; Mee, Christine D.; O'Donovan, Michael R.; Pease, J. Elizabeth; Pickup, Adrian G.; Rayner, John W.; Roberts, Andrew; Schofield, Paul; Suleman, Abid; Turnbull, Andrew V.
CORPORATE SOURCE: AstraZeneca, Macclesfield Cheshire, SK10 4TG, UK

SOURCE: Journal of Medicinal Chemistry (2002), 45(16), 3509-3523
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The hypothesis that antagonists of the neuropeptide Y5 receptor would provide safe and effective appetite suppressants for the treatment of obesity has prompted vigorous research to identify suitable compds. We discovered a series of acylated aminocarbazole derivs. (e.g., 3a) that are potent and selective Y5 antagonists, representing interesting starting points but suffering from poor bioavailability and concerns about potential toxicity as a consequence of the embedded aminocarbazole fragment. It proved relatively easy to improve the drug metab. and pharmacokinetic (DMPK) properties by variation of the side chain (as in 4a) but difficult to eliminate the aminocarbazole fragment. For compds. in this series to have the potential to be drugs, we believed that both the compd. itself and the component aniline must be free of mutagenic activity. Parallel structure-activity relationship studies looking at the effects of ring substitution have proved that it is possible by incorporation of a 4-Me substituent to produce carbazole ureas with potent Y5 activity, comprised of carbazole anilines that in themselves are devoid of mutagenic activity in the Ames test. Compd. 4o (also known as NPY5RA-972) is highly selective with respect to Y1, Y2, and Y4 receptors (and also to a diverse range of unrelated receptors and enzymes), with an excellent DMPK profile including central nervous system penetration. NPY5RA-972 (4o) is a highly potent Y5 antagonist in vivo but does not block neuropeptide Y-induced feeding nor does it reduce feeding in rats, suggesting that the Y5 receptor alone has no significant role in feeding in these models.

IT **439863-39-5P**
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); **BIOL (Biological study)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(discovery and optimization of series of carbazole ureas as NPY5 antagonists for obesity treatment)

RN 439863-39-5 CAPLUS
CN 9H-Carbazol-3-amine, 2,4-dimethyl-9-(1-methylethyl)- (9CI) (CA INDEX NAME)

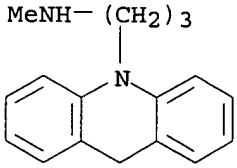


REFERENCE COUNT: 70 THERE ARE 70 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 51 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:494560 CAPLUS
DOCUMENT NUMBER: 137:226186
TITLE: Studies on the three dimensional quantitative structure-activity relationship of serotonin reuptake inhibitors
AUTHOR(S): Shi, Yu; Wang, Xiao-fang; Yang, Guang-zhong
CORPORATE SOURCE: Institute of Materia Medica, Chinese Academy of Medical Sciences, Beijing, 100050, Peop. Rep. China
SOURCE: Jisuanji Yu Yingyong Huaxue (2002), 19(1/2), 35-40
CODEN: JYYHE6; ISSN: 1001-4160
PUBLISHER: Jisuanji Yu Yingyong Huaxue Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: Chinese

AB AIM: To quant. disclose the relationship between structure and actively of a series of serotonin reuptake inhibitors and direct the design of novel potent selective serotonin. reuptake inhibitors. METHODS AND RESULTS: Sixty 5-HT reuptake inhibitors from literature as a training set were investigated with the aim of developing a 3D-QSAR model using the comparative mol. field anal. (CoMFA). The predictive pharmacophore model shows a higher ability to explain and predict the activity of serotonin reuptake inhibitors, with the cross-validation RCV2 = 0.614, non cross-validation R2 = 0.988, F = 456.172, and SEE (std. err of est.) = 0.134. Seven Compds. were selected as a predicting set, the low deviations of calcd. values from the measured ones suggesting a powerful predictive ability of the model. CONCLUSION: The 3D-QSAR explains the dependence of the structures of the compds. Some structure information for design of new 5-HT reuptake inhibitors with higher activity has been given.

IT **457071-94-2**
RL: PAC (Pharmacological activity); PRP (Properties); **BIOL (Biological study)**
(three dimensional quant. structure-activity relationship of serotonin reuptake inhibitors)
RN 457071-94-2 CAPLUS
CN 10(9H)-Acridinepropanamine, N-methyl- (9CI) (CA INDEX NAME)



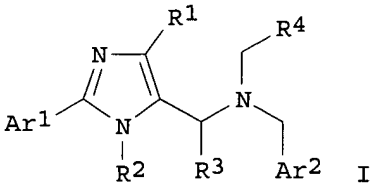
L12 ANSWER 52 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:487497 CAPLUS

09/ 995,324

DOCUMENT NUMBER: 137:78952
TITLE: Preparation of substituted imidazoles, pyrazoles and amides as high affinity C5a receptor modulators
INVENTOR(S): Thurkauf, Andrew; Zhang, Xiaoyan; He, Xia-Shu; Zhao, He; Peterson, John; Maynard, George; Ohliger, Robert
PATENT ASSIGNEE(S): Neurogen Corporation, USA
SOURCE: PCT Int. Appl., 609 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002049993	A2	20020627	WO 2000-US26816	20000929
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2000076225	A5	20020701	AU 2000-76225	20000929

PRIORITY APPLN. INFO.: WO 2000-US26816 W 20000929
OTHER SOURCE(S): MARPAT 137:78952
GI



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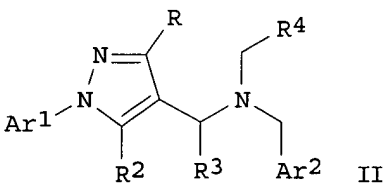
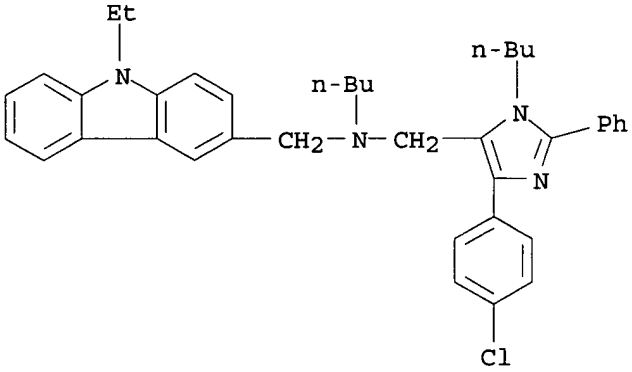


II

AB The invention includes low mol. wt., non-peptidic, non-peptidommetic, org. mols. that can act as modulators of mammalian complement C5a receptors, preferably ones that act as high affinity C5a receptor ligands and also such ligands that can act as antagonists or inverse agonists of complement C5a receptors. Preferred compds. of the invention possess some or all of the following properties in that they are: (1) multi-aryl in structure; (2) heteroaryl in structure; (3) a pharmaceutically acceptable oral dose can provide a detectable in vivo effect; (4) comprise fewer than four or preferably no amide bonds, and (5) capable of habiting leukocyte chemotaxis at nanomolar or sub-nanomolar concns. Such compds. include imidazoles I [R1 = H, OH, halo, etc.; R2 = alkyl, cycloalkyl, etc.; R3 H, alkyl, etc.; R4 = alkyl, alkenyl, cycloalkyl, etc.; Ar1, Ar2 = (un)substituted carbocyclic aryl, arylalkyl, etc.], pyrazoles II [R = H, OH, halo, etc.; R2, R3 = H, OH, halo, etc.; R4 = alkyl, alkenyl, cycloalkyl, etc.; Ar1, Ar2 = (un)substituted carbocyclic aryl, arylalkyl, etc.], amides Ar1CONR1R2 [III; R1, R2 = alkyl, alkenyl, cycloalkyl, etc.; Ar1 = (un)substituted carbocyclic aryl, arylalkyl, etc.], etc. Detailed prepn. of some compds. I-III was given. E.g., a multi-step synthesis of I [Ar1 = Ph; R1, R3 = H; R2 = Bu; R4, Ar2 = 3,4-methylenedioxyphenyl] was presented. The invention also includes pharmaceutical compn. comprising such compds. I-III and the use of such compds. in treating a variety of inflammatory and immune system disorders.

09/ 995,324

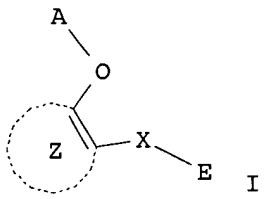
IT **439573-06-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of substituted imidazoles, pyrazoles and amides as high affinity C5a receptor modulators)
RN 439573-06-5 CAPLUS
CN 9H-Carbazole-3-methanamine, N-butyl-N-[[1-butyl-4-(4-chlorophenyl)-2-phenyl-1H-imidazol-5-yl]methyl]-9-ethyl- (9CI) (CA INDEX NAME)



L12 ANSWER 53 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:487387 CAPLUS
DOCUMENT NUMBER: 137:63257
TITLE: Preparation of benzamides as inhibitors of production and release of inflammatory cytokines
INVENTOR(S): Muto, Susumu; Nagano, Tatsuo; Saotome, Tomomi; Itai, Akiko
PATENT ASSIGNEE(S): Institute of Medicinal Molecular Design Inc., Japan
SOURCE: PCT Int. Appl., 313 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002049632	A1	20020627	WO 2001-JP11084	20011218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002022683	A5	20020701	AU 2002-22683	20011218

PRIORITY APPLN. INFO.: JP 2000-383202 A 20001218
WO 2001-JP11084 W 20011218
OTHER SOURCE(S): MARPAT 137:63257
GI



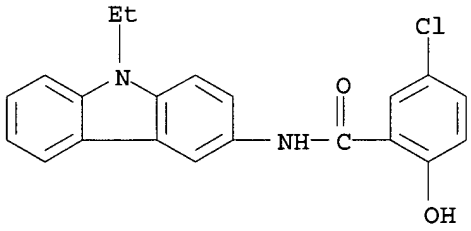
I

AB The title compds. I (wherein X is a connecting group; A is hydrogen or acetyl; E is aryl or heteroaryl; and Z is arene or heteroarene) are prepd. In an in vitro test using cells, 5-chloro-2-hydroxy-N-(4-methoxynaphthalen-2-yl)benzamide at 1 .mu.g/mL gave 95.1% inhibition of NF-.kappa.B activation.

IT **439144-16-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of benzamides as inhibitors of prodn. and release of inflammatory cytokines)

RN 439144-16-8 CAPLUS

CN Benzamide, 5-chloro-N-(9-ethyl-9H-carbazol-3-yl)-2-hydroxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 54 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:485500 CAPLUS

DOCUMENT NUMBER: 137:185206

TITLE: Rationalizing the Strength of Hydrogen-Bonded Complexes. Ab Initio HF and DFT Studies

AUTHOR(S): Lukin, Oleg; Leszczynski, Jerzy

CORPORATE SOURCE: Computational Center for Molecular Structure and Interactions, Department of Chemistry, Jackson State University, Jackson, MS, 39217, USA

SOURCE: Journal of Physical Chemistry A (2002), 106(29), 6775-6782
CODEN: JPCAFH; ISSN: 1089-5639

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A comparative study of the relative stabilities of 17 multiply H-bonded complexes was carried out using ab initio Hartree-Fock and d. functional methods at the HF/6-311(d,p) and B3LYP/6-311(d,p) levels, resp. Predicted H-bond geometries, relative stabilities, solvent and structural effects, and electrostatic potential contours are discussed in conjunction with exptl. data. The B3LYP method, which secures a better agreement of the optimized geometries with the available x-ray data, also was applied to calc. the gas-phase free energies and enthalpies. The computations reveal

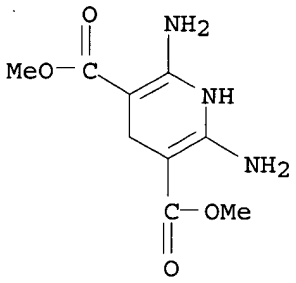
that the frequently used incremental approach, which takes into consideration the primary and secondary electrostatic interactions, can often be deceptive in interpreting the stabilities of the multiply H-bonded dimers. The explanation that reduced entropy enhances the stability of dimers involving intramol. H bonds in their monomeric parts compared to similar structures lacking such bonds also is misleading. A comparison of the calcd. results with available exptl. stabilities measured in CHCl3 solns. shows that water present in the solvent may cause dramatic changes in relative stabilities. Electrostatic potential contours calcd. at the B3LYP/6-311(d,p) level provide a useful qual. explanation of the stability differences in the studied complexes.

IT **449796-35-4**
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); PROC (Process)
(ab initio HF and DFT studies on strength of hydrogen-bonded complexes)

RN 449796-35-4 CAPLUS
CN 3,5-Pyridinedicarboxylic acid, 2,6-diamino-1,4-dihydro-, dimethyl ester, compd. with anthyridine (1:1) (9CI) (CA INDEX NAME)

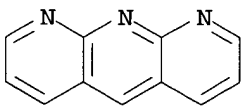
CM 1

CRN 449796-34-3
CMF C9 H13 N3 O4



CM 2

CRN 261-15-4
CMF C11 H7 N3



REFERENCE COUNT: 73 THERE ARE 73 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

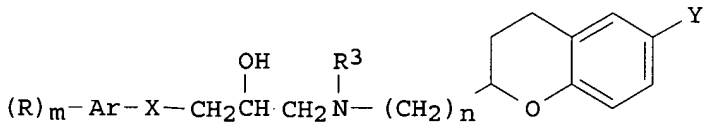
L12 ANSWER 55 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:465994 CAPLUS
DOCUMENT NUMBER: 137:33326
TITLE: Preparation of chiral alkylaminochroman derivatives as .beta.3 adrenoreceptor agonists
INVENTOR(S): Ladouceur, Gaetan H.; Bullock, William H.; Magnuson, Steven R.; O'Connor, Stephen J.; Smith, Roger A.; Shen, Quanrong; Liu, Quingjie; Su, Ning; Velthuisen, Emil J.; Campbell, Ann-Marie; Ehrlich, Paul P.
PATENT ASSIGNEE(S): Bayer Corporation, USA

09/ 995,324

SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002048134	A2	20020620	WO 2001-US46623	20011207
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002028816	A5	20020624	AU 2002-28816	20011207

PRIORITY APPLN. INFO.: US 2000-254735P P 20001211
WO 2001-US46623 W 20011207
OTHER SOURCE(S): MARPAT 137:33326
GI



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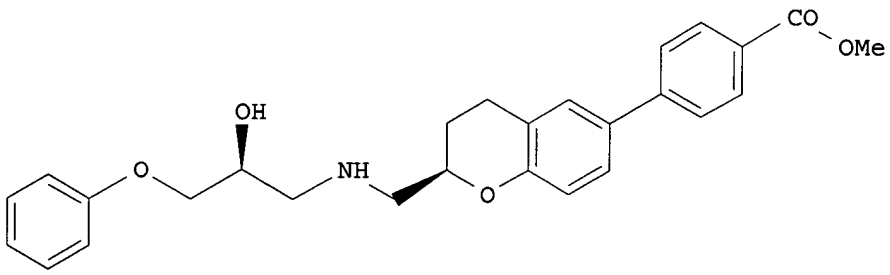


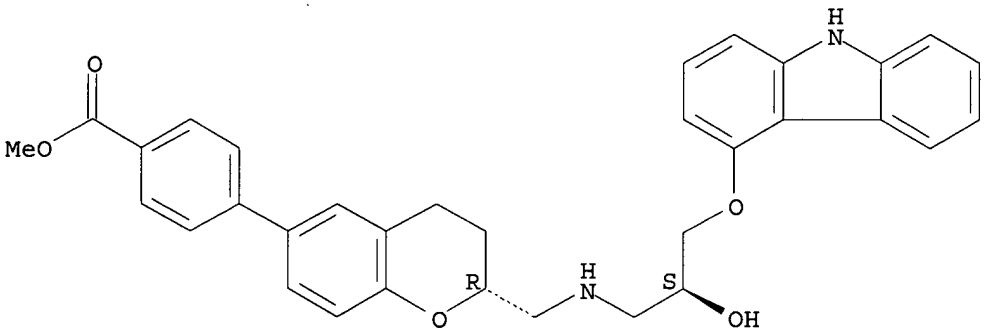
AB Title compds. [I; Ar = C6H5, heterocycle, benzoheterocycle; Y = halo, OR1, COOR1, CH2CH2COOH, 4-C6H4COOH, 4-C6H4COOCH3, 3-C6H4COOH, 2-naphthyl-6-carboxylic acid, etc.; m = 0, 1, 2, 3, 4, 5; n = 1, 2, 3; X = O, S, S:O, SO2; R = OH, halo, CN, NO2, CF3; R1 = H, (CH2)nO(CH2)nCOOH, (CH2)nO(CH2)nH; R2 = R1, OR1, NR1R1, alkoxy, halo, NO2; R3 = H, alkyl, C6H5CH2, COR2] are prepd. as .beta.3 adrenergic receptor agonists. Title compds. I are useful in a pharmaceutical compn. for the treatment of diabetes, impaired fasting glucose, impaired glucose tolerance, obesity, hypertriglyceridemia, hypercholesterolemia, hypercholesterolemia, lowering

high-d. lipoprotein levels, atherosclerosis, cardiovascular diseases and related diseases, gastrointestinal disorders, neuro genetic inflammation, ocular hypertension, glaucoma, urol. disorders, benign prostatic hyperplasia, and, incontinence. Thus, the title compd. II was prepd. from (2R)-t-iodo-3,4-dihydro-2H-chroman-2-carboxylic acid, Me 4-iodobenzoate, and (2S)-1-amino-3-phenoxy-2-propanol via redn. and condensation. The title compd. II was tested for .beta.3 agonistic activity with EC50 .ltoreq. 1.mu.M.

IT **437764-33-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation);
USES (Uses)
(prepn. of chiral aminoalkylchroman derivs. as .beta.3 adrenoreceptor agonists)

RN 437764-33-5 CAPLUS
CN Benzoic acid, 4-[(2R)-2-[[[(2S)-3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]methyl]-3,4-dihydro-2H-1-benzopyran-6-yl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 56 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:465973 CAPLUS
DOCUMENT NUMBER: 137:28284
TITLE: Antitumor carbazoles, and coproverdine isolation
INVENTOR(S): Munro, Murray Herbert Gibson; Blunt, John Wilson; Urban, Sylvia; Garcia Gravalos, Dolores
PATENT ASSIGNEE(S): Pharma Mar, S.A., Spain; Ruffles, Graham Keith
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002048107	A1	20020620	WO 2001-GB5523	20011213
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002017266	A5	20020624	AU 2002-17266	20011213

09/ 995,324

PRIORITY APPLN. INFO.: GB 2000-30417 A 20001213
WO 2001-GB5523 W 20011213

OTHER SOURCE(S): MARPAT 137:28284

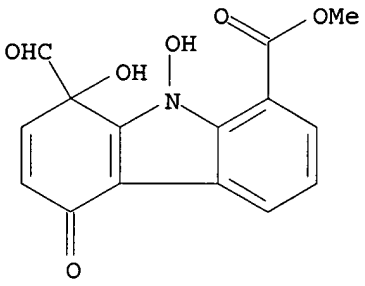
AB The invention provides carbazole compds., as well as methods for their prepn., compns. contg. them, and their use as a medicament, particularly for the treatment and prophylaxis of cancer. Also described is the isolation (from an ascidian) of coproverdine (8-formyl-8,9-dihydroxy-5-oxo-8,9-dihydro-5H-carbazole-1-carboxylic acid Me ester), its derivatization, and its antitumor activity.

IT **437702-23-3P**, Coproverdine
RL: NPO (Natural product occurrence); PAC (Pharmacological activity); PUR (Purification or recovery); **BIOL (Biological study)**; THU (Therapeutic use); **BIOL (Biological study)**; OCCU (Occurrence); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(antitumor carbazoles)

RN 437702-23-3 CAPLUS

CN 1H-Carbazole-8-carboxylic acid, 1-formyl-4,9-dihydro-1,9-dihydroxy-4-oxo-, methyl ester, (-)- (9CI) (CA INDEX NAME)

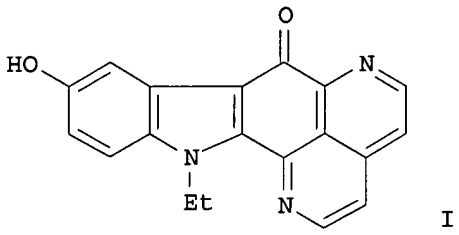
Rotation (-).
Currently available stereo shown.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 57 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:459775 CAPLUS
DOCUMENT NUMBER: 137:232796
TITLE: Synthesis of carbazolequinone derivatives as inhibitors of Toxoplasma gondii purine nucleoside phosphorylase
AUTHOR(S): Bouaziz, Zouhair; Gherardi, Arnaud; Regnier, Francois; Sarciron, Marie-Elizabeth; Bertheau, Xavier; Fenet, Bernard; Walchshofer, Nadia; Fillion, Houda
CORPORATE SOURCE: Laboratoire de Chimie Organique EA 635, Universite Claude Bernard, Faculte de Pharmacie, Lyon, 69373, Fr.
SOURCE: European Journal of Organic Chemistry (2002), (11), 1834-1838
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



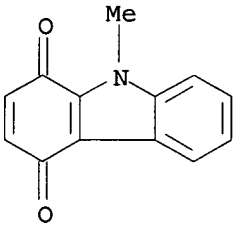
I

AB 9-Ethyl-6-hydroxycarbazolequinone was synthesized and submitted to a hetero Diels-Alder reaction with azadienes to afford the hydroxypyridocarbazole-5,11-diones. A Bracher cyclization applied to one of the compd. led to the 9-hydroxyquinoneimine, I, admixed with its 9-Me ether. These compds. as well as other carbazolequinone derivs. were evaluated against a purine nucleoside phosphorylase isolated from two strains of Toxoplasma gondii (a virulent strain RH and a cystic strain ME 49). The synthesized carbazolequinones and pyridocarbazolequinones showed inhibitory activities similar or better than those obsd. with the ref. compd. 8-aminoguanosine.

IT **459452-39-2**
RL: PAC (Pharmacological activity); **BIOL (Biological study)**; **BIOL (Biological study)**; **BIOL (Biological study)**
(synthesis of carbazolequinone derivs. via aza Diels-Alder reactions and Bracher cyclization as inhibitors of Toxoplasma gondii purine nucleoside phosphorylase)

RN 459452-39-2 CAPLUS

CN 1H-Carbazole-1,4(9H)-dione, 9-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 58 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:449684 CAPLUS

DOCUMENT NUMBER: 137:33299

TITLE: Preparation of heterocyclic ether substituted imidazoquinolines as immune response modulators for treatment of viral and neoplastic diseases

INVENTOR(S): Charles, Leslie J.; Dellaria, Joseph F.; Griesgraber, George W.; Heppner, Philip D.; Manske, Karl J.; Mickelson, John W.; Rice, Michael J.

PATENT ASSIGNEE(S): 3M Innovative Properties Company, USA

SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

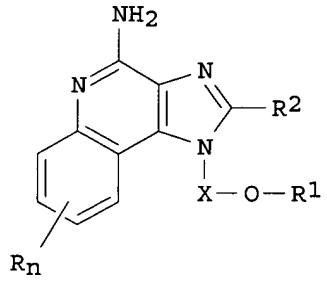
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2002046193	A2	20020613	WO 2001-US46704	20011206	
W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
US 2002193396	A1	20021219	US 2001-12599	20011201	
AU 2002030618	A5	20020618	AU 2002-30618	20011206	
US 2002173655	A1	20021121	US 2001-13059	20011206	

PRIORITY APPLN. INFO.: US 2000-254218P P 20001208
WO 2001-US46704 W 20011206

OTHER SOURCE(S): MARPAT 137:33299

GI



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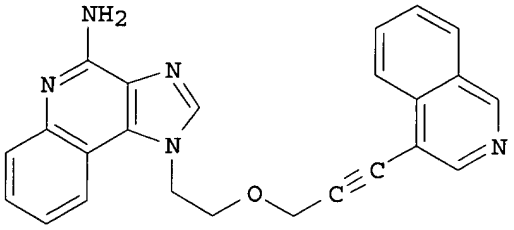


II

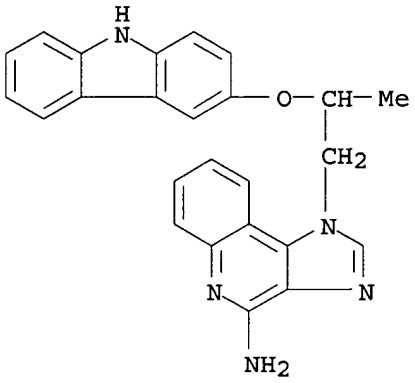
AB Title (tetrahydro)imidazoquinolines that contain ether and heterocyclyl or heteroaryl functionality at the 1-position [I; wherein X = CHR3, CHR3-alkyl, or CHR3-alkenyl; R = independently alkyl, alkoxy, OH, halo, or CF3; R1 = heteroaryl, heterocyclyl, R4-heteroaryl, or R4-heterocyclyl; R2 = H, alkyl, alkenyl, (hetero)aryl, heterocyclyl, alkyl-Y-alkyl; alkyl-Y-alkenyl, or alkyl-Y-aryl in which the alkyl and alkenyl groups may be substituted; R3 = independently H or alkyl; R4 = alkyl or alkenyl, which may be interrupted by one or more O groups; Y = independently O or S(O)0-2; n = 0-4; or their pharmaceutically acceptable salts] were prepd. as immune response modifiers which can induce the biosynthesis of various cytokines. For example, 2-(1H-imidazo[4,5-c]quinolin-1-yl)-1-ethanol was treated with NaOH and propargyl bromide in CH2Cl2 to give the ether. Oxidization using 3-chloroperoxybenzoic acid afforded the 5N-oxide, which was reacted with trichloroacetyl isocyanate and hydrolyzed to give the amine. BOC protection, followed by addn. of 4-bromoisoquinoline in the presence of Pd(PPh3)2Cl2 and TEA in DMF and treatment with TFA under nitrogen, afforded II. II induced interferon (IFN) and tumor necrosis

factor .alpha. (TNF-.alpha.) in human blood cell systems with at concns. of 0.12 .mu.M and 3.33 .mu.M, resp. Thus, I are useful in the treatment of a variety of conditions, including viral and neoplastic diseases (no data).

IT **436158-39-3P**, 1-[2-(9H-Carbazol-3-yloxy)propyl]-1H-imidazo[4,5-c]quinolin-4-amine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(immune response modulator; prepn. of heterocyclic ether substituted imidazoquinolines as immune response modulators for treatment of viral and neoplastic diseases)

RN 436158-39-3 CAPLUS

CN 1H-Imidazo[4,5-c]quinolin-4-amine, 1-[2-(9H-carbazol-3-yloxy)propyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 59 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:430479 CAPLUS

DOCUMENT NUMBER: 137:225721

TITLE: Some luminescence characteristics of ytterbium-acridines

AUTHOR(S): Korovin, Yu.; Rusakova, N.; Kostenchuk, M.; Rusakova, M.; Suveyzdis, Y.

CORPORATE SOURCE: A.V. Bogatsky Physico-Chemical Institute, National Academy of Sciences of Ukraine, Odessa, 65080, Ukraine

SOURCE: Polish Journal of Chemistry (2002), 76(6), 901-905
CODEN: PJCHDQ; ISSN: 0137-5083

PUBLISHER: Polish Chemical Society

DOCUMENT TYPE: Journal

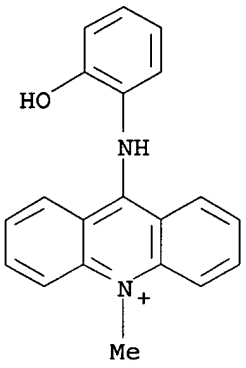
LANGUAGE: English

AB A study of luminescence properties of new Yb complexes with hydroxy and carboxy substituted aniline derivs. of acridine is reported. Preliminary results of studies of their cytotoxicity are also reported.

IT **457055-68-4DP**, ytterbium complex
RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn., luminescence and cytotoxic activity)

RN 457055-68-4 CAPLUS

CN Acridinium, 9-[(2-hydroxyphenyl)amino]-10-methyl- (9CI) (CA INDEX NAME)

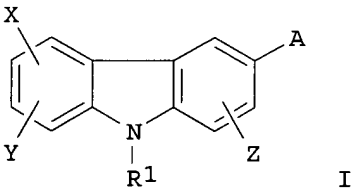


REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 60 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:425418 CAPLUS
DOCUMENT NUMBER: 137:6086
TITLE: Preparation of substituted carbazolylamides as neuropeptide Y-5 antagonists
INVENTOR(S): Elliott, Richard L.; Griffith, David A.; Hammond, Marlys
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 46 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6399631	B1	20020604	US 2000-620315	20000721

PRIORITY APPLN. INFO.: US 1999-145304P P 19990723
OTHER SOURCE(S): MARPAT 137:6086
GI



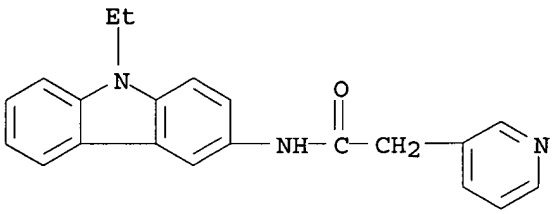
I

AB Title compds. I [X, Y, Z = H, halo, OH, NO2, CN, alkyl, alkoxy, amino, alkylamino, etc.; R1 = alkyl, alkylaryl, alkenyl, (cyclo)alkyl, mono/polyfluoroalkyl; A = NR2CO, NR2SO2; R2 = H, alkyl, alkylaryl, alkenyl, etc.] were prepd. For instance, 3-amino-9-ethylcarbazole and 4-(dimethylamino)butyric acid were coupled (CH2Cl2, EDC, Et3N, DMAP, 19 h) to give I (X, Y, Z = H; R1 = Et; A = NHCOCH2CH2CH2N(CH3)2; II). II had Ki < 1 .mu.M for the neuropeptide Y-5 (NPY-5) receptor. I are useful in treating conditions assocd. with NPY-5 neurotransmission, e.g., obesity.

IT **432505-70-9P**, N-[9-Ethyl-9H-carbazol-3-yl]-2-pyridin-3-ylacetamide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); **BIOL (Biological study)**; **BIOL (Biological**

study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (target drug, intermediate; prepn. of substituted carbazolylamides as neuropeptide Y-5 antagonists)

RN 432505-70-9 CAPLUS
CN 3-Pyridineacetamide, N-(9-ethyl-9H-carbazol-3-yl)- (9CI) (CA INDEX NAME)

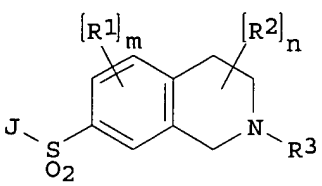


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 61 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:408666 CAPLUS
DOCUMENT NUMBER: 136:401649
TITLE: Preparation of 7-(1-indolylsulfonyl)-1,2,3,4-tetrahydroisoquinolines useful in the treatment of CNS disorders
INVENTOR(S): Bromidge, Steven Mark; Moss, Stephen Frederick
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002042293	A1	20020530	WO 2001-EP13410	20011116
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002015047	A5	20020603	AU 2002-15047	20011116

PRIORITY APPLN. INFO.: GB 2000-28380 A 20001121
GB 2001-11185 A 20010508
WO 2001-EP13410 W 20011116
OTHER SOURCE(S): MARPAT 136:401649
GI



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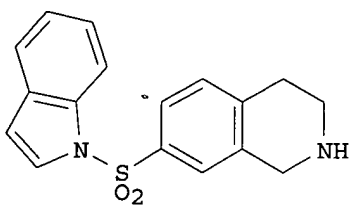


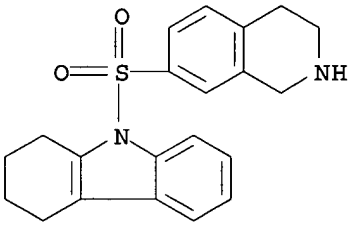
II

AB The title compds. [I; R1 = halo, alkyl, alkoxy, alkanoyl, CN, CF3, OCF3; R2 = alkyl; or R2 together with R3 forms a 5-6 membered satd. carbocyclic ring; R3 = H, (un)substituted alkyl; m = 0-3; n = 0-6; J = (un)substituted 1-indolyl, 1-indazolyl, 9-carbazolyl, etc.] which have affinity for the 5-HT6 receptor and are useful in the treatment of various CNS disorders such as depression, anxiety, Alzheimer's disease, age-related cognitive decline, ADHD, mild cognitive impairment and/or schizophrenia, were prepd. Thus, treating a soln. of indole and Bu4NOH in THF with NaOH followed by addn. of 2-acetyl-1,2,3,4-tetrahydroisoquinoline-7-sulfonyl chloride, and refluxing a soln. of the resulting 1-[7-(indole-1-sulfonyl)-3,4-dihydro-1H-isoquinolin-2-yl]ethanone (72%) in 3M HCl and BuOH afforded (72%) II.HCl which showed pKi in the range 8.2-8.9 at human cloned 5-HT6 receptors.

IT **431038-37-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of 7-(1-indolylsulfonyl)-1,2,3,4-tetrahydroisoquinolines useful in the treatment of CNS disorders)

RN 431038-37-8 CAPLUS

CN 1H-Carbazole, 2,3,4,9-tetrahydro-9-[(1,2,3,4-tetrahydro-7-isoquinolinyl)sulfonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 62 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:408626 CAPLUS
DOCUMENT NUMBER: 136:401535
TITLE: Derivatives of 4-hydroxybutanoic acid and of its higher homologue as ligands of .gamma.-hydroxybutyrate (GHB) receptors, pharmaceutical compositions containing same and pharmaceutical uses
INVENTOR(S): Bourguignon, Jean-Jacques; Maitre, Michel; Klotz, Evelyne; Schmitt, Martine; Gobaille, Serge; Macher, Jean-Paul
PATENT ASSIGNEE(S): Universite Louis Pasteur (Etablissement Public A Caractere Scientifique, Culturel Et Professionnel), Fr.
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002042250	A1	20020530	WO 2001-FR3615	20011116
WO 2002042250	B1	20020718		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,
UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

FR 2817256	A1	20020531	FR 2000-15291		20001127
AU 2002020792	A5	20020603	AU 2002-20792		20011116
PRIORITY APPLN. INFO.:			FR 2000-15291	A	20001127
			WO 2001-FR3615	W	20011116

OTHER SOURCE(S): MARPAT 136:401535

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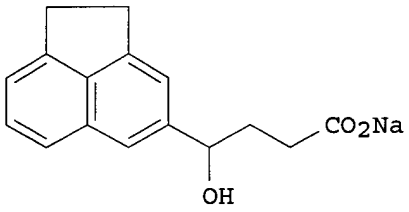


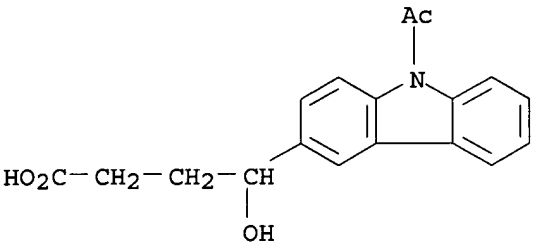
I

AB The invention concerns novel derivs. of 4-hydroxybutanoic acid and its higher homolog, 5-hydroxypentanoic acid, their crotonic homologs, pharmaceutical compns. contg. them and their pharmaceutical uses. In particular, compds. Ar-(CH2)n-CH(OH)-X-W (I) are claimed [wherein: Ar = certain (un)substituted mono-, bi-, and tricyclic arom. and heteroarom. ring systems; n = 0 or 1; X = (CH2)2, (CH2)3, or trans-CH:CH; W = CO2H or pharmaceutically acceptable salt, CH2OH, alkoxycarbonyl, SO3H, PO3H2, tetrazol-5-yl, N-(2,6-dimethylphenylsulfonyl)carbamoyl, CONR7R8, CO2CHR9CO2R10; R7, R8 = H, alkyl, aryl, aralkyl, or OH; R9 = H, Me; R10 = Et, C12H15, or adamantyl]. I are capable of binding with .gamma.-hydroxybutyrate (GHB)-specific receptors, and are capable of exhibiting agonist or antagonist properties. The compds. are potentially useful for treating a wide variety of conditions. In particular, I are useful for treating sleep disorders, anxiety, and general diseases of the central nervous system. Over 40 compds. were prepd. Prepns. generally involved prodn. of 4-(hetero)aryl-4-oxobutanoate esters by different routes, followed by borohydride redn. of the ketone, hydrolysis of the ester, and salification. Compds. I displaced 3H-GHB from rat brain GHB receptors in vitro with IC50 values ranging from 34.2 .mu.M to 0.08 .mu.M (the latter for compd. II). In an EEG test in rats, II gave a 23-28% increase in the duration of slow wave sleep (SWS) at doses of 0.15-0.28 .mu.mol/kg i.p.

IT **430440-52-1P**, 4-(9-Acetyl-9H-carbazol-3-yl)-4-hydroxybutanoic acid sodium salt
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(drug candidate; prepn. of aryl and heteroaryl hydroxybutanoic acid derivs. and homologs as GHB receptor agonists and antagonists)

RN 430440-52-1 CAPLUS

CN 9H-Carbazole-3-butanoic acid, 9-acetyl-.gamma.-hydroxy-, monosodium salt (9CI) (CA INDEX NAME)



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REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 63 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:400330 CAPLUS
DOCUMENT NUMBER: 136:401769
TITLE: Preparation of 4-heterocyclylphenylacetohydrazide derivatives having blood lipid-lowering activity
INVENTOR(S): Suga, Akira; Imanishi, Naoki; Kubota, Hideki; Miura, Toshinori; Moritani, Hiroshi; Matsuda, Kouyou
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2002155080	A2	20020528	JP 2000-355446	20001122

PRIORITY APPLN. INFO.: JP 2000-355446 20001122
OTHER SOURCE(S): MARPAT 136:401769
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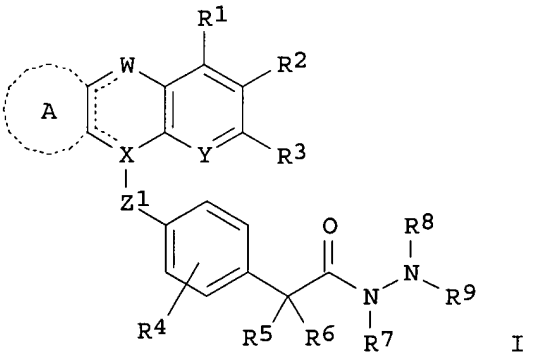


I

AB The title compds. [I; R1-R6 = H,halo, (un)substituted hydrocarbyl or heterocyclyl, CO2H, lower alkoxycarbonyl, CHO, lower alkylcarbonyl, lower alkylthio; R7, R8, R9 = H, (un)substituted hydrocarbyl, Z2-Q; or NR8R9 = N-contg. heterocyclyl; ring A = (un)substituted benzene, pyridine, or cyclohexene; Q = (un)substituted hydrocarbyl or heterocyclyl; Z1 = lower

alkylene, O, (un)substituted NH, SO2, (un)substituted CONH; Z2 = bond, O, N, S, CO; X, Y = N, C, CH] or pharmacol. acceptable salts thereof, which possess apoprotein B (apo B)-related lipoprotein secretion-inhibitory activity, prepd. These compds. possess blood cholesterol-lowering and triglyceride-lowering activity and are useful for the treatment of hyperlipidemia, arteriosclerosis, obesity, and pancreatitis. Thus, 2-cyclopentyl-2-[4-[(2,4-dimethyl-9H-pyrido[2,3-b]indol-9-yl)methyl]phenyl]acetic acid was condensed with phenylhydrazine using 1-hydroxybenzotriazole, 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride, and Et3N in CHCl3 at room temp. overnight to give N-[2-cyclopentyl-2-[4-[(2,4-dimethyl-9H-pyrido[2,3-b]indol-9-yl)methyl]phenyl]acetyl]-N'-phenylhydrazine (II). (S)-II showed ED50 of 0.15 mg/kg for lowering non-HDL cholesterol in rats.

IT **431080-96-5P**

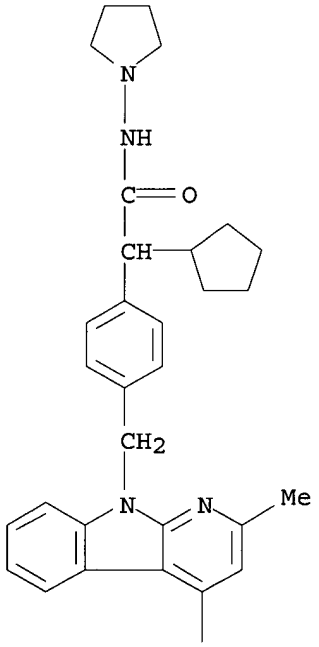
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)

(prepn. of (heterocyclylphenyl)acetohydrazide derivs. with apoprotein B-related lipoprotein secretion-inhibitory, blood lipid-lowering, and cholesterol-lowering activity)

RN 431080-96-5 CAPLUS

CN Benzeneacetamide, .alpha.-cyclopentyl-4-[(2,4-dimethyl-9H-pyrido[2,3-b]indol-9-yl)methyl]-N-1-pyrrolidinyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

L12 ANSWER 64 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:379125 CAPLUS

DOCUMENT NUMBER: 137:212416
TITLE: Design of site specific DNA damaging agents for generation of multiply damaged sites
AUTHOR(S): Martelli, Alain; Constant, Jean-Francois; Demeunynck, Martine; Lhomme, Jean; Dumy, Pascal
CORPORATE SOURCE: LEDSS, CNRS/Universite J. Fourier, Grenoble, BP53 38041, Fr.
SOURCE: Tetrahedron (2002), 58(21), 4291-4298
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

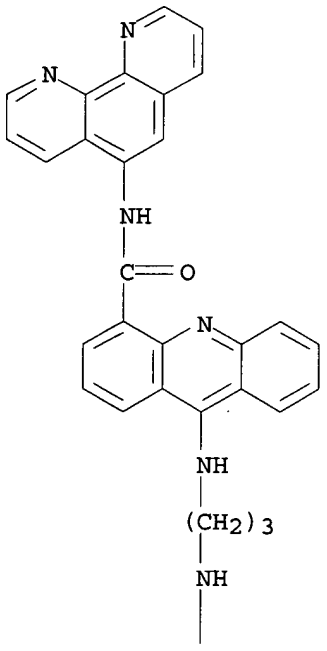
AB We describe the synthesis and DNA damaging activities of hybrid mols. in which a purine (adenine) is linked to an intercalating chromophore (acridine) by a polyamino linker. A DNA damaging agent, phenanthroline or para-nitrobenzamide, is tethered to the acridine moiety at various positions. Our goal is to induce upon activation other lesions in close proximity to the abasic site and therefore create cytotoxic multiply damaged sites.

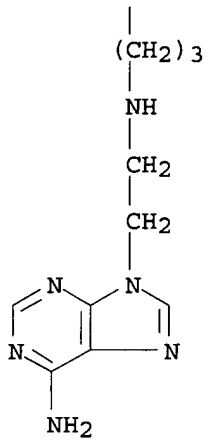
IT **455251-62-4P**
RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(design of site specific DNA damaging agents for generation of multiply damaged sites)

RN 455251-62-4 CAPLUS

CN 4-Acridinecarboxamide, 9-[[3-[[3-[[2-(6-amino-9H-purin-9-yl)ethyl]amino]propyl]amino]propyl]amino]-N-1,10-phenanthrolin-5-yl- (9CI) (CA INDEX NAME)

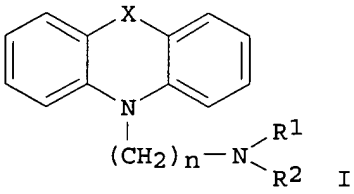
PAGE 1-A





REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 65 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:372411 CAPLUS
DOCUMENT NUMBER: 137:109247
TITLE: Design, Synthesis, and Evaluation of New Chemosensitizers in Multi-Drug-Resistant Plasmodium falciparum
AUTHOR(S): Guan, Jian; Kyle, Dennis E.; Gerena, Lucia; Zhang, Quan; Milhous, Wilbur K.; Lin, Ai J.
CORPORATE SOURCE: Division of Experimental Therapeutics, Walter Reed Army Institute of Research, Silver Spring, MD, 20910, USA
SOURCE: Journal of Medicinal Chemistry (2002), 45(13), 2741-2748
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:109247
GI



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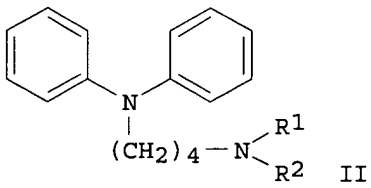


II

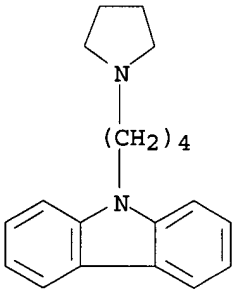
AB A series of new chemosensitizers (modulators) against chloroquine-resistant Plasmodium falciparum were designed and synthesized in an attempt to prep. modulators with enhancing drug-resistant reversing efficacy and minimal side effects. Phenothiazine, iminodibenzyl, and iminostilbene arom. amine ring systems I (X = S, CH2CH2, CH:CH; n = 4-6; R1, R2 = Me, Et, PhCH2; R1R2N = pyrrolinyl) and diphenylamines II (R1 = R2 = Et, R1R2N = pyrrolinyl) were examd. Various tertiary amino groups including either noncyclic or cyclic aliph. amines were introduced to explore the steric tolerance at the end of the side chain. The new

compds. showed better drug-resistant reversing activity in chloroquine-resistant than in mefloquine-resistant cell lines and were generally more effective against chloroquine-resistant P. falciparum isolates from Southeast Asian (W2 and TM91C235) than those from South America (PC49 and RCS). Structure-activity relationship studies revealed that elongation of the alkyl side chain of the mol. retained the chemosensitizing activity, and analogs with four-carbon side chains showed superior activity. Furthermore, new modulators with phenothiazine ring exhibited the best chemosensitizing activity among the four different ring systems examd. Terminal amino function has limited steric tolerance as evidenced by the dramatic lose of the modulating activity, when the size of substituent at the amino group increases. The fractional inhibitory concn. (FIC) index of the best new modulator I (X = S, n = 4, R1R2N = pyrrolinyl) is 0.21, which is superior to that of verapamil (0.51), one of the best-known multi-drug-resistant reversing agents. Some of the analogs displayed moderate intrinsic in vitro antimalarial activity against a W-2 clone of P. falciparum.

IT **443309-41-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. of antimalarial drug chemosensitizing aminoalkyl phenothiazines, benzazepines, and diphenylamines)

RN 443309-41-9 CAPLUS

CN 9H-Carbazole, 9-[4-(1-pyrrolidinyl)butyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 66 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:353460 CAPLUS
DOCUMENT NUMBER: 136:355230
TITLE: Preparation of tetrahydrocyclopent[b]indoles, tetrahydrocarbazoles, hexahydrocyclohept[b]indoles, and related compounds with cytotoxic and antiangiogenic activity.
INVENTOR(S): Giannini, Giuseppe; Marzi, Mauro; Tinti, Maria Ornella; Pisano, Claudio
PATENT ASSIGNEE(S): Sigma-Tau Industrie Farmaceutiche Riunite S.P.A., Italy
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

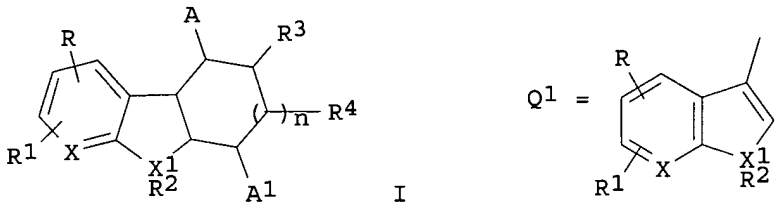
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036597	A1	20020510	WO 2001-IT526	20011016

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002015186 A5 20020515 AU 2002-15186 20011016
PRIORITY APPLN. INFO.: IT 2000-RM570 A 20001103
WO 2001-IT526 W 20011016
OTHER SOURCE(S): MARPAT 136:355230

GI

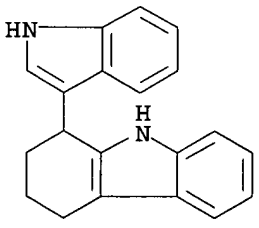


I

AB Title compds. [I; X = CH, N; X1 = O, S, N, CH; R, R1 = H, OH, OR5, NO2, amino, CO2H, alkoxycarbonyl; RR1 = aliph. or arom. cyclic group having 5-6 atoms; R5 = alkyl, benzyl; 2 vicinal R5 = CH2; when X1 = N, CH, then R2 = H, Ph, PhCH2, alkyl; n = 0-4; R3, R4 = H, OH, OR6; R6 = alkyl; when R3 = R4 = vicinal OR6, then R6 = isopropylidene; A = Q1, A1 = H; or A1 = Q1, A = H, R7; R7 = CHO, CH:NOH, (HO-, R6O-substituted) alkyl], were prepd. Thus, 1-(indol-3-yl)-2,3-O-isopropylidene-4-(2,3-O-isopropylideneethyl)tetrahydrocarbazole (prepn. outlined) showed IC50 = 21.1 .mu.M against MCF-7 cells.

IT **422323-81-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of tetrahydrocyclopentindoles, tetrahydrocarbazoles, hexahydrocycloheptindoles, and related compds. with cytotoxic and antiangiogenic activity)

RN 422323-81-7 CAPLUS
CN 1H-Carbazole, 2,3,4,9-tetrahydro-1-(1H-indol-3-yl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 67 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:353422 CAPLUS
DOCUMENT NUMBER: 136:374797

09/ 995,324

TITLE: Preparation of crystal and solvate forms of ondansetron hydrochloride for use as antiemetics
INVENTOR(S): Lidor-Hadas, Ramy; Aronhime, Judith; Lifshitz, Revital; Weizel, Shlomit; Niddam, Valerie
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036558	A2	20020510	WO 2001-US48720	20011030
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002030935	A5	20020515	AU 2002-30935	20011030
US 2002107275	A1	20020808	US 2001-16752	20011030

PRIORITY APPLN. INFO.:
US 2000-244283P P 20001030
US 2000-253819P P 20001129
US 2001-265539P P 20010131
WO 2001-US48720 W 20011030

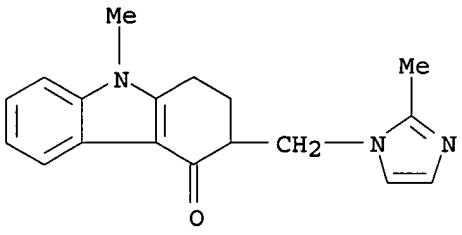
AB The present invention provides novel ondansetron hydrochloride cryst. polymorphic forms and solvates. Processes for making and interconverting the polymorphic forms are also provided. Further, pharmaceutical compns. contg. the novel polymorphic forms and hydrates for treating nausea and/or vomiting are described. For example, ondansetron base (400 mg) was suspended in 16 mL of a 1:1 mixt. of ethanol and isopropanol at room temp. and the suspension was heated to reflux to dissolve the ondansetron. After 20 min of stirring at reflux, an ethanolic soln. contg. 1.1 equiv of HCl was added. The reaction mixt. was stirred at this temp. for an addnl. 10 min. Evapn. of the solvent gave ondansetron hydrochloride dihydrate Form A.

IT **423115-98-4P**
RL: PNU (Preparation, unclassified); PRP (Properties); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of crystal and solvate forms of ondansetron hydrochloride for use as antiemetics)

RN 423115-98-4 CAPLUS
CN 4H-Carbazol-4-one, 1,2,3,9-tetrahydro-9-methyl-3-[(2-methyl-1H-imidazol-1-yl)methyl]-, monohydrochloride, compd. with 2-propanol (9CI) (CA INDEX NAME)

CM 1

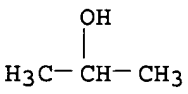
CRN 99614-01-4
CMF C18 H19 N3 O . Cl H



● HCl

CM 2

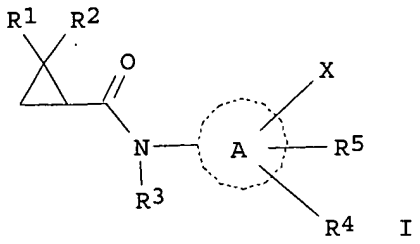
CRN 67-63-0
CMF C3 H8 O



L12 ANSWER 68 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:353412 CAPLUS
DOCUMENT NUMBER: 136:355161
TITLE: Preparation of cyclopropanecarboxylic acid amides as NF-kappa B activation inhibitors, inflammatory cytokine production inhibitors, etc.
INVENTOR(S): Iino, Yukio; Yamamoto, Takashi; Kobayashi, Tsuyoshi
PATENT ASSIGNEE(S): Ajinomoto Co., Inc., Japan
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036547	A1	20020510	WO 2001-JP9554	20011031
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002010989	A5	20020515	AU 2002-10989	20011031

PRIORITY APPLN. INFO.: JP 2000-334271 A 20001101
WO 2001-JP9554 W 20011031
OTHER SOURCE(S): MARPAT 136:355161
GI



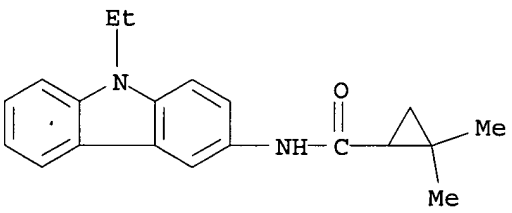
I

AB The title compds. I [R1, R2 = alkyl, etc.; R3 = H, alkyl; ring A = arom. ring, heterocyclic ring; R4, R5 = H, halo, etc.; X = H, amino, etc.] are prepd. I are NF-kappa B activation inhibitors, inflammatory cytokine prodn. inhibitors, matrix metalloprotease prodn. inhibitors, inflammatory cell adhesion factor expression inhibitors, antiinflammatory agents, antirheumatic agents, immunosuppressants, cancer metastasis inhibitors, antiviral agents or remedies for arteriosclerosis. 2,2-Dimethylcyclopropanecarboxylic acid (4-benzylphenyl)amide in vitro showed IC50 of 3 .mu.g/mL against NF-kappa B.

IT **422322-14-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of cyclopropanecarboxylic acid amides as NF-Kappa B activation inhibitors and inflammatory cytokine prodn. inhibitors)

RN 422322-14-3 CAPLUS

CN Cyclopropanecarboxamide, N-(9-ethyl-9H-carbazol-3-yl)-2,2-dimethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 69 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:349146 CAPLUS

DOCUMENT NUMBER: 136:369608

TITLE: Preparation of 3-(N'-oxodihydropyridinylureido)-3-phenylpropanoates as inhibitors of .alpha.4.beta.1 integrin binding

INVENTOR(S): Biediger, Ronald J.; Chen, Qi; Holland, George W.; Kassir, Jamal M.; Li, Wen; Market, Robert V.; Scott, Ian L.; Wu, Chengde; Decker, Radford E.; Li, Jian

PATENT ASSIGNEE(S): Texas Biotechnology Corporation, USA

SOURCE: Eur. Pat. Appl., 131 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

EP 1203766	A2	20020508	EP 2001-125494		20011106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
NO 2001005394	A	20020507	NO 2001-5394		20011105
PRIORITY APPLN. INFO.:			US 2000-707068	A	20001106
			US 2001-973142	A	20011009

OTHER SOURCE(S): MARPAT 136:369608

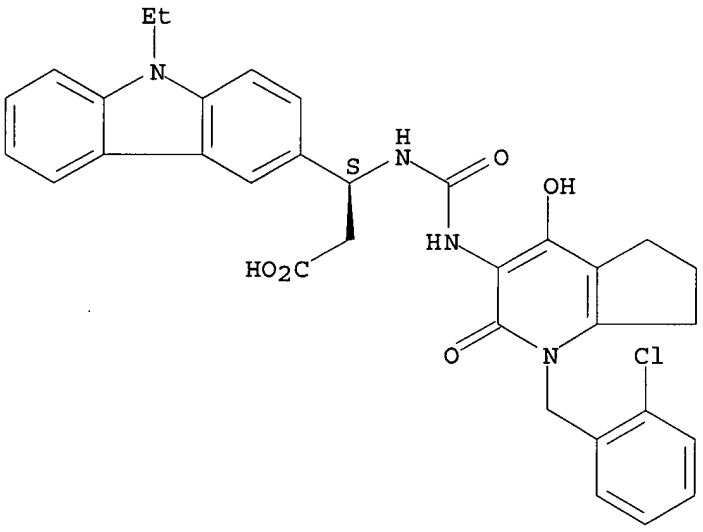
AB Title compds. were prepd. Thus, 2-ClC6H4CH2ZNH2 (Z = 4-ethyl-2-oxo-1,2-dihydropyridine-1,3-diyl)(prepn. given) was condensed with (S)-4-MeC6H4CH(NH2)CH2CO2Et and COCl2 to give, after sapon., (S)-2-ClC6H4CH2ZNHCONHCH(C6H4Me-4)CH2CO2H (Z as above). Data for biol. activity of title compds. were given.

IT **422517-76-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of 3-(N'-oxodihydropyridinylureido)-3-phenylpropanoates as inhibitors of .alpha.4.beta.1 integrin binding)

RN 422517-76-8 CAPLUS

CN 9H-Carbazole-3-propanoic acid, .beta.-[[[[1-[(2-chlorophenyl)methyl]-2,5,6,7-tetrahydro-4-hydroxy-2-oxo-1H-cyclopenta[b]pyridin-3-yl]amino]carbonyl]amino]-9-ethyl-, (.beta.S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



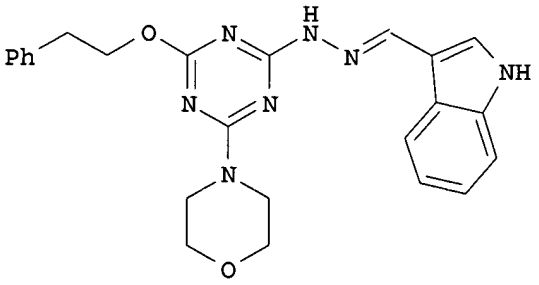
L12 ANSWER 70 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:	2002:345948 CAPLUS
DOCUMENT NUMBER:	136:355251
TITLE:	Preparation of morpholinyltriazines as inhibitors of interleukin-12 (Il-12) production.
INVENTOR(S):	Ono, Mitsunori; Wada, Yumiko; Brunkhorst, Beatrice; Warchol, Tadeusz; Wrona, Wojciech; Zhou, Dan; Vo, Nha Huu; Gillies, Stephen
PATENT ASSIGNEE(S):	Shionogi Bioresearch Corp., USA
SOURCE:	U.S., 14 pp. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6384032	B1	20020507	US 2000-594362	20000615
US 2002082259	A1	20020627	US 2001-6624	20011130

PRIORITY APPLN. INFO.: US 1999-139326P P 19990617
US 2000-594362 A2 20000615
OTHER SOURCE(S): MARPAT 136:355251

GI



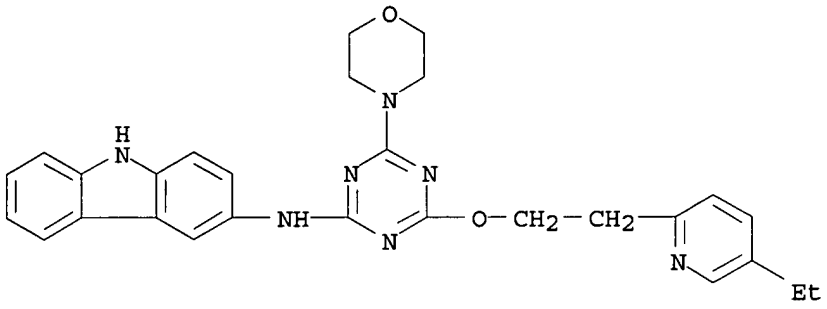
I

AB WL1X(Z)L2Y [X = 1,3,5-triazinyl; L1 = A1B1; A1 = [CH(Ra)]m, O, S, NRb; B1 = [CH(Rc)]n; Ra, Rc = H, alkyl, alkoxy, OH, hydroxyalkyl, CO2H, SH, cyano, NO2, etc.; Rb = H, alkyl, cycloalkyl, heterocycloalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl; m, n = 1-8; W = (substituted) cycloalkyl, heterocycloalkyl, aryl, heteroaryl; L2 = A2B2; A2 = bond, NR1, (CR2R3)p; B2 = bond, N:CR4, CR5:N, etc.; R1-R5 = H, alkyl, alkoxy, OH, hydroxyalkyl, halo, haloalkyl, amino, aryl, etc.; p = 1-3; Y = R'L'R''; R' = bond, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, aralkyl, etc.; L' = bond, O, S, NR28, CO2, etc.; R28 = H, alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, aralkyl, etc.; R'' = cycloalkyl, heterocycloalkyl, aryl, heteroaryl, aralkyl, (substituted) heteroaralkyl], were prepd. Thus, cyanuric chloride, PhCH2CH2OH, CH2Cl2, and dimethylacetamide were refluxed together for 10 h followed by diln. with CH2Cl2, washing with H2O, and filtration. The filtrate at 0.degree. was treated dropwise with morpholine and diisopropylethylamine in CH2Cl2 to give the triazine monochloride intermediate. The latter was stirred with N2H4 in EtOH to give the triazinylhydrazine, which was kept 10 h with indole-3-carboxaldehyde and HOAc in MeOH to give title compd. (I). Title compds. at 10-20 mg/kg gave a survival rate of 60-80% in mice injected with LPS to induce septic shock, vs. 0% survival for untreated controls.

IT **420134-73-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of morpholinyltriazines as inhibitors of interleukin-12 (Il-12) prodn.)

RN 420134-73-2 CAPLUS

CN 9H-Carbazol-3-amine, N-[4-[2-(5-ethyl-2-pyridinyl)ethoxy]-6-(4-morpholinyl)-1,3,5-triazin-2-yl]- (9CI) (CA INDEX NAME)



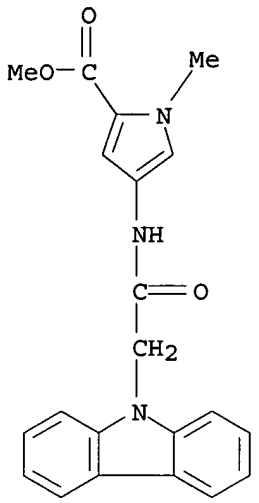
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 71 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:336725 CAPLUS
DOCUMENT NUMBER: 137:294792
TITLE: Design, synthesis, DNA-binding and cytotoxicity evaluation of new potential combilexines
AUTHOR(S): Hotzel, Christian; Marotto, Annalisa; Pindur, Ulf
CORPORATE SOURCE: Department of Pharmacy, Johannes Gutenberg University, Mainz, D-55099, Germany
SOURCE: European Journal of Medicinal Chemistry (2002), 37(5), 367-378
CODEN: EJMCA5; ISSN: 0223-5234
PUBLISHER: Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Combilexines, compds. in which a DNA intercalator is linked to a minor groove binding component, interact with the DNA in a sequence specific manner to yield in most cases compds. with anticancer activity. A series of new compds. closely related to netropsin in which the two components were linked by an amide group was synthesized as potential combilexines. As some of these compds. showed cytotoxic activity in vitro, an attempt was made to rationalize their mechanism of action. The DNA binding characteristics of the carboxamides were evaluated by thermal denaturation expts. and by ethidium bromide displacement assay. Their ability to inhibit topoisomerase I was also detd. It was concluded that the new compds. were only weak DNA ligands although able in some cases to inhibit topoisomerase I.

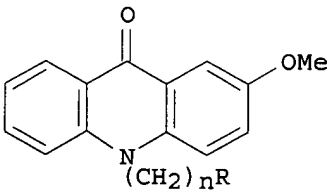
IT **467420-85-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(synthesis, DNA-binding, cytotoxicity, and topoisomerase I inhibitory evaluation of new potential combilexines formally derived from netropsin and distamycin A)

RN 467420-85-5 CAPLUS
CN 1H-Pyrrole-2-carboxylic acid, 4-[(9H-carbazol-9-ylacetyl)amino]-1-methyl-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 72 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:324954 CAPLUS
DOCUMENT NUMBER: 137:279077
TITLE: Synthesis and chemical characterization of 2-methoxy-N10-substituted acridones needed to reverse vinblastine resistance in multidrug resistant (MDR) cancer cells
AUTHOR(S): Krishnegowda, Gowdahalli; Thimmaiah, Padma; Hegde, Ravi; Dass, Chhabil; Houghton, Peter J.; Thimmaiah, Kuntebommanahalli N.
CORPORATE SOURCE: Department of Biochemistry and Molecular Biology, Georgetown University Medical Center, Washington, DC, 20007-219, USA
SOURCE: Bioorganic & Medicinal Chemistry (2002), 10(7), 2367-2380
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



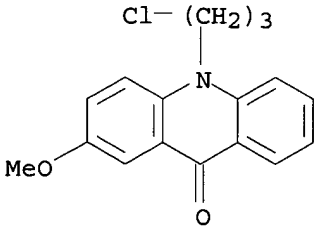
I

AB In an attempt to find clin. useful modulators of multidrug resistance (MDR), a series of 19 N10-substituted-2-methoxyacridone analogs has been synthesized. 2-Methoxyacridone was prepd. by the Ullmann condensation of o-chlorobenzoic acid and p-anisidine followed by cyclization using polyphosphoric acid. This compd. undergoes N-alkylation in the presence of phase transfer catalyst (PTC). Stirring of 2-methoxyacridone with 1-bromo-3-chloropropane or 1-bromo-4-chlorobutane in a two-phase system

consisting of org. phase (tetrahydrofuran) and 6 N potassium hydroxide in the presence of tetrabutylammonium bromide leads to the formation of the N-chloroalkyl derivs. I [R = Cl, n = 3, 4] in good yield. These compds. undergo iodide catalyzed nucleophilic substitution reaction with various secondary amines. Products were characterized by UV, IR, 1H and 13C NMR, mass-spectral data and elemental anal. The lipophilicity expressed in log10 P and pKa of compds. was detd. All compds. were examd. for their ability to increase the uptake of vinblastine (VLB) in MDR KBChR-8-5 cells and I [R = morpholino, 4-(2-hydroxyethyl)piperazino (Q), n = 3; R = NEt2, piperidino, morpholino, thiomorpholino, 4-methylpiperazino, Q, n = 4] at 100 .mu.M caused a 1.05- to 1.7-fold greater accumulation of vinblastine than did a similar concn. of the std. modulator, verapamil (VRP). However, the effects on VLB uptake were specific because these derivs. had little effect in the parental drug sensitive line KB-3-1. Steady state accumulation of VLB, a substrate for P-glycoprotein (P-gp) mediated efflux, was studied in the MDR cell line KBChR-8-5 in the presence and absence of novel MDR modulators. Results of the efflux expt. showed that VRP and each of the modulators significantly inhibited the efflux of VLB, suggesting that they may be competitors for P-gp. I, except I [R = Cl, N(CH2CH2OH)2, thiomorpholino, n = 3; R = Cl, n = 4] exhibited greater efflux inhibiting activity than VRP. All the 19 compds. effectively compete with [3H] azidopine for binding to P-gp, pointed out this transport membrane protein as their likely site of action. Cytotoxicity has been detd. and the IC50 values lie in the range 8.00-18.50 .mu.M for I [n = 3] and 4-15 .mu.M for I [n = 4] against KBChR-8-5 cells suggesting that the antiproliferative activity increases as chain length increases from 3 to 4 carbons. Compds. at IC10 were evaluated for their efficacy to modulate the cytotoxicity of VLB in KBChR-8-5 cells and found that the modulators enhanced the cytotoxicity of VLB by 5- to 35-fold. I [R = NEt2, pyrrolidino, piperidino, morpholino, Q, n = 4] like VRP, were able to completely reverse the 24-fold resistance of KBChR-8-5 cells to VLB. Examn. of the relationship between lipophilicity and antagonism of MDR showed a reasonable correlation suggesting that hydrophobicity is one of the determinants of potency for anti-MDR activity of 2-methoxyacridones.

IT **467235-30-9P**
RL: PAC (Pharmacological activity); RCT (Reactant); **BIOL (Biological study)**; **BIOL (Biological study)**; PREP (Preparation); RACT (Reactant or reagent)
(prepn. and vinblastine resistance-modulating activity of aminoalkyl(methoxy)acridones)

RN 467235-30-9 CAPLUS
CN 9(10H)-Acridinone, 10-(3-chloropropyl)-2-methoxy- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 73 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:314916 CAPLUS
DOCUMENT NUMBER: 136:319358
TITLE: Agent which inactivates pathogens, comprising an element that bonds with nucleic acids and the use thereof

09/ 995,324

INVENTOR(S): Neumann, Hans-Juergen; Knoller, Helmut
PATENT ASSIGNEE(S): Fresenius Hemocare G.m.b.H., Germany
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

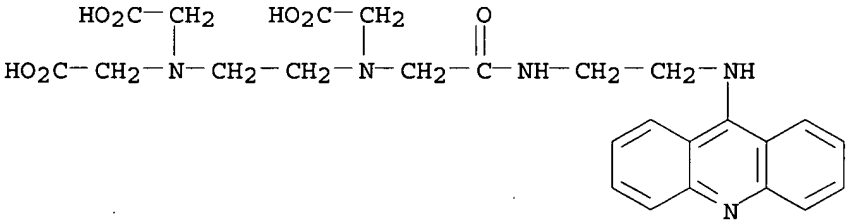
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002032875	A1	20020425	WO 2001-EP5034	20010504
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 10051628	A1	20020502	DE 2000-10051628	20001018
AU 2001072393	A5	20020429	AU 2001-72393	20010504

PRIORITY APPLN. INFO.: DE 2000-10051628 A 20001018
WO 2001-EP5034 W 20010504

AB The invention relates to an agent which inactivates pathogens and to the use thereof. Said agent contains an element that bonds with the nucleic acids of the pathogens and a conjugate, which destroys nucleic acid. The conjugate is produced from a metal-chelate complex, in which the metal can change between at least two levels of oxidn. The agent can in particular be used in physiol. liqs. such as blood or blood components for inactivating viruses.

IT **415684-81-0**
RL: THU (Therapeutic use); **BIOL (Biological study)**; USES (Uses)
(agent which inactivates pathogens, comprising element that bonds with nucleic acids and use thereof)

RN 415684-81-0 CAPLUS
CN Glycine, N-[2-[[2-(9-acridinylamino)ethyl]amino]-2-oxoethyl]-N-[2-[bis(carboxymethyl)amino]ethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 74 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:293604 CAPLUS
DOCUMENT NUMBER: 136:325325
TITLE: Preparation of aryl-substituted N-hydroxy amides with sulfonamide linkages as HDAC inhibitors for treatment of proliferative conditions
INVENTOR(S): Watkins, Clare J.; Romero-Martin, Maria-Rosario; Moore, Kathryn G.; Ritchie, James; Finn, Paul W.; Kalvinsh, Ivars; Loza, Einars; Dikovska, Klara;

09/ 995,324

Gailite, Vija; Vorona, Maxim; Piskunova, Irina; Starchenkov, Igor; Adrianov, Victor; Harris, C. John; Duffy, James E. S.
PATENT ASSIGNEE(S): Prolifix Limited, UK
SOURCE: PCT Int. Appl., 267 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030879	A2	20020418	WO 2001-GB4326	20010927
WO 2002030879	A3	20020627		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2001090131	A5	20020422	AU 2001-90131	20010927

PRIORITY APPLN. INFO.:

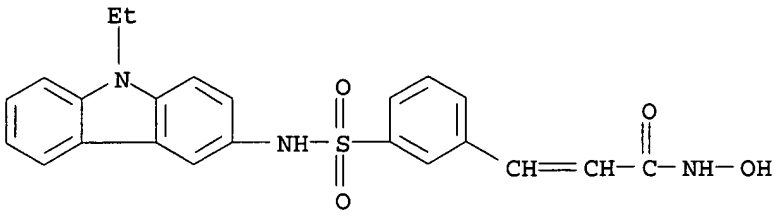
GB 2000-23986	A	20000929
US 2001-297784P	P	20010614
US 2001-308136P	P	20010730
WO 2001-GB4326	W	20010927

OTHER SOURCE(S): MARPAT 136:325325
AB The title compds. AQ1JQ2CONHOH (I) [wherein A = aryl group; Q1 = covalent bond or aryl leader group having a backbone of at least 2 C atoms; J = SO2NR1 or NR1SO2; R1 = sulfonamido substituent; Q2 = acid leader group; with the proviso that if J is SO2NR1, then Q1 is an aryl leader group; and pharmaceutically acceptable salts, solvates, amides, esters, ethers, chem. protected forms, and prodrugs thereof] were prepd. as histone deacetylase (HDAC) inhibitors for treatment of proliferative conditions, such as cancer and psoriasis. For example, 3-(3-sulfonylphenyl)acrylic acid Me ester (prepn. given) was coupled with 1-aminonaphthalene to give the sulfonamide (51%). Deesterification (79%), followed by conversion to the acid chloride (99%) and treatment with HONH2.bul.HCl in the presence of NaHCO3 in THF, afforded N-hydroxy-3-[3-(naphthalen-1-ylsulfamoyl)phenyl]acrylamide (PX117228) in 24% yield. The latter inhibited HDAC from crude human cervical adenocarcinoma (HeLa) ext. with IC50 of 7 nM and inhibited cell proliferation against the HeLa cell line using cell proliferation reagent WST-1 with IC50 of 0.8 nM. Structure-activity relationship studies showed superior activity for I when (1) a reverse sulfonamide, i.e. NHSO2, was employed as J, (2) a covalent bond or aryl leader having a backbone of at least 2C atoms was used as Q1, and/or (3) a phenylene-meta-alkylene linkage was employed as Q2.

IT **414866-06-1P**, 3-[3-(9-Ethyl-9H-carbazol-3-ylsulfamoyl)phenyl]-N-hydroxyacrylamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(HDAC inhibitor; prepn. of aryl N-hydroxy amides with sulfonamide linkages as HDAC inhibitors for treatment of proliferative conditions)

RN 414866-06-1 CAPLUS

CN 2-Propenamide, 3-[3-[[(9-ethyl-9H-carbazol-3-yl)amino]sulfonyl]phenyl]-N-hydroxy- (9CI) (CA INDEX NAME)



L12 ANSWER 75 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:293443 CAPLUS
DOCUMENT NUMBER: 136:319370
TITLE: Use of defined substances that bind to the sigma receptor for combating sarcoma and carcinoma
INVENTOR(S): Van Amsterdam, Christoph
PATENT ASSIGNEE(S): Merck Patent Gmbh, Germany
SOURCE: PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002030422	A1	20020418	WO 2001-EP11710	20011011
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10050236	A1	20020425	DE 2000-10050236	20001011
AU 2002010527	A5	20020422	AU 2002-10527	20011011

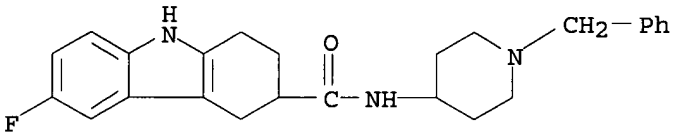
PRIORITY APPLN. INFO.: DE 2000-10050236 A 20001011
WO 2001-EP11710 W 20011011

AB The invention relates to the use of a compd., selected from 3-[4-(4-phenyl-1,2-3,6-tetrahydro-1-pyridyl)butyl]indole-5-ol, 1-(2-(bis(4-fluorophenyl)methoxy)ethyl)-4-(3-phenyl-propyl)piperazine, 1-(4-hydroxyphenyl)-2-(4-benzyl-1-piperidinyl)propanol, 3-(4-((3S)-3-benzyl-1-piperidyl)butyl)indole-5-carbonitrile, 3-(4-((3R)-3-benzyl-1-piperidyl)butyl)indole-5-carbonitrile, 6-(4-(4-(5-fluoro-3-indolyl)butyl)-1-piperazinyl)-2H-1-benzopyrane-2-one, (5S)-(-)-5-[4-(4-aminobenzyl)-1-piperidylmethyl]-3-(4-ethylphenyl)oxazolidine-2-one, 6-3-[4-(2,4-difluorobenzyl)-1-piperidyl]-1-oxopropyl-2,3-dihydrobenzoxazole-2-one. 3-(4-(3-(4-Fluorophenyl-hydroxymethyl)piperido-1-yl)butyl)-5-indole-carbonitrile, 2-(4-[3-(5H-dibenz[b,f]azepine-5-yl)propyl]-1-piperazinyl)ethanol, 1-[2-(3,4-dimethoxyphenyl)ethyl]-4-(3-phenylpropyl)piperazine, (5S)-(-)-5-(4-benzyl-1-piperidylmethyl)-3-(4-chlorophenyl)oxazolidine-2-one, 6-3-[4-(4-fluorobenzyl)-1-piperidyl]-2-methylpropionyl-2,3-dihydrobenzoxazole-2-one, (1R,2S)-(+)-4-(3-(4-benzyl-piperidino-1-yl)-1-hydroxy-2-methyl-propyl)phenol, (E)-4-(3-(4-benzyl-piperidino-1-yl)-2-methyl-propenyl)phenol, 3-(4-(4-(2,1,3-benzothiadiazole-5-yl)-1-piperazinyl)butyl)indole-5-carbonitrile, 6-(3-(4-(4-fluorobenzyl)-1-piperidyl)-2-propenyl)-2,3-dihydrobenzoxazole-2-one, 3-(4-trifluoromethylphenoxymethyl)pyrrolidine, 6-3-[4-(4-fluorobenzyl)-1-piperidyl]-propionyl-3H-benzothiazole-2-one, 4-[3-(4-

fluorobenzyl)piperidino-1-yl]propoxyphenol, [2-(4-methoxy-3-phenethyloxy-phenyl)ethyl]dipropyl-amine. (1S,5R)-3-(2-(2-adamantyl)ethyl)-1,8,8-trimethyl-3-azabicyclo[3.2.1]octane, 6-3-[4-(2,4-difluorobenzyl)piperidino-1-yl]propionyl-3H-benzothiazole-2-one, 1-1-[2-(4-fluoro-phenyl)ethyl]piperidino-4-ylindane-1-ol, 1-[2-(4-fluoro-phenyl)ethyl]-4-(naphthalino-2-sulfinyl)piperidine, 1-(indole-4-yl)-4-[4-(4-fluorophenyl)butyl]piperazine, 3-(4-(2-(2-phenyl-ethyl)-1-piperidyl)-1-butyl)indole, 2-[4-(4-(3-indolyl)butyl)-1-piperazinyl]benzonitrile, etc., or the corresponding acids, bases, or salts, which may be used as .sigma.-receptor ligands for treating carcinoma or sarcoma.

IT **411242-84-7**
RL: PAC (Pharmacological activity); THU (Therapeutic use); **BIOL (Biological study)**; USES (Uses)
(substances that bind to the sigma receptor for combating sarcoma and carcinoma)

RN 411242-84-7 CAPLUS
CN 1H-Carbazole-3-carboxamide, 6-fluoro-2,3,4,9-tetrahydro-N-[1-(phenylmethyl)-4-piperidinyl]- (9CI) (CA INDEX NAME)

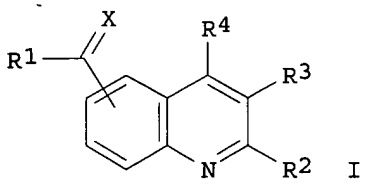


REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

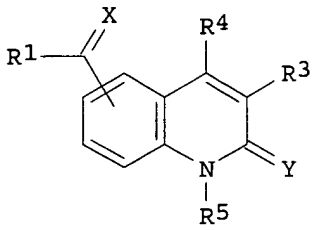
L12 ANSWER 76 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:275968 CAPLUS
DOCUMENT NUMBER: 136:309857
TITLE: Preparation of quinolines and quinolinones as metabotropic glutamate receptor antagonists
INVENTOR(S): Mabire, Dominique Jean-Pierre; Venet, Marc Gaston; Coupa, Sophie; Poncelet, Alain Philippe; Lesage, Anne Simone Josephine
PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002028837	A1	20020411	WO 2001-EP11135	20010925
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2001093847	A5	20020415	AU 2001-93847	20010925

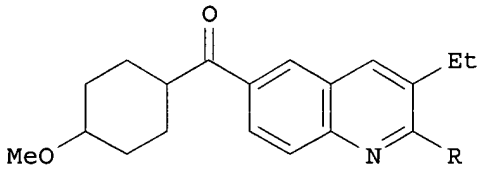
PRIORITY APPLN. INFO.: EP 2000-203419 A 20001002
WO 2001-EP11135 W 20010925
OTHER SOURCE(S): MARPAT 136:309857
GI



I



II



III

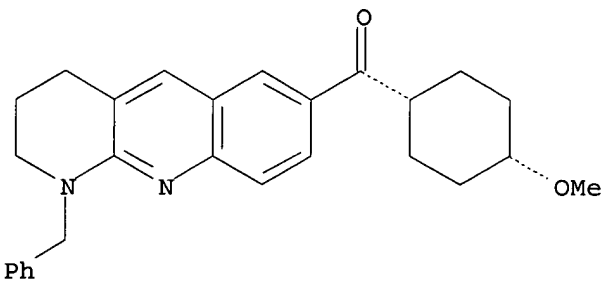
AB The title compds. [I or II; X = O, C(R6)2; (wherein R6 = H, aryl, alkyl, etc.); R1 = alkyl, aryl, thienyl, etc.; R2 = H, halo, CN, etc.; R3, R4 = H, alkyl; or R2 and R3 may be taken together to form (CH2)3, (CH2)4, CH:CHCH:CH, etc.; or R3 and R4 may be taken together to form CH:CHCH:CH, (CH2)4; R5 = H, cycloalkyl, piperidinyl, etc.; Y = O, S; or Y and R5 may be taken together to form CH:NN, N:NN, NCH:CH], useful for treating or preventing glutamate-induced diseases of the central nervous system, were prepd. Thus, reacting cis-III [R = Cl] with SnMe4 in the presence of Pg(PPh3)4 in PhMe afforded 17% cis-III [R = Me] which showed antagonism at a dose of 2.5 mg/kg bodyweight in cold allodynia test in rats with a Bennett ligation.

IT **409345-45-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. of quinolines and quinolinones as metabotropic glutamate receptor antagonists)

RN 409345-45-5 CAPLUS

CN Methanone, (cis-4-methoxycyclohexyl)[1,2,3,4-tetrahydro-1-(phenylmethyl)benzo[b][1,8]naphthyridin-7-yl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



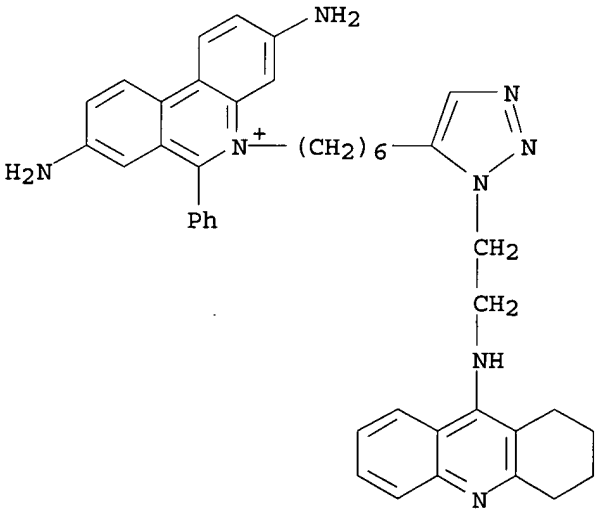
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 77 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:243134 CAPLUS
DOCUMENT NUMBER: 137:75130
TITLE: Click chemistry in situ: Acetylcholinesterase as a reaction vessel for the selective assembly of a femtomolar inhibitor from an array of building blocks
AUTHOR(S): Lewis, Warren G.; Green, Luke G.; Grynszpan, Flavio; Radic, Zoran; Carlier, Paul R.; Taylor, Palmer; Finn, M. G.; Sharpless, K. Barry
CORPORATE SOURCE: Department of Chemistry, The Scripps Research Institute, La Jolla, CA, 92037, USA
SOURCE: Angewandte Chemie, International Edition (2002), 41(6), 1053-1057
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Form-fitting chem. in a protein mold is enabled by the use of the 1,3-dipolar cycloaddn. of azides and alkynes. The enzyme acetylcholinesterase preferentially assembles one pair of these reactants, each of which bears a group that binds to adjacent positions on the protein structure, into a 1,2,3-triazole adduct that is the most potent noncovalent inhibitor of the enzyme yet developed.

IT **440112-97-0P**
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(product/acetylcholinesterase inhibitor; in situ click chem. using acetylcholinesterase as reaction vessel for selective assembly of triazole adduct femtomolar inhibitor from building block array)

RN 440112-97-0 CAPLUS
CN Phenanthridinium, 3,8-diamino-6-phenyl-5-[6-[1-[2-[(1,2,3,4-tetrahydro-9-acridinyl)amino]ethyl]-1H-1,2,3-triazol-5-yl]hexyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 69 THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 78 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:232732 CAPLUS
DOCUMENT NUMBER: 137:306696
TITLE: Synthesis and evaluation of (S)-[18F]-fluoroethylcarazolol for in vivo .beta.-adrenoceptor

imaging in the brain

AUTHOR(S): Doze, P.; van Waarde, A.; Tewson, T. J.; Vaalburg, W.; Elsinga, P. H.

CORPORATE SOURCE: PET Center, Groningen University Hospital, Groningen, 9700 RB, Neth.

SOURCE: Neurochemistry International (2002), 41(1), 17-27
CODEN: NEUIDS; ISSN: 0197-0186

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

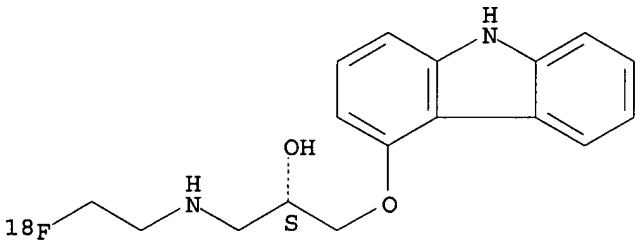
AB The .beta.-adrenergic receptor ligand (S)-4-(3-(2'-[18F]-fluoroethylamino)-2-hydroxypropoxy)-carbazol ((S)-[18F]-fluoroethylcarazolol) was prepd. by reaction of [18F]-fluoroethylamine with the corresponding (S)-epoxide and was evaluated in rats by studying its pharmacokinetics and its binding profile both in vitro and in vivo. In vitro, (S)-fluoroethylcarazolol binds preferentially to .beta.-adrenoceptors (pKi=9.3 for .beta.1 and 9.4 for .beta.2) and has less affinity to 5HT1A and 5HT1D receptors (pKi=6.7 and 5.2). In vivo, std. uptake values (SUVs) up to 0.63.+-.0.07 in cortical regions were found after 60 min. Metabolites (90%) appeared within 10 min in plasma, whereas, in brain 70-75% parent compd. was found after 60 min. Clearance from plasma occurred within 5 min. Cerebral uptake could be blocked by 'cold' fluoroethylcarazolol in every region, except medulla. Uptake was also blocked by propranolol and pindolol, but not by WAY 100635. ICI 89406 hardly lowered [18F] levels in brain. ICI 118551 reduced uptake of [18F] in cerebellum (mainly .beta.2) by 30%. Specific binding (tissue minus medulla values) in various brain regions corresponded with those obsd. for [18F]-fluorocarazolol (r2=0.95) and with in vitro .beta.-adrenoceptor densities (r2=0.76). Autoradiog. using phosphor images of (S)-[18F]-fluoroethylcarazolol in rat brain showed the characteristic binding pattern of .beta.-antagonists, while propranolol treatment resulted in low and homogeneous uptake. Regional tissue minus medulla values corresponded with in vitro .beta.-adrenoceptor densities (r2=0.77). We conclude that (S)-[18F]-fluoroethylcarazolol is a high affinity ligand that binds specifically to cerebral .beta.-adrenoceptors in vivo and may be of use for .beta.-adrenoceptor imaging in the brain with PET.

IT **472968-01-7P**
RL: DGN (Diagnostic use); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(prepn. and evaluation of (S)-[18F]-fluoroethylcarazolol for brain .beta.-adrenoceptor imaging)

RN 472968-01-7 CAPLUS

CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-[[2-(fluoro-18F)ethyl]amino]-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

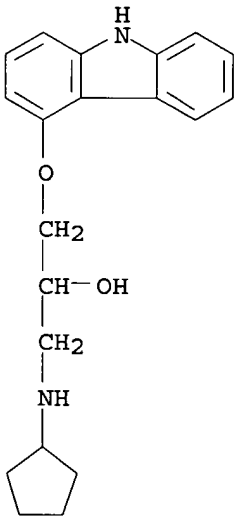
L12 ANSWER 79 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:180462 CAPLUS
DOCUMENT NUMBER: 137:288465
TITLE: Synthesis and bioactivity of 1-(9H-carbazol-4-yloxy)-3-substituted amino-2-propanol compounds
AUTHOR(S): Wang, Lichen; Zhang, Yiyun; Zhang, Luyong; Jiang, Zhenzhou
CORPORATE SOURCE: Department of Organic Chemistry, Center of Drug Pharmacokinetics, China Pharmaceutical University, Nanjing, 210009, Peop. Rep. China
SOURCE: Zhongguo Yaoke Daxue Xuebao (2001), 32(6), 408-411
CODEN: ZHYXE9; ISSN: 1000-5048
PUBLISHER: Zhongguo Yaoke Daxue
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
OTHER SOURCE(S): CASREACT 137:288465

AB The new compds. with .beta.-adrenergic receptor antagonistic action were screened. Using carbazolol as a lead compd., 1-(9H-carbazol-4-yloxy)-3-substituted amino-2-propanol compds. were designed and synthesized of which all were not reported previously. Their structures were identified by IR, 1HNMR, EA, or HRMS. The preliminary biol. tests suggested that all the ten compds. can inhibit isoprenaline-induced tachycardia to different extents, and three of them showed better activity.

IT **467469-53-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation);
USES (Uses)
(synthesis and bioactivity of 1-(9H-carbazol-4-yloxy)-3-substituted amino-2-propanol compds.)

RN 467469-53-0 CAPLUS
CN 2-Propanol, 1-(9H-carbazol-4-yloxy)-3-(cyclopentylamino)- (9CI) (CA INDEX NAME)



L12 ANSWER 80 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:180322 CAPLUS
DOCUMENT NUMBER: 137:137514
TITLE: New carbazole alkaloid from Clausena dunniana levl.
AUTHOR(S): Yan, Shaoyu; Cui, Chengbin; Cai, Bing; Qu, Gexia; Yao, Xinsheng
CORPORATE SOURCE: Beijing Institute of Biomedicine, Beijing, 100091, Peop. Rep. China

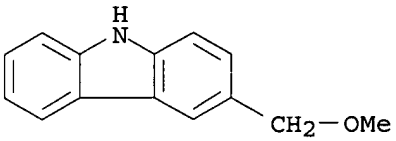
SOURCE: Zhongguo Yaowu Huaxue Zazhi (2001), 11(6), 345-346
CODEN: ZYHZEF; ISSN: 1005-0108
PUBLISHER: Zhongguo Yaowu Huaxue Zazhi Bianjibu
DOCUMENT TYPE: Journal
LANGUAGE: English

AB One alkaloid was isolated from Clausena dunniana, and identified as 3-(methoxymethyl)carbazole based on NMR.

IT **444813-05-2P**, 3-(Methoxymethyl)carbazole
RL: NPO (Natural product occurrence); PRP (Properties); PUR (Purification or recovery); **BIOL (Biological study)**; OCCU (Occurrence); PREP (Preparation)
(isolation and mol. structure of 3-methoxymethylcarbazole, an alkaloid from Clausena dunniana)

RN 444813-05-2 CAPLUS

CN 9H-Carbazole, 3-(methoxymethyl)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 81 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:175319 CAPLUS
DOCUMENT NUMBER: 137:304616

TITLE: Synthesis and pharmacological activity of 1,2,3,4-tetrahydrocarbazole-1-carboxamides

AUTHOR(S): Parshin, V. A.; Alekseeva, N. V.; Bokanov, A. I.; Alekseeva, L. M.; Granik, V. G.
CORPORATE SOURCE: Otd. Med. Khim. Gos. Nauchnogo Tsentra, RF NIOPIK, Moscow, Russia

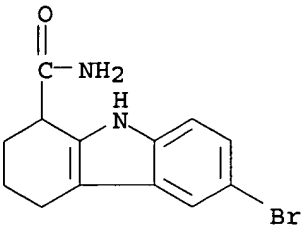
SOURCE: Voprosy Biologicheskoi, Meditsinskoi i Farmatsevticheskoi Khimii (2001), (4), 40-45
CODEN: VBMFBA
PUBLISHER: Izdatel'stvo Meditsina
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB Expts. on noninbred male mice have shown that a no. of 1,2,3,4-tetrahydrocarbazole-1-carboxamides have a low toxicity and produce anticonvulsive and antihypoxic effects. The most active compds. in some tests were superior to the drugs of comparison, sodium valproate and piracetam.

IT **440092-55-7P**
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(synthesis and pharmacol. activity of 1,2,3,4-tetrahydrocarbazole-1-carboxamides)

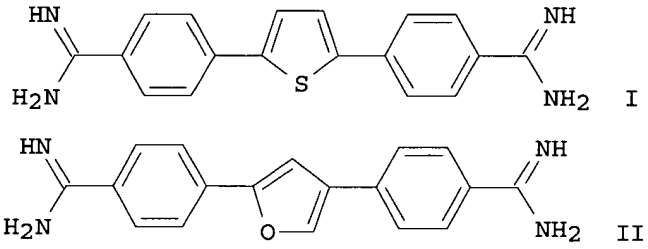
RN 440092-55-7 CAPLUS

CN 1H-Carbazole-1-carboxamide, 6-bromo-2,3,4,9-tetrahydro- (9CI) (CA INDEX NAME)



L12 ANSWER 82 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:146280 CAPLUS
DOCUMENT NUMBER: 136:321920
TITLE: Antileishmanial activities of several classes of aromatic dications
AUTHOR(S): Brendle, James J.; Outlaw, Abram; Kumar, Arvind; Boykin, David W.; Patrick, Donald A.; Tidwell, Richard R.; Werbovetz, Karl A.
CORPORATE SOURCE: Division of Experimental Therapeutics, Walter Reed Army Institute of Research, Silver Spring, MD, 20910, USA
SOURCE: Antimicrobial Agents and Chemotherapy (2002), 46(3), 797-807
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



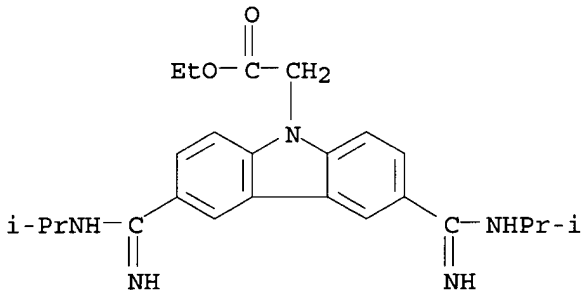
AB Arom. dicationic mols. possess impressive activity against a broad spectrum of microbial pathogens, including Pneumocystis carinii, Cryptosporidium parvum, and Candida albicans. In this work, 58 arom. cations were examd. for inhibitory activity against axenic amastigote-like Leishmania donovani parasites. In general, the most potent of the compds. were substituted di-Ph furan and thiophene dications. 2,5-Bis-(4-amidinophenyl)thiophene (I) was the most active compd. This agent displayed a 50% inhibitory concn. (IC50) of 0.42 .+-. 0.08 .mu.M against L. donovani and an in vitro antileishmanial potency 6.2-fold greater than that of the clin. antileishmanial dication pentamidine and was 155-fold more toxic to the parasites than to a mouse macrophage cell line. 2,4-Bis-(4-amidinophenyl)furan (II) was twice as active as pentamidine (IC50, 1.30 .+-. 0.21 .mu.M), while 2,5-bis-(4-amidinophenyl)furan and pentamidine were essentially equipotent in our in vitro antileishmanial assay. Carbazoles, dibenzofurans, dibenzothiophenes, and benzimidazoles contg. amidine or substituted amidine groups were generally less active than the di-Ph furans and thiophenes. In all cases, arom. dications possessing strong antileishmanial activity were several-fold more toxic to the parasites

than to a cultured mouse macrophage cell line. These structure-activity relationships demonstrate the potent antileishmanial activity of several arom. dications and provide valuable information for the future design and synthesis of more potent antiparasitic agents.

IT **415718-08-0P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); **BIOL (Biological study)**; **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(antileishmanial activities of several classes of arom. dications)

RN 415718-08-0 CAPLUS

CN 9H-Carbazole-9-acetic acid, 3,6-bis[imino[(1-methylethyl)amino]methyl]-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 83 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:139835 CAPLUS

DOCUMENT NUMBER: 137:33437

TITLE: Synthesis and cytotoxic activity of isoacronycine and its derivatives

AUTHOR(S): Magiatis, Prokopios; Mitaku, Sofia; Pierre, Alain; Atassi, Ghanem

CORPORATE SOURCE: Laboratory of Pharmacognosy, University of Athens, Athens, GR-15771, Greece

SOURCE: Heterocycles (2002), 57(2), 341-351
CODEN: HTCYAM; ISSN: 0385-5414

PUBLISHER: Japan Institute of Heterocyclic Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:33437

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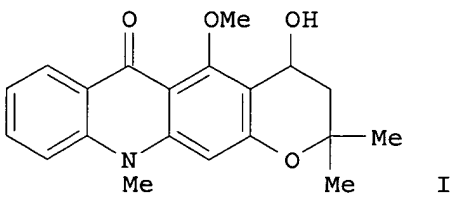


I

AB Condensation of N-methyl-1,3-dihydroxyacridone with 3-methyl-2-butenal led selectively to norisoacronycine, which upon methylation gave isoacronycine. Functionalization of the 1,2 double bond of isoacronycine led to derivs. with reduced cytotoxicity compared with the corresponding ones derived from acronycine. Two very interesting exceptions were

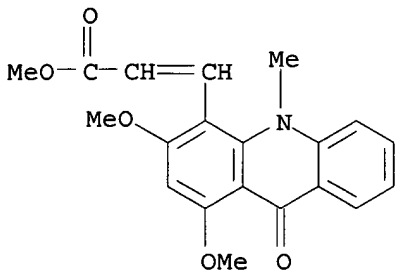
09/ 995,324

1-hydroxy-1,2-dihydroisoacronycine (I) and its acetate, which showed strong induction of apoptosis.

IT **436803-98-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(synthesis and cytotoxic activity of isoacronycine derivs.)

RN 436803-98-4 CAPLUS

CN 2-Propenoic acid, 3-(9,10-dihydro-1,3-dimethoxy-10-methyl-9-oxo-4-acridinyl)-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 84 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:136165 CAPLUS

DOCUMENT NUMBER: 137:6160

TITLE: Synthesis and antibacterial activity of new 9-aminoacridine, 10,11-dihydro-5H-dibenz[b,f]azepine, polyfluorinated 5,6-dihydro-1,3,5-oxadiazine derivatives

AUTHOR(S): Torgun, I. N.; Sydorenko, S. V.; Zykova, I. E.; Yudin, S. M.; Kryukova, L. Yu.; Krylov, I.; Kryukov, L. N.; Kuznetsov, S. L.; Vorontsov, E. A.; Rezvan, S. P.; Grudinina, S. A.

CORPORATE SOURCE: Center of Medical, Biological and Ecological Problems Russian Academy of Natural Sciences, National Research Centre of Antibiotics, Moscow, Russia

SOURCE: Antibiotiki i Khimioterapiya (2001), 46(10), 6-10
CODEN: ANKHEW; ISSN: 0235-2990

PUBLISHER: Izdatel'skii Dom "Krasnaya Ploshchad"

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 137:6160

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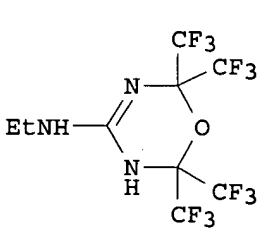

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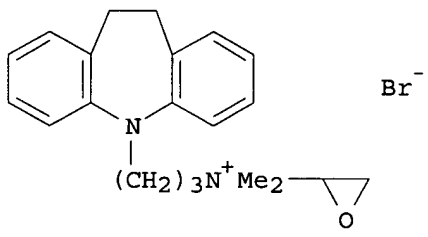


II

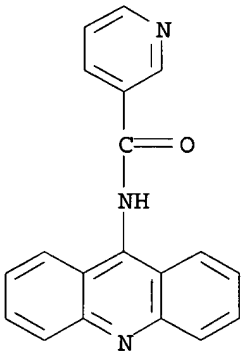
AB Title compds. such as I and II were prepd. and screened for antibacterial activity. The oxadiazines showed activity against gram-pos. microorganisms including methicillin-resistant staphylococci. Special

attention was paid to the activity of iminodibenzyl derivs. against multiresistant gram-neg. microorganisms.

IT **431943-40-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); **BIOL (Biological study)**; PREP (Preparation)
(prepn. and antibacterial activity of)

RN 431943-40-7 CAPLUS

CN 3-Pyridinecarboxamide, N-9-acridinyl- (9CI) (CA INDEX NAME)



L12 ANSWER 85 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:1480 CAPLUS

DOCUMENT NUMBER: 136:401622

TITLE: New series of aryloxypropanolamines with both human .beta.3-adrenoceptor agonistic activity and free radical scavenging properties

AUTHOR(S): Aubriot, Silvere; Nicolle, Edwige; Lattier, Mireille; Morel, Cecile; Cao, Wenhong; Daniel, Kiefer W.; Collins, Sheila; Leclerc, Gerard; Faure, Patrice

CORPORATE SOURCE: Departement de Pharmacochimie Moleculaire, UMR-CNRS 5063, UFR de Pharmacie, Universite Joseph Fourier, Meylan, F-38243, Fr.

SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(2), 209-212
CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

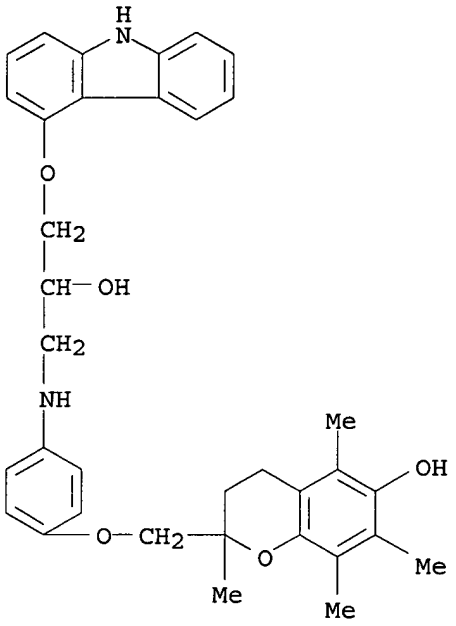
LANGUAGE: English

AB A series of 13 novel hybrid mols. designed to possess both free radical scavenging activity and to stimulate the .beta.3-adrenoceptors in order to improve antidiabetic effect and to restore insulin sensitivity was synthesized and evaluated. Compds. were of quinolyl-, isoquinolyl-, pyridoindolyl- or carbazolyloxypropanolamine structure with a terminal amino group of benzopyranolyl-, di-tert-butylphenolyl- or methoxyindolyl-type. An example compd. thus tested was 4-[3-[4-[[2-hydroxy-3-(5-quinolinyloxy)propyl]amino]phenoxy]propyl]-2,6-bis(1,1-dimethylethyl)phenol. Some of the products possessed both the expected activities.

IT **428861-76-1**
RL: PAC (Pharmacological activity); **BIOL (Biological study)**
(1-amino-3-(aryloxy)-2-propanol derivs. having human .beta.3-adrenoceptor agonistic activity and free radical scavenging properties)

RN 428861-76-1 CAPLUS

CN 2H-1-Benzopyran-6-ol, 2-[[4-[[3-(9H-carbazol-4-yloxy)-2-hydroxypropyl]amino]phenoxy]methyl]-3,4-dihydro-2,5,7,8-tetramethyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 86 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:883535 CAPLUS
DOCUMENT NUMBER: 136:303559
TITLE: Synthesis and evaluation of unsymmetrical bis(arylcarboxamides) designed as topoisomerase-targeted anticancer drugs
AUTHOR(S): Spicer, Julie A.; Gamage, Swarna A.; Finlay, Graeme J.; Denny, William A.
CORPORATE SOURCE: The University of Auckland, Auckland Cancer Society Research Centre, Faculty of Medical & Health Sciences, Auckland, 1000, N. Z.
SOURCE: Bioorganic & Medicinal Chemistry (2001), Volume Date 2002, 10(1), 19-29
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

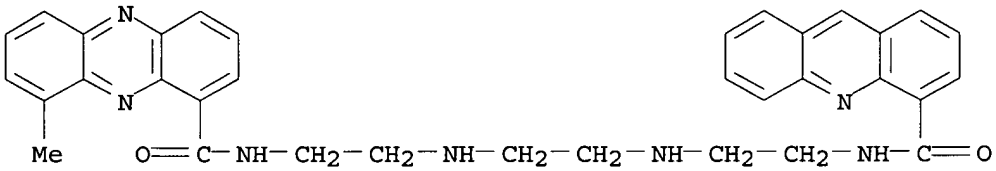
AB Sym. dimers of lipophilic intercalating chromophores linked by cation-contg. chains have recently been shown to have broad-spectrum in vivo anticancer activity. We report the prepn. and evaluation of a series of both sym. and unsym. dimers of a variety of intercalating chromophores of varied DNA binding strength, including naphthalimides, acridines, phenazines, oxanthrenes and 2-phenylquinolines. The unsym. dimers were prepd. by sequential coupling of the chromophores to linkers with selectively protected primary terminal amines to ensure high yields and unequivocal product. Protection of the internal (secondary) amines as BOC derivs. was used to ensure complete structural specificity, and was also an aid to the purifn. of these very polar compds. The growth inhibitory abilities (as IC50 values) of the compds. in a range of cell lines showed that the nature of the linker chain was important, and independent of the nature of the chromophore, with compds. contg. the dicationic linker [-(CH2)2NH(CH2)2NH(CH2)2-] being on av. 30-fold more potent than the corresponding compds. contg. the monocationic linker [-(CH2)3NMe(CH2)3-]. However, the chromophores also play a role in detg. biol. activity, with

the cytotoxicities of sym. and unsym. dicationic dimers correlating with the overall DNA binding abilities of the chromophores.

IT **412043-24-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); **BIOL (Biological study)**; PREP (Preparation); USES (Uses)
(synthesis and evaluation of unsym. bis(arylcarboxamides) designed as topoisomerase-targeted anticancer drugs)

RN 412043-24-4 CAPLUS

CN 1-Phenazinecarboxamide, N-[2-[[2-[[2-[(4-acridinylcarbonyl)amino]ethyl]amino]ethyl]amino]ethyl]-9-methyl- (9CI) (CA INDEX NAME)



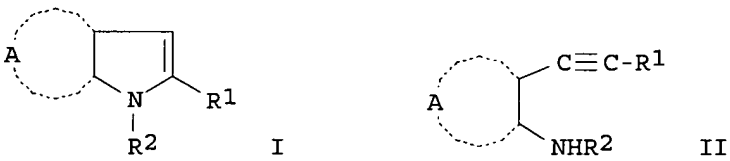
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 87 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:628707 CAPLUS
DOCUMENT NUMBER: 135:195572
TITLE: Method for preparation of indole-type compounds
INVENTOR(S): Henkelmann, Jochem; Arndt, Jonderko
PATENT ASSIGNEE(S): Basf A.-G., Germany
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001233855	A2	20010828	JP 2001-49221	20010223
DE 10009000	A1	20010830	DE 2000-10009000	20000225
US 2001037031	A1	20011101	US 2001-782310	20010214
US 6384235	B2	20020507		
EP 1127874	A2	20010829	EP 2001-103687	20010223

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.: DE 2000-10009000 A 20000225
OTHER SOURCE(S): CASREACT 135:195572; MARPAT 135:195572
GI



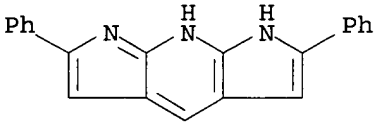
AB The title compds. [I; A = hydrocarbon group which forms, together with the carbon atoms to which they are bonded, (un)substituted mono- or polycyclic arom. group optionally possessing .gtoreq.1 heteroatoms consisting of N,

O, and S; R1, R2 = H, linear or branched satd. aliph. C1-20 hydrocarbon group, linear or branched alkyl unsatd. C2-20 hydrocarbon group, optionally alkyl-substituted (un)satd. alicyclic C3-20 hydrocarbon group, or C5-20 arom. hydrocarbon group alkyl, each of which is optionally substituted and possesses .gtoreq.1 heteroatoms consisting of halo, N, P, O, S, Sn, and B in the mol. skeleton] are prepd. by cyclization of alkynylaniline or .alpha.-amino-.beta.-alkynylheterocycles (II; R1, R2 = same as above; R1, R2, or a is optionally bonded to an org. or inorg. carrier) using a Na, K, Rb, or Cs compd. in a polar aprotic solvent. This process gives substituted indoles by a simple method in high yields. Thus, a soln. of 97 mg 2-phenylethynylaniline in N-methylpyrrolidone was added to 1.05 mmol potassium tert-butoxide in 4 mL N-methylpyrrolidone and vigorously stirred at 25.degree. for 4 h to give 79% 2-phenylindole. Similarly prepd. were pyrrolopyridine, pyrrolopyrimidine, pyrroloquinoline, etc.

IT **55463-72-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of indole-type compds. by cyclization of alkynylanilines or .alpha.-amino-.beta.-alkynylheterocycles in presence of alkali metal compd. in polar aprotic solvent)

RN 55463-72-4 CAPLUS

CN Dipyrrolo[2,3-b:3',2'-e]pyridine, 1,7-dihydro-2,6-diphenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 88 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2001:541405 CAPLUS

DOCUMENT NUMBER: 137:56990

TITLE: Hetero-association of caffeine and aromatic drugs and their competitive binding with a DNA oligomer

AUTHOR(S): Davies, David B.; Veselkov, Dennis A.; Djimant, Leonid N.; Veselkov, Alexei N.

CORPORATE SOURCE: University of London, Birkbeck College, School of Biological and Chemical Sciences, London, WC1H 0PP, UK

SOURCE: European Biophysics Journal (2001), 30(5), 354-366
CODEN: EBJOE8; ISSN: 0175-7571

PUBLISHER: Springer-Verlag

DOCUMENT TYPE: Journal

LANGUAGE: English

AB NMR spectroscopy has been used to elucidate the mol. basis of the action of caffeine (CAF) on the complexation with DNA of mutagens such as ethidium bromide, propidium iodide, proflavine and acridine orange, and anticancer drugs such as actinomycin D and daunomycin. The hetero-assocn. of CAF and each of the arom. ligands in 0.1 mol L-1 phosphate buffer (pD=7.1) has been investigated as a function of concn. and temp. by 500 MHz 1H NMR spectroscopy and analyzed in terms of a statistical-thermodn. model, in which mols. form indefinite aggregates for both self-assocn. and hetero-assocn. The anal. leads to detn. of the equil. consts. of hetero-assocn. and to the values of the limiting chem. shifts of the hetero-assocn. of CAF with each of the arom. mols. The hetero-assocn. consts. between CAF and each of the arom. drugs/dyes are found to be intermediate in magnitude between those for self-assocn. of CAF and the corresponding drug/dye. The most probable structures of the 1:1 CAF+ligand hetero-assocn. complexes have been detd. from the calcd. values of the induced limiting chem. shifts of the drug protons. Knowledge of

the equil. consts. for self-assocn. of CAF and the arom. ligands, for their hetero-assocn. and their complexation with a DNA fragment, the deoxytetranucleotide 5'-d(TpGpCpA), enabled the relative content of each of the CAF-ligand and CAF-ligand-d(TGCA) complexes to be calcd. as a function of CAF concn. in mixed solns. It is concluded that, on addn. of CAF to the soln., the decrease in binding of drug or mutagen with DNA is due both to competition for the binding sites by CAF and the arom. mols., and to formation of CAF-ligand hetero-assocn. complexes in the mixed soln.; the relative importance of each process depends on the drug or mutagen being considered.

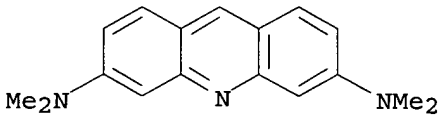
IT **439668-50-5**
RL: BSU (Biological study, unclassified); FMU (Formation, unclassified); PAC (Pharmacological activity); **BIOL (Biological study)**; FORM (Formation, nonpreparative)
(hetero-assocn. of caffeine and arom. drugs and their competitive binding with DNA oligomer)

RN 439668-50-5 CAPLUS

CN 1H-Purine-2,6-dione, 3,7-dihydro-1,3,7-trimethyl-, compd. with N,N,N',N'-tetramethyl-3,6-acridinediamine monohydrochloride (1:1) (9CI) (CA INDEX NAME)

CM 1

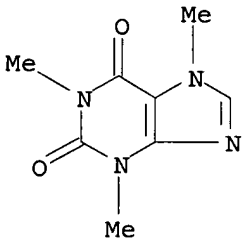
CRN 65-61-2
CMF C17 H19 N3 . Cl H



● HCl

CM 2

CRN 58-08-2
CMF C8 H10 N4 O2



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

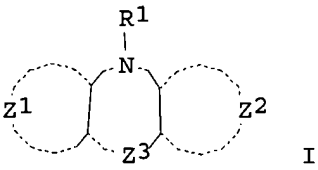
L12 ANSWER 89 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:423593 CAPLUS
DOCUMENT NUMBER: 135:38787
TITLE: Organic electroluminescent device
INVENTOR(S): Ueda, Noriko; Okubo, Yasushi; Kita, Hiroshi

09/ 995,324

PATENT ASSIGNEE(S): Konica Co., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 39 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001160488	A2	20010612	JP 1999-341923	19991201

PRIORITY APPLN. INFO.: JP 1999-341923 19991201
OTHER SOURCE(S): MARPAT 135:38787
GI

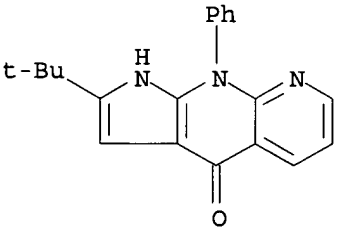


I

AB The invention relates to an org. electroluminescent device that provides high luminous intensity, comprising a compd. represented by I [Z1 = arom. heterocyclic ring; Z2 = linking or coupling group; Z3 = arom. hydrocarbon and arom. heterocyclic rings; and R1 = H or substituted group].

IT **343780-07-4**
RL: DEV (Device component use); USES (Uses)
(org. electroluminescent device)

RN 343780-07-4 CAPLUS
CN 4H-Pyrrolo[2,3-b][1,8]naphthyridin-4-one, 2-(1,1-dimethylethyl)-1,9-dihydro-9-phenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 90 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:300717 CAPLUS
DOCUMENT NUMBER: 134:326518
TITLE: Preparation of tricyclic compounds useful as HIV reverse transcriptase inhibitors
INVENTOR(S): Johnson, Barry L.; Patel, Mona; Rodgers, James D.; Wang, Haisheng
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 230 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

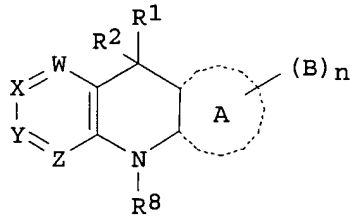
09/ 995,324

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001029037	A2	20010426	WO 2000-US28824	20001019
WO 2001029037	A3	20020124		
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, TR, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 1222186	A2	20020717	EP 2000-973644	20001019
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
NO 2002001835	A	20020618	NO 2002-1835	20020418

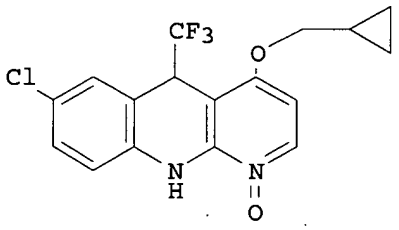
PRIORITY APPLN. INFO.: US 1999-160329P P 19991019
US 2000-226171P P 20000817
WO 2000-US28824 W 20001019

OTHER SOURCE(S): MARPAT 134:326518

GI



I



II

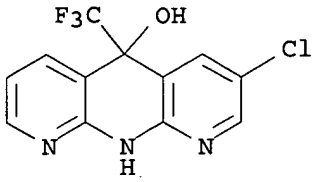
AB Title compds. [I; n = 0, 1, 2, 3; A = heterocycle; B = alkyl, OH, alkoxy, OCF3, CF3, F, Cl, Br, I, NO2, CN; W = N, CR3; X = N, CR3a; Y = N, CF3b; Z = N, CR3c; R3, R3a-R3c independently = H, alkyl, OH, OCF3, helo, CN; R1 = alkyl, cyclopropyl; R2 = OH, CN, alkoxy, alkylamino; R8 = H, alkylcarbonyl, alkoxyalkyl, aryloxyalkyl], stereoisomers, stereoisomers mixts., or pharmaceutically acceptable salts are prepd. as useful inhibitors of HIV reverse transcriptase. Pharmaceutical compns. and diagnostic kits comprising title compds. and methods for treating viral infections or as an assay std. or reagent were discussed. Thus, the title compd. II was prepd.

IT **335447-48-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of tricyclic compds. useful as HIV reverse transcriptase inhibitors)

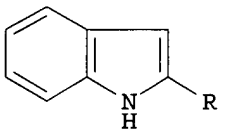
RN 335447-48-8 CAPLUS

CN 5-Anthyridinol, 3-chloro-1,5-dihydro-5-(trifluoromethyl)- (9CI) (CA INDEX

NAME)



L12 ANSWER 91 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:514911 CAPLUS
DOCUMENT NUMBER: 133:252337
TITLE: Versatile indole synthesis by a 5-endo-dig cyclization mediated by potassium or cesium bases
AUTHOR(S): Rodriguez, Alain Louis; Koradin, Christopher; Dohle, Wolfgang; Knochel, Paul
CORPORATE SOURCE: Department Chemie, Universitat Munchen, Munchen, 81377, Germany
SOURCE: Angewandte Chemie, International Edition (2000), 39(14), 2488-2490
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:252337
GI

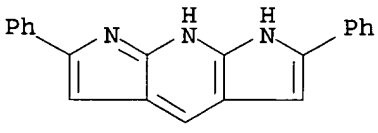


I

AB The combination of KOCMe3, KH, or CsOCMe3 with the polar solvent NMP allows a smooth prepn. of carious indoles and azaindoles by a 5-endo-dig cyclization. Thus, cyclization of 2-H2NC6H4C.tplbond.CR (R = Ph, Bu, 2-thienyl, etc.) gave indoles I in good yields.

IT **55463-72-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(endo-dig cyclization of alkynylanilines and derivs. to indoles and azaindoles mediated by potassium and cesium bases)

RN 55463-72-4 CAPLUS
CN Dipyrrolo[2,3-b:3',2'-e]pyridine, 1,7-dihydro-2,6-diphenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

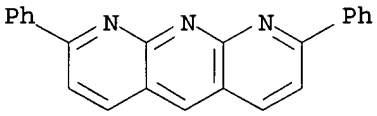
L12 ANSWER 92 OF 156 CAPLUS COPYRIGHT 2003 ACS

09/ 995,324

ACCESSION NUMBER: 1999:692251 CAPLUS
DOCUMENT NUMBER: 132:35402
TITLE: A caveat on the oxidation of 2,8-diphenyl-1,9,10-anthyridine to 2,8-diphenyl-5(10H)-1,9,10-anthyridone
AUTHOR(S): Madhavi, N. N. Laxmi; Senthivel, Paramasivam; Nangia, Ashwini
CORPORATE SOURCE: School of Chemistry, University of Hyderabad, Hyderabad, 500 046, India
SOURCE: Journal of Physical Organic Chemistry (1999), 12(9), 665-667
CODEN: JPOCEE; ISSN: 0894-3230
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Crystn. of 2,8-diphenyl-1,9,10-anthyridine (1a) from various org. solvents afforded the corresponding anthyridone (2a). The conversion of anthyridine to anthyridone was monitored by 1H NMR spectroscopy in deuterated solvents. The transformation is facile at ambient temp. and this could be relevant in triply H-bonded complexes of 1a with neutral and cationic mols.

IT **63196-36-1**
RL: PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); PROC (Process); RACT (Reactant or reagent)
(autoxidn. on crystn.; caveat on oxidn. of 2,8-diphenyl-1,9,10-anthyridine to 2,8-diphenyl-5(10H)-1,9,10-anthyridone)
RN 63196-36-1 CAPLUS
CN Anthyridine, 2,8-diphenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 93 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:774016 CAPLUS
DOCUMENT NUMBER: 128:114700
TITLE: Evidence for the characterization of the C-H.cntdot..cntdot..cntdot..pi. interaction as a weak hydrogen bond: toluene and chlorobenzene solvates of 2,3,7,8-tetraphenyl-1,9,10-anthyridine. [Erratum to document cited in CA128:34502]
AUTHOR(S): Madhavi, N. N. Laxmi; Katz, Amy K.; Carrell, H. L.; Nangia, Ashwini; Desiraju, Gautam R.
CORPORATE SOURCE: Sch. Chem., Univ. Hyderabad, Hyderabad, 500 046, India
SOURCE: Chemical Communications (Cambridge) (1997), (22), 2249
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In footnote, "...5155 with F2 > 2 .THETA.(F2)..." should read "...5155 with F2 > 2.sigma.(F2)...".

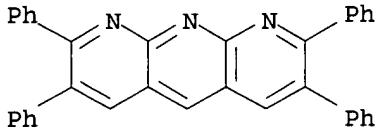
IT **199599-69-4P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(characterization of the C-H.cntdot..cntdot..cntdot..pi. interaction as a weak hydrogen bond in toluene and chlorobenzene solvates of 2,3,7,8-tetraphenyl-1,9,10-anthyridine (Erratum))
RN 199599-69-4 CAPLUS
CN Anthyridine, 2,3,7,8-tetraphenyl-, compd. with methylbenzene (1:1) (9CI)

09/ 995,324

(CA INDEX NAME)

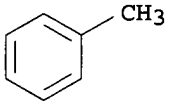
CM 1

CRN 63196-33-8
CMF C35 H23 N3



CM 2

CRN 108-88-3
CMF C7 H8



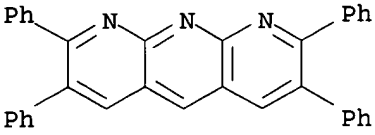
L12 ANSWER 94 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:727510 CAPLUS
DOCUMENT NUMBER: 128:34502
TITLE: Evidence for the characterization of the C-H.cntdot..cntdot..cntdot..pi. interaction as a weak hydrogen bond: toluene and chlorobenzene solvates of 2,3,7,8-tetraphenyl-1,9,10-anthyridine
AUTHOR(S): Madhavi, N. N. Laxmi; Katz, Amy K.; Carrell, H. L.; Nangia, Ashwini; Desiraju, Gautam R.
CORPORATE SOURCE: Sch. Chem., Univ. Hyderabad, Hyderabad, 500 046, India
SOURCE: Chemical Communications (Cambridge) (1997), (20), 1953-1954
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The crystal structures of the toluene and chlorobenzene solvates of 2,3,7,8-tetraphenyl-1,9,10-anthyridine are nearly identical save for differences in the mode of solvent inclusion; these differences have an important bearing on the nature of the C-H.cntdot..cntdot..cntdot..pi. interactions in these structures.

IT **199599-69-4P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (characterization of the C-H.cntdot..cntdot..cntdot..pi. interaction as a weak hydrogen bond in toluene and chlorobenzene solvates of 2,3,7,8-tetraphenyl-1,9,10-anthyridine)
RN 199599-69-4 CAPLUS
CN Anthyridine, 2,3,7,8-tetraphenyl-, compd. with methylbenzene (1:1) (9CI) (CA INDEX NAME)

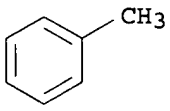
CM 1

CRN 63196-33-8
CMF C35 H23 N3



CM 2

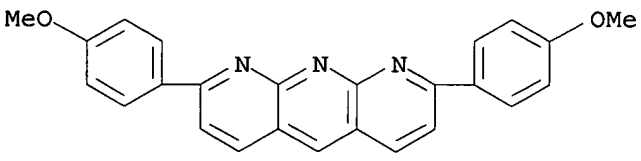
CRN 108-88-3
CMF C7 H8



L12 ANSWER 95 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:530912 CAPLUS
DOCUMENT NUMBER: 127:206017
TITLE: Dendrimers with anthyridine-based hydrogen-bonding units at their cores: synthesis, complexation and self-assembly studies
AUTHOR(S): Wang, Yue; Zeng, Fanwen; Zimmerman, Steven C.
CORPORATE SOURCE: Department of Chemistry, Roger Adams Laboratory, University of Illinois, Urbana, IL, 61801, USA
SOURCE: Tetrahedron Letters (1997), 38(31), 5459-5462
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Generation 1-4 Frechet-type dendritic bromides were covalently linked to anthyridine to give "sticky" dendrons. The binding consts. of 1:1 complexes between the dendrons and benzamidinium salt were measured to assess their ability to act as building blocks for self-assembly. A 2:1 complex of the dendrons and pentamidine formed in 1% CD3CN/CDCl3 indicating the utility of these compds. for constructing larger dendritic assemblies.

IT **194716-53-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. and characterization of)
RN 194716-53-5 CAPLUS
CN Anthyridine, 2,8-bis(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 96 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:521636 CAPLUS
DOCUMENT NUMBER: 127:259703

TITLE: Non-aqueous titrations as a tool in the study of molecular recognition phenomena. Uses in distinguishing hydrogen bonding from proton transfer, the measurement of complex induced pKa shifts, and the ability to distinguish the catalytic roles of general acids and bases

AUTHOR(S): Hannon, Christine L.; Bell, Dwayne A.; Kelly-Rowley, Anne M.; Cabell, Larry A.; Anslyn, Eric V.

CORPORATE SOURCE: Department of Chemistry and Biochemistry, University of Texas at Austin, Austin, TX, 78712, USA

SOURCE: Journal of Physical Organic Chemistry (1997), 10(5), 396-404
CODEN: JPOCEE; ISSN: 0894-3230

PUBLISHER: Wiley

DOCUMENT TYPE: Journal

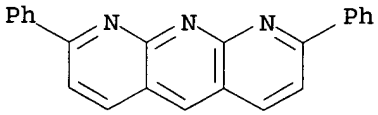
LANGUAGE: English

AB Whenever hydrogen bonding is involved in mol. recognition, the possibility of a proton transfer from the donor to the acceptor arises. In most cases the pKa of the donor is far enough above the pKa of the conjugate acid of the acceptor for it to be clear that no proton transfer will occur. However, as the difference between the donor and acceptor pKas decreases, it can become difficult to predict whether a proton transfer will occur. Since most hydrogen bond-driven mol. recognition is studied in low dielec. solvents, non-aq. titrns. can be used to measure the pKas and therefore predict proton transfers. In this paper three studies which involved non-aq. titrns. are summarized. The first deals with distinguishing simple proton transfer from host-guest complex formation. The second involves measuring pKa shifts upon host-guest complex formation. The last is a study of the catalysis of a phosphoryl transfer. In all three scenarios the non-aq. titrn. gave results which would have been difficult to obtain by other means, and which proved crucial for a complete understanding of the mol. recognition process.

IT **63196-36-1**
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PROC (Process)
(non-aq. titrns. as tool in study of mol. recognition phenomena)

RN 63196-36-1 CAPLUS

CN Anthyridine, 2,8-diphenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 97 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1995:657295 CAPLUS

DOCUMENT NUMBER: 123:198193

TITLE: Establishing a cationic AAA-DDD hydrogen bonding complex

AUTHOR(S): Bell, Dwayne A.; Anslyn, Eric V.

CORPORATE SOURCE: Dep. Chem. Biochem., Univ. Texas, Austin, TX, 78712, USA

SOURCE: Tetrahedron (1995), 51(26), 7161-72
CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Pergamon

DOCUMENT TYPE: Journal

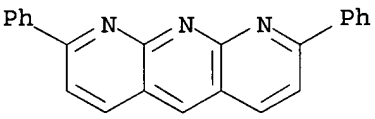
LANGUAGE: English

AB The synthesis of a cationic donor-donor-donor (DDD) hydrogen bonding receptor is described. The binding of this receptor with an acceptor-acceptor-acceptor (AAA) guest is found to have a binding const.

above 5 .times. 105 M-1. To prove that the isotherm from which this binding const. is detd. is not due to proton transfer from the receptor to the guest, nonaq. titrns. on a variety of pyridine-like structures were performed.

IT **63196-36-1**
RL: PEP (Physical, engineering or chemical process); PROC (Process)
(formation of a cationic acceptor-acceptor-acceptor/donor-donor-donor hydrogen bonding complex)

RN 63196-36-1 CAPLUS
CN Anthyridine, 2,8-diphenyl- (9CI) (CA INDEX NAME)

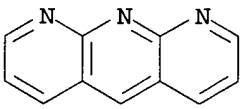


L12 ANSWER 98 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:536597 CAPLUS
DOCUMENT NUMBER: 123:32828
TITLE: Synthesis and complexation studies of heterocyclic compounds with two or three contiguous hydrogen bonding sites
AUTHOR(S): Murray, Thomas James
CORPORATE SOURCE: Univ. of Illinois, Urbana, IL, USA
SOURCE: (1994) 185 pp. Avail.: Univ. Microfilms Int., Order No. DA9503280
From: Diss. Abstr. Int. B 1995, 55(9), 3890
DOCUMENT TYPE: Dissertation
LANGUAGE: English

AB Unavailable

IT **261-15-4DP**, Anthyridine, 5-substituted analogs
RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); PREP (Preparation); PROC (Process)
(synthesis and hydrogen bonding of heterocyclic compds. with contiguous hydrogen bonding sites)

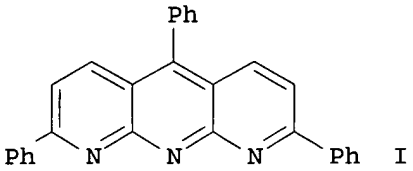
RN 261-15-4 CAPLUS
CN Anthyridine (8CI, 9CI) (CA INDEX NAME)



L12 ANSWER 99 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:336133 CAPLUS
DOCUMENT NUMBER: 122:213973
TITLE: Synthesis of heterocyclic compounds containing three contiguous hydrogen bonding sites in all possible arrangements
AUTHOR(S): Murray, Thomas J.; Zimmerman, Steven C.; Kolotuchin, Sergei V.
CORPORATE SOURCE: Department Chemistry, University Illinois, Urbana, IL, 61801, USA
SOURCE: Tetrahedron (1995), 51(2), 635-48
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal

09/ 995,324

LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:213973
GI

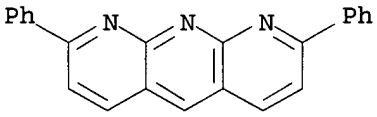


I

AB The synthesis of compds. contg. three contiguous hydrogen bond sites, e.g. the anthyridine I, is reported. There are six ways of arranging three adjacent hydrogen bond donor (D) and acceptor (A) sites. General synthetic routes to hetercyclic compds. with each arrangement is reported.

IT **63196-36-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of heterocyclic compds. contg. three contiguous hydrogen bonding sites in all possible arrangements)

RN 63196-36-1 CAPLUS
CN Anthyridine, 2,8-diphenyl- (9CI) (CA INDEX NAME)

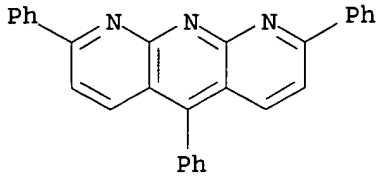


L12 ANSWER 100 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1995:33356 CAPLUS
DOCUMENT NUMBER: 122:9407
TITLE: Hydrogen bonded complexes with the AA.cntdot.DD, AA.cntdot.DDD, and AAA.cntdot.DD motifs: the role of three-centered (bifurcated) hydrogen bonding
AUTHOR(S): Zimmerman, Steven C.; Murray, Thomas J.
CORPORATE SOURCE: Dep. Chem., Univ. Illinois, Urbana, IL, 61801-3792, USA
SOURCE: Tetrahedron Letters (1994), 35(24), 4077-80
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The stabilities of title hydrogen-bonded complexes were measured in chloroform. X-ray anal. of two 1,8-naphthyridine complexes and soln. studies support the formation of an unsym. bifurcated hydrogen-bonding motif.

IT **159415-34-6**
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PROC (Process)
(role of bifurcated hydrogen bonds in complexes of)

RN 159415-34-6 CAPLUS
CN Anthyridine, 2,5,8-triphenyl- (9CI) (CA INDEX NAME)



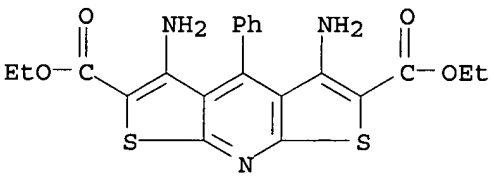
L12 ANSWER 101 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:533999 CAPLUS
DOCUMENT NUMBER: 121:133999
TITLE: A synthesis of heterocyclic ring systems. Pyrido[3',2':4,5]thieno[2,3-b]pyrrolizine and pyrido[6',5':4,5][3',2':4,5]dithieno[2,3:b':2,3-b]dipyrrolizine
AUTHOR(S): Peinador, Carlos; Veiga, M. Carmen; Vilar, Juan; Quintela, Jose M.
CORPORATE SOURCE: Fac. Ciencias, Univ. de La Coruna, La Coruna, E-15071, Spain
SOURCE: Heterocycles (1994), 38(6), 1299-305
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 121:133999
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A synthesis for two new polycyclic heterocyclic ring systems is reported. Cyclization of pyrrolidinocarboxamide derivs. of Et 3-(pyrrol-1-yl)thieno[2,3-b]pyridine-2-carboxylate I and Et 3,5-di(pyrrol-1-yl)dithieno[3',2'-e:2,3-b]pyridine-2,6-dicarboxylate II afford iminium salts that were transformed into the new title heteropolycyclic compds. III and IV, resp.

IT **157139-76-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of pyridodithienodipyrrolizine deriv.)

RN 157139-76-9 CAPLUS
CN Dithieno[2,3-b:3',2'-e]pyridine-2,6-dicarboxylic acid, 3,5-diamino-4-phenyl-, diethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 102 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:482360 CAPLUS
DOCUMENT NUMBER: 121:82360
TITLE: New supramolecular architectures using hydrogen bonding

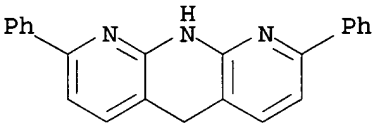
09/ 995,324

AUTHOR(S): Zimmerman, Steven C.; Murray, Thomas J.
CORPORATE SOURCE: Dep. Chem., Univ. Illinois, Urbana, IL, 61801, USA
SOURCE: Philosophical Transactions of the Royal Society of London, Series A: Mathematical, Physical and Engineering Sciences (1993), 345(1674), 49-56
CODEN: PTRMAD; ISSN: 0962-8428
DOCUMENT TYPE: Journal
LANGUAGE: English

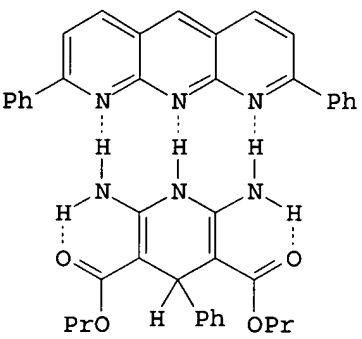
AB Several new multiply hydrogen bonded heterocyclic complexes have been studied to det. their strength and the specificity with which they form. While many factors contribute to the stability of multiply hydrogen bonded complexes, it appears that the arrangement of the hydrogen bond donor and acceptor groups is a particularly good predictor of binding strength. The results are consistent with W. L. Jorgensen's (1990) secondary electrostatic hypothesis. The heterocyclic recognition units that have been synthesized may serve as the basis for constructing new synthetic hosts or new self-assembling systems.

IT **63196-35-0**
RL: PRP (Properties)
(hydrogen bonding of, NMR study of)

RN 63196-35-0 CAPLUS
CN Anthyridine, 1,5-dihydro-2,8-diphenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 103 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1994:408583 CAPLUS
DOCUMENT NUMBER: 121:8583
TITLE: Multiply hydrogen bonded complexes for constructing new supramolecular assemblies
AUTHOR(S): Zimmerman, Steven C.; Baloga, Monica H.; Duerr, Brook F.; Fenlon, Edward E.; Murray, Thomas J.
CORPORATE SOURCE: Dep. Chem., Univ. Illinois, Urbana, IL, 61801, USA
SOURCE: Polymer Preprints (American Chemical Society, Division of Polymer Chemistry) (1993), 34(1), 94-5
CODEN: ACPPAY; ISSN: 0032-3934
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

AB Assocn. consts. and NMR complexation shifts were detd. for several doubly and triply hydrogen bonded complexes, e.g. I, involving heterocyclic compds. with 2 or 3 adjacent hydrogen bond donor or acceptor groups.

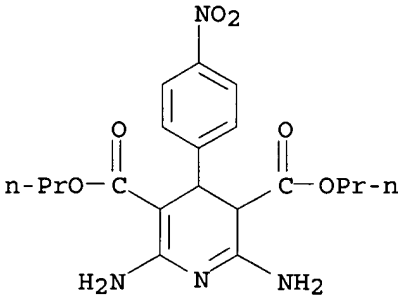
IT **155521-41-8**
RL: PRP (Properties)
(multiple hydrogen bonding in)

RN 155521-41-8 CAPLUS

CN 3,5-Pyridinedicarboxylic acid, 2,6-diamino-3,4-dihydro-4-(4-nitrophenyl)-, dipropyl ester, compd. with 2,8-diphenyl-5(1H)-anthyridinone (1:1) (9CI) (CA INDEX NAME)

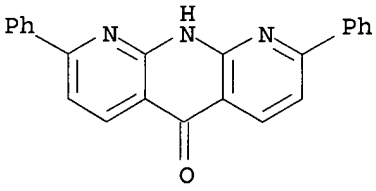
CM 1

CRN 155521-40-7
CMF C19 H24 N4 O6



CM 2

CRN 63196-37-2
CMF C23 H15 N3 O

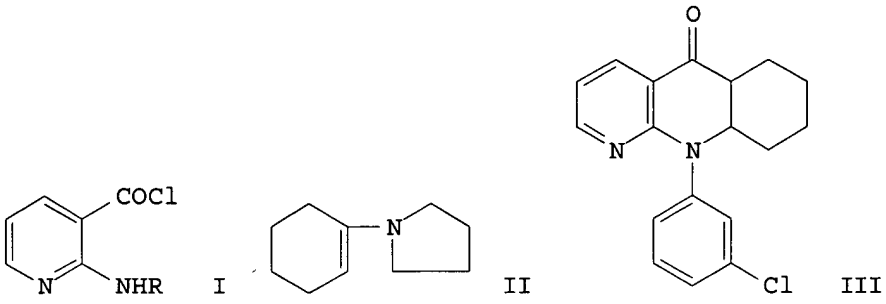


L12 ANSWER 104 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1994:217564 CAPLUS
DOCUMENT NUMBER: 120:217564
TITLE: Intramolecular transamination of enaminones: a synthesis of fused, polycyclic, N-aryl pyridones. Part 2
AUTHOR(S): Friary, Richard J.; Seidl, Vera; Schwerdt, John H.; Cohen, Marvin P.; Hou, Donald; Nafissi, Mehdi
CORPORATE SOURCE: Schering-Plough Res. Inst., Kenilworth, NJ, 07033-0539, USA
SOURCE: Tetrahedron (1993), 49(33), 7169-78
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English

09/ 995,324

OTHER SOURCE(S): CASREACT 120:217564
GI

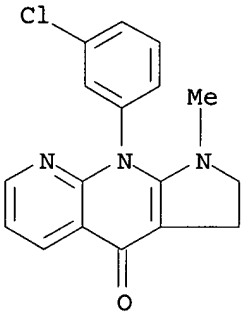


AB 2-Arylamino-3-pyridinecarbonyl chlorides I (R = Ph, aryl) acylated the .beta.-C atoms of enamines, and the resulting enaminones cyclized to give fused polycyclic N-aryl pyridones. The series included 10-(3-chlorophenyl)-6,8,9,10-tetrahydrobenzo[b][1,8]naphthyridin-5(7H)-one (Sch 40120), on antipsoriatic agent. The transamination and cyclocondensation of I (R = 3-chlorophenyl) with 1-(1-pyrrolidinyl)cyclohexene (II) gave Sch 40120 (III).

IT **110546-66-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, from enamine and (arylamino)pyridinecarbonyl chloride)

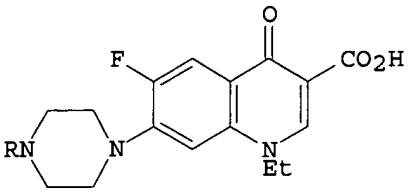
RN 110546-66-2 CAPLUS

CN 4H-Pyrrolo[2,3-b][1,8]naphthyridin-4-one, 9-(3-chlorophenyl)-1,2,3,9-tetrahydro-1-methyl- (9CI) (CA INDEX NAME)

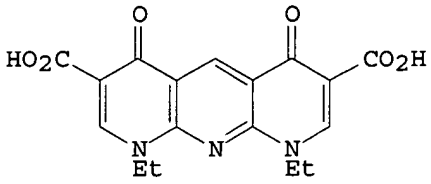


L12 ANSWER 105 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1993:671097 CAPLUS
DOCUMENT NUMBER: 119:271097
TITLE: Synthesis and biological activity of new quinolone derivatives
AUTHOR(S): Antonello, C.; Uriarte, E.; Palumbo, M.; Valisena, S.; Parolin, C; Palu, G.
CORPORATE SOURCE: Dep. Pharm. Sci., Univ. Padua, Padua, 35131, Italy
SOURCE: European Journal of Medicinal Chemistry (1993), 28(4), 291-6
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



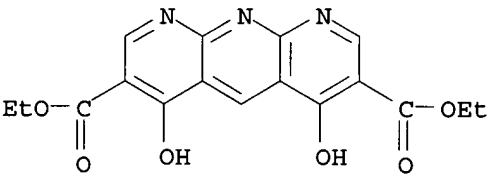
II

AB A series of new quinolone derivs. I [R = (CH2CH2O)nH, n = 2, 3, COCH2CH2CO2H, 2-COC6H4CO2H] bearing covalent modifications at the piperazine ring was synthesized and investigated for their biol. properties. Two different types of substitutions at the level of the nitrogen at the 4' position were considered: introduction of a di- or trioxymethylene chain to modify steric hindrance and improve soly. in aq. media or formation of a tertiary amide ending with a carboxylate group. In the latter case the net charge on the piperazine moiety changes from pos. to neg. at physiol. conditions. In addn., bis-quinolone compd. II was examd., which lacks the piperazine ring and is also neg. charged at neutral pH. The new derivs., except II, exhibited drug uptake, inhibition of DNA-gyrase activity and antibacterial potencies comparable to those of norfloxacin (I; R = H), and were modulated by the nature of the N4'-substituent. Besides indicating possible new modifications of the quinolone basic structure, the observation that substantially different substitution patterns at the same position did not cause impairment of biol. activity suggests that the steric and electronic properties of this part of the mol. are not crucial for the recognition of DNA-gyrase.

IT **28733-29-1P**, Diethyl 4,6-dihydroxyanthyridine-3,7-dicarboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and N-ethylation of)

RN 28733-29-1 CAPLUS

CN 3,7-Anthyridinedicarboxylic acid, 4,6-dihydroxy-, diethyl ester (8CI, 9CI) (CA INDEX NAME)



L12 ANSWER 106 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1992:448483 CAPLUS

DOCUMENT NUMBER: 117:48483

TITLE: Nitrogen bridgehead compounds. Part 83. Synthesis and ring transformation of 6-methyl-4-oxo-4H-pyrido[1,2-a]pyrimidine-3-acrylates

AUTHOR(S): Hermecz, Istvan; Horvath, Agnes

CORPORATE SOURCE: CHINOIN Pharm. and Chem. Works Ltd., Budapest, H-1325, Hung.

SOURCE: Journal of Heterocyclic Chemistry (1992), 29(2), 559-64
CODEN: JHTCAD; ISSN: 0022-152X

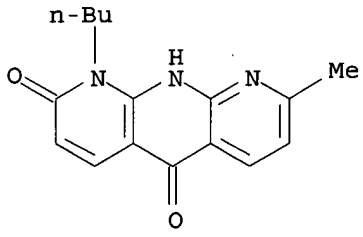
DOCUMENT TYPE: Journal

LANGUAGE: English

AB The thermal ring transformation of 2-substituted 4-oxo-4H-pyrido[1,2-a]pyrimidine-3-acrylates gave 2-substituted 1,8-naphthyridine-3-acrylates,

pyrano-1,8-naphthyridines and anthyridine, depending upon the nature of the 2-substituent. A longer reaction period and a higher reaction temp. favored the formation of tricyclic products from 1,8-naphthyridine-3-acrylate after isomerization of the side-chain at position 3.

IT **142406-36-8P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and spectra of)
RN 142406-36-8 CAPLUS
CN 2,5(1H,9H)-Anthyridinedione, 1-butyl-8-methyl- (9CI) (CA INDEX NAME)

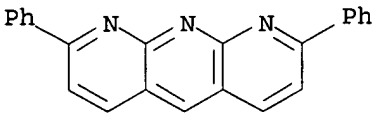


L12 ANSWER 107 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:235469 CAPLUS
DOCUMENT NUMBER: 116:235469
TITLE: New triply hydrogen bonded complexes with highly variable stabilities
AUTHOR(S): Murray, Thomas J.; Zimmerman, Steven C.
CORPORATE SOURCE: Dep. Chem., Univ. Illinois, Urbana, IL, 61801, USA
SOURCE: Journal of the American Chemical Society (1992), 114(10), 4010-11
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB New triply hydrogen bonded complexes have been examd. in order to test Jorgensen's proposal (Jorgensen, W.L.; Pranata, J., 1990) that the arrangement of hydrogen bond donor (D) and acceptor (A) groups affects their stabilities. Two complexes of type ADA-DAD, 2,8-diphenyl-5(10H)-1,9,10-anthyridone with di-Pr 2,6-diamino-3,4-dihydro-4-(2-nitrophenyl)-3,5-pyridinedicarboxylate (I, Ar = 2-O2NC6H4) and 2,8-diphenyl-5(10H)-1,9,10-anthyridone with 2,6-diamino-4-ethoxypyridine (II), were found to have Kassoc in chloroform of 78 M-1 and 70 M-1, resp. Two DDA-AAD type complexes, 7-acetamido-2,4-dimethylnaphthyridine with 2-amino-7-[3,4-di(octyloxycarbonyl)benzyl]-4H-pyrrolo[2,3-d]pyrimidine and 2',3',5'-tripentanoylguanosine with 4-ethylcytosine, were found to have Kassoc in chloroform of 9.3 x 103 M-1 and 104 M-1, resp. The first reported complex of type DDD-AAA, 2,8-diphenyl-1,9,10-anthyridine with di-Pr 2,6-diamino-1,4-dihydro-4-(3-nitrophenyl)-3,5-pyridinedicarboxylate (III; Ar = 2-O2NC6H4), exhibited a Kassoc .gtoreq. 105 M-1. The highly variable complex stabilities correlate well the arrangement of hydrogen bond donor and acceptor groups.

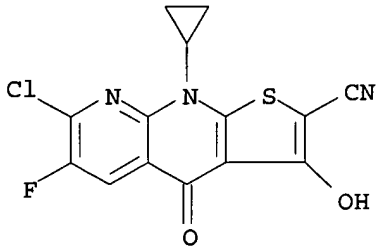
IT **63196-36-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(hydrogen bonding of, in triply bonded complex)
RN 63196-36-1 CAPLUS
CN Anthyridine, 2,8-diphenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 108 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1992:59403 CAPLUS
DOCUMENT NUMBER: 116:59403
TITLE: Preparation of thienoquinoline and thienonaphthyridine derivatives as antitumor and antibacterial agents
INVENTOR(S): Chiba, Katsumi; Yamamoto, Katsuhisa; Miyamoto, Koshi; Nakano, Junji; Matsumoto, Junichi; Nakamura, Shinichi; Nakada, Katsuhisa
PATENT ASSIGNEE(S): Dainippon Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 03223289	A2	19911002	JP 1990-319358	19901121
JP 3012684	B2	20000228		

PRIORITY APPLN. INFO.: JP 1989-320175 A1 19891208
OTHER SOURCE(S): MARPAT 116:59403
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; R1 = (cyclo)alkyl, haloalkyl, alkenyl, (un)substituted Ph; R2 = H, halo, NH2, OH, Me; R3 = cyano, CONH2 mono- or dialkylcarbamoyl, alkoxycarbonyl, acyl, NO2, CF3, heterocyclyl; A = CY, N; Y = H, halo, Me, cyano, alkoxy; X = halo; Z = halo, Q-Q2; R4,R9 = H, alkyl, (halo)acyl; R5,R6,R16 = H, (halo)alkyl; R7 = H, halo, (hydroxy)alkyl, OH, NH2, mono- or di(halo)alkylamino; R8 = H, halo, alkyl, alkoxy; m = 1, 2; n = 3, 4, 5] are prepd. Thus, a mixt. of Et 1-cyclopropyl-6,7-difluoro-2-mercapto-1,4-dihydro-4-oxoquinoline-2-carboxylate 975, NaHCO3 252, BrCH2CN 417 mg, 20 mL THF, and 40 mL H2O was stirred 30 min at room temp. to give 1.02 g Et 1-cyclopropyl-6,7-difluoro-2-cyanomethylthio-6,7-difluoro-1,4-dihydro-4-oxoquinoline-3-carboxylate which)364 mg) was stirred 30 min at 60.degree. with 168 mg NaHCO3, 10 mL THF, and 30 mL H2O to give 293 mg I (R1 = cyclopropyl, R2 = X = F, R3 = cyano, Z = H, A = cyano). I (R1 = cyclopropyl, R2 = H, R3 = cyano, X = F, Z = 1-piperazinyl, A = CF) showed min. inhibitory concn. of 0.05 and 1.56 .mu.g/mL against Staphylococcus aureus 209P JC-1 and Pseudomonas aeruginosa, resp. I (R1 = cyclopropyl, R2 = NH2, R3 = cyano, X = F, Z = 4-methyl-1-piperazinyl, A = CH) at 50 mg/kg i.p. prolonged 57% the life span of mice inoculated with P-388 tumor cells. A total of 70 I were prepd.
IT **138595-54-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antibacterial and antitumor agent)
RN 138595-54-7 CAPLUS
CN Thieno[2,3-b][1,8]naphthyridine-2-carbonitrile, 7-chloro-9-cyclopropyl-6-fluoro-4,9-dihydro-3-hydroxy-4-oxo- (9CI) (CA INDEX NAME)



L12 ANSWER 109 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:188933 CAPLUS
DOCUMENT NUMBER: 112:188933
TITLE: Photoconductive coating film and electrophotgraphic photoreceptor using same
INVENTOR(S): Fujio, Katsunori; Ishibashi, Setsuo
PATENT ASSIGNEE(S): Alps Electric Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 01172968	A2	19890707	JP 1987-332431	19871228

PRIORITY APPLN. INFO.: JP 1987-332431 19871228
GI

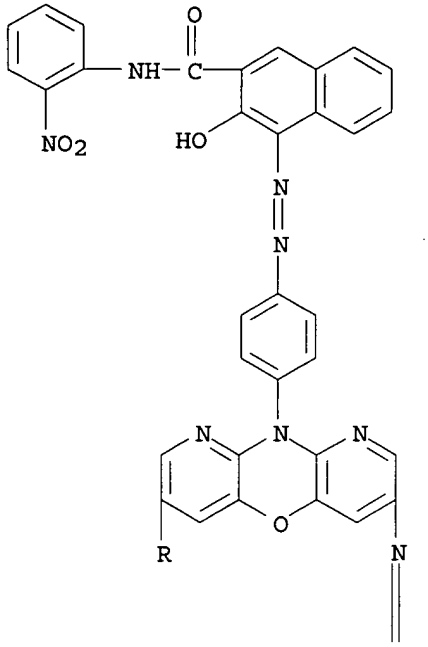
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title photoconductive coating film contains .gtoreq.1 azo pigment from I, II, III, and IV [A = moiety with a phenolic OH, V, C(COCH3)HCONRR; R = H, lower alkyl, aryl, alkoxycarbonyl, aryloxycarbonyl, acyl, halogen, monovalent org. moiety; Z = group necessary to form an arom. ring or heterocyclic ring]. In the title photoreceptor, a photosensitive layer is composed of the photoconductive layer.

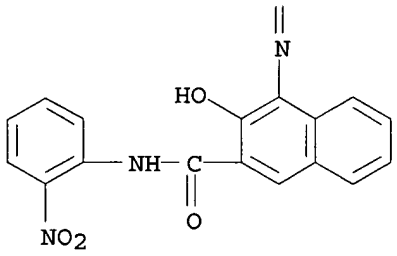
IT **126528-77-6**
RL: USES (Uses)
(photoconductive layer contg., for electrophotog. photoreceptor)

RN 126528-77-6 CAPLUS
CN 2-Naphthalenecarboxamide, 4,4'-[[10-[4-[[2-hydroxy-3-[[(2-nitrophenyl)amino]carbonyl]-1-naphthalenyl]azo]phenyl]-10H-dipyrido[3,2-b:2',3'-e][1,4]oxazine-3,7-diyl]bis(azo)]bis[3-hydroxy-N-(2-nitrophenyl)-(9CI) (CA INDEX NAME)

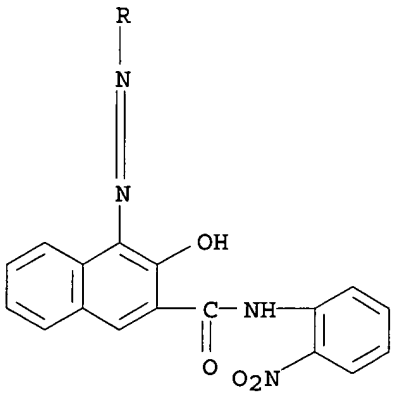
PAGE 1-A



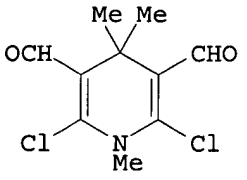
PAGE 2-A



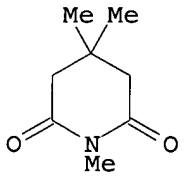
PAGE 3-A



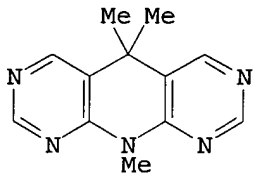
L12 ANSWER 110 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1990:118748 CAPLUS
DOCUMENT NUMBER: 112:118748
TITLE: Reactivity of 2,6-dichloro-3,5-diformyl-1,4,4-trimethyl-1,4-dihydropyridine
AUTHOR(S): Kurfurst, Antonin; Sebek, Pavel
CORPORATE SOURCE: Dep. Org. Chem., Prague Inst. Chem. Technol., Prague, 166 28, Czech.
SOURCE: Collection of Czechoslovak Chemical Communications (1989), 54(6), 1705-15
CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 112:118748
GI



I



II



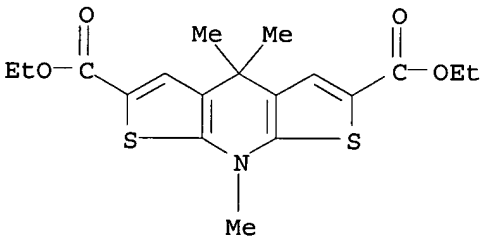
III

AB The title compd. (I) was prepd. in 65% yield by heating glutarimide II with DMF and excess POCl3 at 100.degree. for 4 h. The reaction of I with NH2OH, PhNHNH2, RONa (R = Et, Me2CH, Ph), piperidine, morpholine, Et thioglycolate, formamide, benzamidine, and PhCH2SH was reported. E.g., heating I with formamide at 160-170.degree. for 16 h gave 45% pyridodipyrimidine III.

IT **125532-90-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 125532-90-3 CAPLUS

CN Dithieno[2,3-b:3',2'-e]pyridine-2,6-dicarboxylic acid, 4,8-dihydro-4,4,8-trimethyl-, diethyl ester (9CI) (CA INDEX NAME)

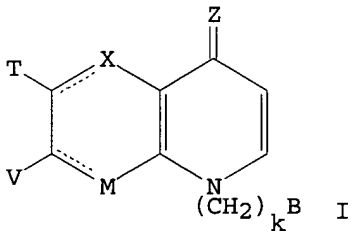


L12 ANSWER 111 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:614470 CAPLUS
DOCUMENT NUMBER: 111:214470
TITLE: Preparation, testing, and formulation of polycyclic quinolines, naphthyridine and pyrazinopyridine derivatives as drugs
INVENTOR(S): Ganguly, Ashit K.; Friary, Richard J.; Schwerdt, John H.; Siegel, Marvin I.; Smith, Sidney R.; Seidl, Vera A.; Sybertz, Edmund J.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S., 42 pp. Cont.-in-part of U.S. Ser. No. 861,788,

abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4810708	A	19890307	US 1987-17027	19870217
ZA 8604416	A	19870225	ZA 1986-4416	19860612
IL 79110	A1	19930131	IL 1986-79110	19860612
EP 229823	A1	19870729	EP 1986-904508	19860613
EP 229823	B1	19910925		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
CA 1306255	A1	19920811	CA 1986-511542	19860613
US 4988705	A	19910129	US 1989-307646	19890207
US 5116840	A	19920526	US 1990-576640	19900831
US 5126352	A	19920630	US 1990-576318	19900831
US 5439916	A	19950808	US 1990-576319	19900831

PRIORITY APPLN. INFO.: US 1985-744865 19850613
US 1986-861788 19860515
US 1987-17027 19870217
US 1989-307646 19890207
OTHER SOURCE(S): CASREACT 111:214470; MARPAT 111:214470
GI

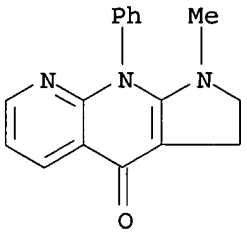


I

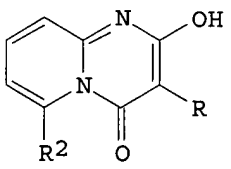
AB The title compds. [I; B = alkenyl, amino, carboxylic ester, (substituted) aryl; M, X = CH, N, (substituted)methylene, imino; XT = (substituted) phenylene; V = H, OH, alkyl, alkoxy, (substituted) Ph; T = V, F, Cl, Br; Z = O, S, imino, oximino; k = 0-2], useful for treating allergic reactions, inflammation peptic ulcer, hypertension, and hyperproliferative skin disease and for suppressing immune response, were prepd. 2-Chloronicotinoyl chloride in CHCl3 was added to 1-(1-pyrrolidinyl)-1-cyclopentene and Et3N in CHCl3 at 5.degree.. The mixt. was kept for 21 h (at 25.degree. after the first hour) to give 2-chloro-3-pyridyl)[2-(1-pyrrididinyl)-1-cyclopenten-1-yl]methanone. The latter was refluxed 26 h with 3-O2NC6H4NH2 in PhH contg. p-MeC6H4SO3Hto give 9-(3-nitrophenyl)-6,7,8,9-tetrahydro-5H-cyclopenta[b][1,8]naphthyridin-5-one (II). II showed an ED50 of 0.1 mg/kg orally in rats in the reverse passive Arthus reaction. An ointment was prepd. contg. 1-20 mg II, 20 mg benzyl alc., 50 mg mineral oil, and petrolatum to make 1 g.

IT **110546-06-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as drug)
RN 110546-06-0 CAPLUS
CN 4H-Pyrrolo[2,3-b][1,8]naphthyridin-4-one, 1,2,3,9-tetrahydro-1-methyl-9-

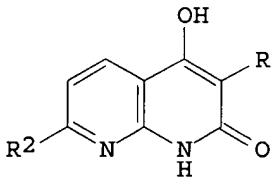
phenyl- (9CI) (CA INDEX NAME)



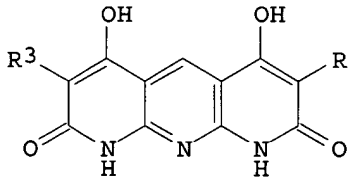
L12 ANSWER 112 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1989:212647 CAPLUS
DOCUMENT NUMBER: 110:212647
TITLE: Rearrangement reactions of heterocycles. 12. Rearrangement of 6-substituted pyrido[1,2-a]pyrimidines to isomeric 1,8-naphthyridines and some of their further reactions
AUTHOR(S): Schober, Bernt D.; Kappe, Thomas
CORPORATE SOURCE: Inst. Org. Chem., Karl-Franzens-Univ., Graz, A-8010, Austria
SOURCE: Journal of Heterocyclic Chemistry (1988), 25(4), 1231-6
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:212647
GI



II



III



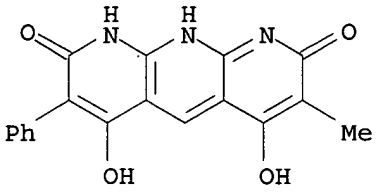
IV

AB 2-Amino-6-methylpyridine reacts with RCH(CO2R1)2 (I; R = Me, Bu, Ph, PhCH2; R1 = C6H2Cl3-2,4,6, C6Cl5) in Me2CO contg. Et3N at room temp. to give hydroxymethylpyridopyrimidinones II (same R; R2 = Me). 2,6-Diaminopyridine reacts with I (R1 = C6H2Cl3-2,4,6) in the absence of Et3N to give II (same R; R2 = H2N). At higher temps. II rearrange via ketene intermediates to give naphthyridinones III. III are also prepd. directly from the pyridine precursors by reaction with I (R1 = Et) or I (R = C6H2Cl3-2,4,6) at 240-250.degree.. Further reaction of III (R2 = H2N) with I (R = Ph, PhCH2, R1 = C6H2Cl3-2,4,6) gives pyridonaphthyridines IV (R3 = Ph, PhCH2).

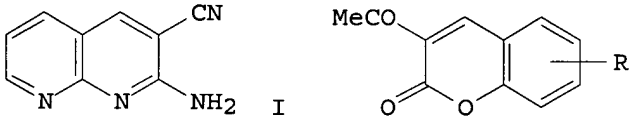
IT **120537-70-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

09/ 995,324

RN 120537-70-4 CAPLUS
CN 2,8(1H,9H)-Anthyridinedione, 4,6-dihydroxy-3-methyl-7-phenyl- (9CI) (CA INDEX NAME)

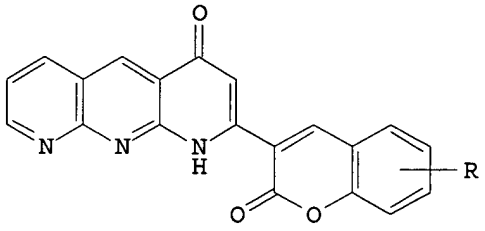


L12 ANSWER 113 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:590272 CAPLUS
DOCUMENT NUMBER: 109:190272
TITLE: Synthesis of 2-(3-coumarinyl)-4(1H)-anthyridinones
AUTHOR(S): Reddy, K. Rajendar; Mogilaiah, K.; Srefnivasulu, B.
CORPORATE SOURCE: Dep. Chem., Kakatiya Univ., Warangal, 506 009, India
SOURCE: Journal of the Indian Chemical Society (1987), 64(11), 709-10
CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:190272
GI



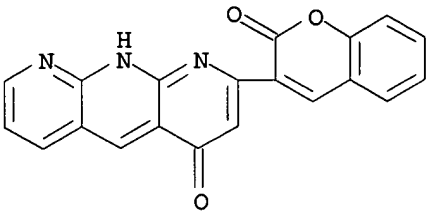
I

II



III

AB Cyclocondensation of naphthyridine I with acetylcoumarins II (R = H, 6-Cl, 6-Br, 6-NO2, 8-NO2, 7-OH, 8-OMe, 6,8-Cl2, 6,8-Br2, etc.) gave 62-80% anthyridinones III.
IT **117156-37-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 117156-37-3 CAPLUS
CN 4(1H)-Anthyridinone, 2-(2-oxo-2H-1-benzopyran-3-yl)- (9CI) (CA INDEX NAME)



L12 ANSWER 114 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:492978 CAPLUS
DOCUMENT NUMBER: 109:92978
TITLE: Method of treating hyperproliferative skin disease
INVENTOR(S): Blythin, David J.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S., 21 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

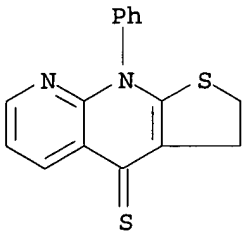
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4740511	A	19880426	US 1987-15829	19870218
CA 1309658	A1	19921103	CA 1987-553464	19871203
WO 8804172	A1	19880616	WO 1987-US3112	19871204
W: JP				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
EP 338010	A1	19891025	EP 1988-900504	19871204
EP 338010	B1	19920205		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 02501574	T2	19900531	JP 1988-500848	19871204
JP 06010133	B4	19940209		
AT 72397	E	19920215	AT 1988-900504	19871204

PRIORITY APPLN. INFO.:
US 1986-938196 19861205
US 1986-938217 19861205
US 1987-15829 19870218
EP 1988-900504 19871204
WO 1987-US3112 19871204

OTHER SOURCE(S): MARPAT 109:92978
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; A = heteroatom-contg. fused-ring moieties Q, Q1; B = O, S; R1-R10 = H, C1-6 alkyl; adjacent R3-R10 may form a bond; V = (un)substituted Ph, naphthyl, indenyl, indanyl, pyridyl, pyrimidinyl, thienyl, furyl, thiazolyl; W, X = H, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio C3-7 cycloalkyl, C3-6 alkenyloxy, C3-6 alkynyloxy, OH, halo, NO2, alkanoyl, acylamino, (un)modified CO2H, carboxyalkoxy, (un)substituted PhO, etc.; Y, Z = CH, N; m,p = 0, 1; n = 0-2] for treatment of hyperproliferative skin diseases such as eczema, psoriasis, and dandruff. A mixt. of Et 2-[(3,4-dichlorophenyl)amino]-3-pyridinecarboxylate, .gamma.-valerolactone, and KOCMe3 was heated 5h at 110.degree. to give 1-(3,4-dichlorophenyl)-4-hydroxy-3-(2-hydroxypropyl)-1,8-naphthyridin-2(1H)-one. The latter was heated 2h at 70.degree. in Eaton's reagent (10% P2O5 in MeSO3H) to give furonaphthyridinone II (R11 = Cl). II (R11 = H) (III) had an ED50 of 0.13 mg topically in the arachidonic acid mouse ear test, a measure of its utility in treatment of hyperproliferative skin diseases. An ointment was prepd. contg. III 1.0-20.0, PhCH2OH 10.0, and mineral oil 50 mg plus white petrolatum to make 1.0 g.

09/ 995,324

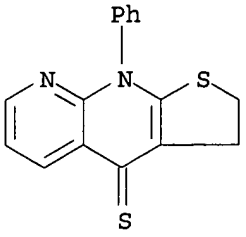
IT **95774-40-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, for treatment of hyperproliferative skin disease)
RN 95774-40-6 CAPLUS
CN Thieno[2,3-b][1,8]naphthyridine-4(2H)-thione, 3,9-dihydro-9-phenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 115 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:37817 CAPLUS
DOCUMENT NUMBER: 108:37817
TITLE: Preparation of furo- and thienonaphthyridines and their homologs as inotropic agents
INVENTOR(S): Blythin, David J.; Watkins, Robert W.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S., 14 pp. Cont. of U.S. Ser. No. 513,544, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4680297	A	19870714	US 1985-746914	19850620

PRIORITY APPLN. INFO.: US 1983-513544 19830714
OTHER SOURCE(S): CASREACT 108:37817
GI For diagram(s), see printed CA Issue.
AB The title compds. (I; A = oxa- or thia-1-cycloalken-1,2-ylene(thio)carbonyl; n = 0, 1, 2; W, X = H, alkyl, alkoxy, etc.; Y, Z = CH, N; V = Ph, naphthyl, indanyl, pyridyl, etc.; R7 = H, alkyl), useful as inotropic agents, are prepd. A soln. of naphthyridine deriv. II in Eaton's reagent was heated at 70.degree. for 2 h to give furonaphthyridine deriv. III. I increased cardiac contractility in in vitro tests conducted on guinea pig left atria at 1 .mu.m/mL-1000 .mu.g/mL, generally 10 .mu.g/mL-100 .mu.g/mL, as well as in in vivo tests using dogs at 1 mg/kg-10 mg/kg p.o. General procedures are given for nearly 300 addnl. compds. without data.
IT **95774-40-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as inotropic agent)
RN 95774-40-6 CAPLUS
CN Thieno[2,3-b][1,8]naphthyridine-4(2H)-thione, 3,9-dihydro-9-phenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 116 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1988:13822 CAPLUS
DOCUMENT NUMBER: 108:13822
TITLE: Silver halide color photographic photosensitive materials
INVENTOR(S): Yamashita, Kiyoshi; Kunieda, Sunao
PATENT ASSIGNEE(S): Konishiroku Photo Industry Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 61 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62147458	A2	19870701	JP 1985-289083	19851220
JP 06060996	B4	19940810		

PRIORITY APPLN. INFO.: JP 1985-289083 19851220

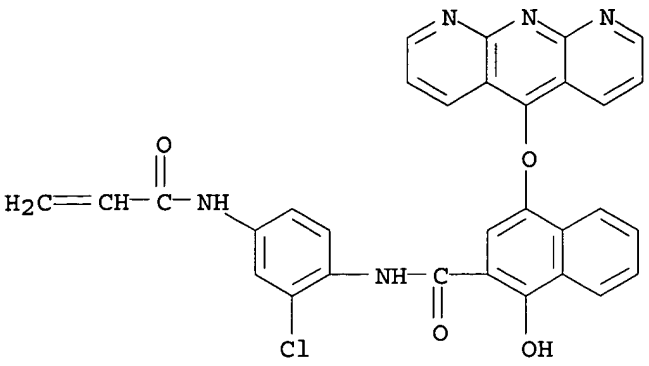
AB The title color photog. materials contain a development inhibitor releasing compd. and a colorless nondiffusible compd. of the formula LIG-X (X = moiety which releases the LIG during Ag halide development; LIG = ligand moiety) which is capable of forming a metal complex dye. The photog. materials show high sensitivity and excellent image quality.

IT **111908-36-2**
RL: USES (Uses)
(ligand-releasing photog. coupler, for masking image formation)

RN 111908-36-2 CAPLUS
CN 1-Propanesulfonic acid, 2-methyl-2-[(1-oxo-2-propenyl)amino]-, monosodium salt, polymer with 4-(5-anthyridinyloxy)-N-[2-chloro-4-[(1-oxo-2-propenyl)amino]phenyl]-1-hydroxy-2-naphthalenecarboxamide (9CI) (CA INDEX NAME)

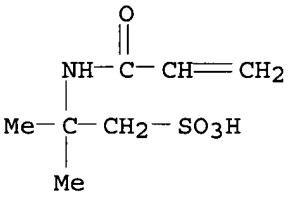
CM 1

CRN 111908-35-1
CMF C31 H20 Cl N5 O4



CM 2

CRN 5165-97-9
CMF C7 H13 N O4 S . Na



● Na

L12 ANSWER 117 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1987:554317 CAPLUS
DOCUMENT NUMBER: 107:154317
TITLE: Polycyclic quinoline, naphthyridine, and pyrazinopyridine derivatives
INVENTOR(S): Ganguly, Ashit Kumar; Schwerdt, John Herbert; Friary, Richard James; Siegel, Marvin Ira; Smith, Sidney Randall; Seidl, Vera Ann; Sybertz, Edmund J.
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8607359	A2	19861218	WO 1986-US1269	19860613
WO 8607359	A3	19870409		
W: AU, DK, FI, HU, JP, KR, NO				
RW: AT, BE, CF, CG, CH, CM, DE, FR, GA, GB, IT, LU, ML, MR, NL, SE, SN, TD, TG				
ZA 8604416	A	19870225	ZA 1986-4416	19860612
IL 79110	A1	19930131	IL 1986-79110	19860612
AU 8661224	A1	19870107	AU 1986-61224	19860613

AU 591922	B2	19891221			
HU 42482	A2	19870728	HU 1986-3255	19860613	
HU 203098	B	19910528			
EP 229823	A1	19870729	EP 1986-904508	19860613	
EP 229823	B1	19910925			
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
JP 63500518	T2	19880225	JP 1986-503579	19860613	
JP 07062017	B4	19950705			
AT 67764	E	19911015	AT 1986-904508	19860613	
CA 1306255	A1	19920811	CA 1986-511542	19860613	
DK 8700706	A	19870212	DK 1987-706	19870212	
NO 8700564	A	19870212	NO 1987-564	19870212	
NO 168177	B	19911014			
NO 168177	C	19920122			

PRIORITY APPLN. INFO.:	US 1985-744865	19850613
	US 1986-861788	19860515
	EP 1986-904508	19860613
	WO 1986-US1269	19860613

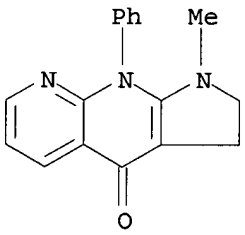
GI For diagram(s), see printed CA Issue.

AB Title compds. I [W = (poly)cyclic group contg. C and optionally N, O, S; X, M = (un)substituted CH, N; T, V = H, OH, alkyl, alkoxy, (un)substituted Ph; T may also be F, Cl, Br; Z = O, S, imino; R = alkyl, amino, substituted CO2R, O2CR, arom., heteroarom.; n = 0-2], useful for treating allergic reactions, inflammation, peptic ulcers, hypertension, and psoriasis, and for suppressing the immune response in mammals, are prepd. Thus, 1-morpholinocyclohexene reacted with 2-chloronicotinoyl chloride to give enamino ketone II, which reacted with 3-chloroaniline to form benzonaphthyridinone III. III inhibited anaphylactic bronchospasms and allergen-induced SRS-A and histamine release in guinea pigs. III was also active as an antiinflammatory agent, an antihypertensive, and an immunosuppressive agent, and was useful in treatment of hyperproliferative skin disease in test animals. Formulations contg. 100 mg/vial active compd. and sterile water were prepd. for parenteral administration.

IT **110546-06-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and pharmaceutical activity of)

RN 110546-06-0 CAPLUS

CN 4H-Pyrrolo[2,3-b][1,8]naphthyridin-4-one, 1,2,3,9-tetrahydro-1-methyl-9-phenyl- (9CI) (CA INDEX NAME)

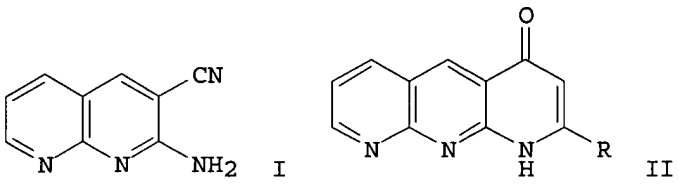


L12 ANSWER 118 OF 156 CAPLUS COPYRIGHT 2003 ACS

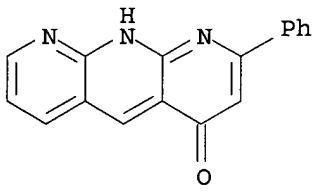
ACCESSION NUMBER:	1987:213788 CAPLUS
DOCUMENT NUMBER:	106:213788
TITLE:	Synthesis of 2-aryl-4(1H)-anthyridinones
AUTHOR(S):	Mogilaiah, K.; Reddy, K. Rajendar; Reddy, K. Vijayender; Sreenivasulu, B.
CORPORATE SOURCE:	Dep. Chem., Kakatiya Univ., Warangal, 506 009, India
SOURCE:	Journal of the Indian Chemical Society (1986), 63(3), 345-7 CODEN: JICSAH; ISSN: 0019-4522
DOCUMENT TYPE:	Journal

09/ 995,324

LANGUAGE: English
OTHER SOURCE(S): CASREACT 106:213788
GI



AB Cyclocondensation reaction of aminocyanonaphthyridine I with various aryl Me ketones in AcOH contg. catalytic amt. of H2SO4 yielded the title anthyridinones II (R = e.g. Ph, 2-HOC6H4, 4-ClC6H4, 1-naphthyl, 2-pyridyl, 2-furyl).
IT **107641-01-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 107641-01-0 CAPLUS
CN 4(1H)-Anthyridinone, 2-phenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 119 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1985:166726 CAPLUS
DOCUMENT NUMBER: 102:166726
TITLE: Fused tricyclic derivatives of naphthyridinone, pyridone and quinolone and the corresponding thiones
INVENTOR(S): Blythin, David John
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: Eur. Pat. Appl., 88 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

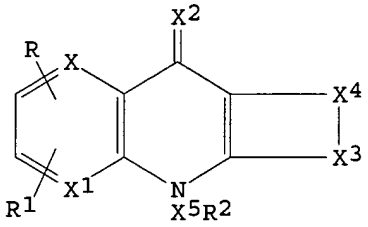
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 127135	A2	19841205	EP 1984-105923	19840524
EP 127135	A3	19850821		
EP 127135	B1	19900829		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4680298	A	19870714	US 1984-597887	19840409
AT 56015	E	19900915	AT 1984-105923	19840524
DK 8402647	A	19841201	DK 1984-2647	19840529
DK 160557	B	19910325		
DK 160557	C	19910909		
AU 8428824	A1	19841206	AU 1984-28824	19840529
AU 559754	B2	19870319		

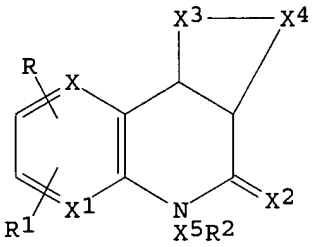
GB 2142013	A1	19850109	GB 1984-13582	19840529
GB 2142013	B2	19870121		
ZA 8404083	A	19850130	ZA 1984-4083	19840529
IL 71960	A1	19880731	IL 1984-71960	19840529
JP 60034967	A2	19850222	JP 1984-110656	19840530
JP 07047590	B4	19950524		
CA 1251208	A1	19890314	CA 1984-455491	19840530

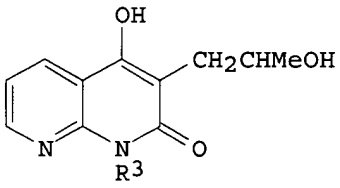
PRIORITY APPLN. INFO.: US 1983-499584 19830531
US 1984-597887 19840409
EP 1984-105923 19840524

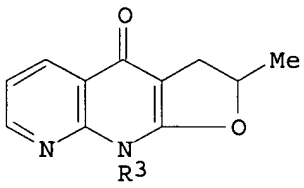
OTHER SOURCE(S): CASREACT 102:166726

GI


I


II


III

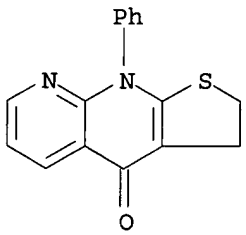

IV

AB Antiallergy (no data) title compds. I and II [X, X1 = CH, N; X2, X3 = O, S; X4 = [(substituted) alkyl substituted] C2-4 alkylene, alkenylene; X5 = (alkyl substituted) C1-6 alkylene; R, R1 = H, OH, alkyl, cycloalkyl, cyano, NO2, alkoxy, etc.; R2 = (un)substituted Ph, naphthyl, indenyl, indanyl, pyridyl, etc.] (.apprx.60 compds.) were prepd. Thus, Et 2-(3,4-dichlorophenyl)nicotinate reacted with .gamma.-valerolactone to give naphthyridinone III (R3 = C6H3Cl2-3,4), which was treated with P2O5-methanesulfonic acid to give furonaphthyridinone IV.

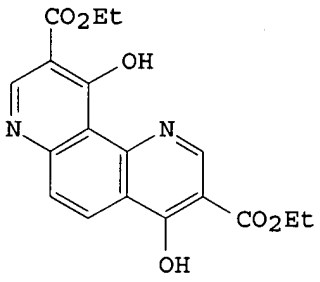
IT **95774-41-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and isomerization of)

RN 95774-41-7 CAPLUS

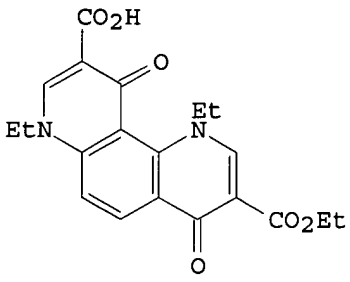
CN Thieno[2,3-b][1,8]naphthyridin-4(2H)-one, 3,9-dihydro-9-phenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 120 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1985:95565 CAPLUS
DOCUMENT NUMBER: 102:95565
TITLE: Studies on the synthesis of quinoline compounds. III. Syntheses of tricyclic aromatic compounds with two parts of 3-carboxy-1-ethyl-4-oxo-1,4-dihydropyridine
AUTHOR(S): Hirao, Ichiro; Yamaguchi, Masahiko; Takefuji, Nobuo; Kawazoe, Yasushi
CORPORATE SOURCE: Kyushu Inst. Technol., Kitakyushu, Japan
SOURCE: Memoirs of the Kyushu Institute of Technology, Engineering (1984), 14, 23-7
CODEN: MKIEBJ; ISSN: 0369-0512
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



III

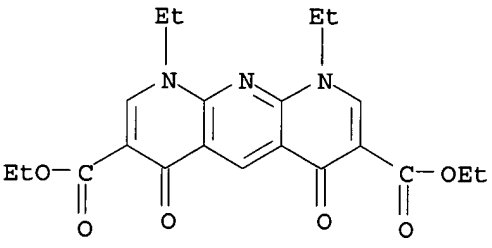


IV

AB Benzenediamines 4-RC6H3(NH2)2-1,3 (I, R = H, Cl, Me), C6H4(NH2)2-1,4 and 2,6-pyridinediamine were converted to tricyclic quinolines by the Gould-Jacobs reaction by condensation with EtOCH:C(CO2Et)2 (II) followed by thermal cyclization of the resulting imines. Thus, I (R = H) and II were refluxed in MeOH, the diimine isolated and heated at 270.degree. in Ph2O to give phenanthroline III. This was N-ethylated and hydrolyzed in aq. HCl to give IV.

IT **94974-09-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and acid hydrolysis of)

RN 94974-09-1 CAPLUS
CN 3,7-Anthyridinedicarboxylic acid, 1,9-diethyl-1,4,6,9-tetrahydro-4,6-dioxo-, diethyl ester (9CI) (CA INDEX NAME)

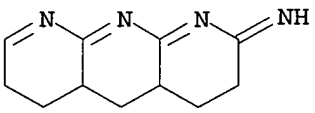


L12 ANSWER 121 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1983:406154 CAPLUS
DOCUMENT NUMBER: 99:6154
TITLE: The degradation and stabilization of

polyacrylonitrile. II. Degradation of 1,3,5,7-tetracyanoheptane
AUTHOR(S): Ayrey, G.; Chadda, S. K.; Poller, R. C.
CORPORATE SOURCE: Dep. Chem., Queen Elizabeth Coll., London, W8 7AH, UK
SOURCE: European Polymer Journal (1983), 19(4), 313-15
CODEN: EUPJAG; ISSN: 0014-3057
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A pure model compd. for polyacrylonitrile (I) [25014-41-9], 1,3,5,7-tetracyanoheptane [64918-24-7], discolors thermally in a manner similar to the polymer. This and other evidence is presented to support the view that thermal degrdn. of I is a free radical reaction involving tertiary H atoms.
IT **86105-98-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 86105-98-8 CAPLUS
CN 2(3H)-Anthyridinimine, 4,4a,5,5a,6,7-hexahydro-, compd. with 2,4,6-trinitrophenol (1:4) (9CI) (CA INDEX NAME)

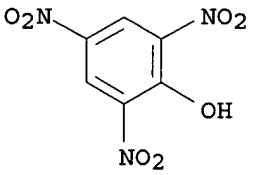
CM 1

CRN 86105-97-7
CMF C11 H14 N4



CM 2

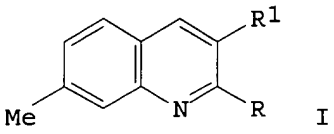
CRN 88-89-1
CMF C6 H3 N3 O7



L12 ANSWER 122 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1982:19930 CAPLUS
DOCUMENT NUMBER: 96:19930
TITLE: A versatile new synthesis of quinolines and related fused pyridines. Part 9. Synthetic application of the 2-chloroquinoline-3-carboxaldehydes
AUTHOR(S): Meth-Cohn, Otto; Narine, Bramha; Tarnowski, Brian; Hayes, Roy; Keyzad, Amitis; Rhouati, Salah; Robinson, Andrew
CORPORATE SOURCE: Dep. Chem. Appl. Chem., Univ. Salford, Salford, M5 4WT, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1981), (9), 2509-17
CODEN: JCPRB4; ISSN: 0300-922X

09/ 995,324

DOCUMENT TYPE: Journal
LANGUAGE: English
GI



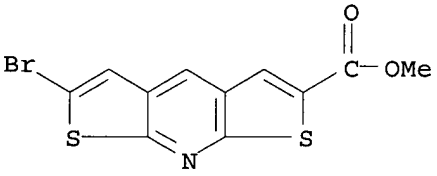
I

AB The 2-Cl group of the title compds. was replaced by H, iodo, OH, SR (R = alkyl), Li, CO2H, Ph, pyridyl, and N3 (giving the tetrazole), and the CHO group was converted to the oxime, hydrazone, and acrylic acid derivs. E.g., quinoline I (R = Cl, R1 = CHO) reacted with Me3CSH (K2CO3, EtOH, reflux, 2 h) to give 47% I (R = SCMe3, R1 = CHO) and with N2H4 (EtOH, reflux, 30 min, then 0.degree. to crystallize) to give 84% I (R = Cl, R1 = CH:NNH2). A variety of fused quinolines were prepd. from these functionalized derivs. E.g., I (R = R1 = CHO) underwent cyclocondensation reaction with N2H4 (EtOH, room temp., 30 min) and with CO(CH2CO2Et)2, (piperidine, dioxane, reflux, 3 h) to give I [RR1 = CH:NN:CH, CH:C(CO2Et)COC(CO2Et):C] in 96 and 22% yield, resp.

IT **68236-37-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 68236-37-3 CAPLUS

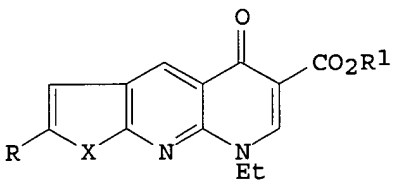
CN Dithieno[2,3-b:3',2'-e]pyridine-2-carboxylic acid, 6-bromo-, methyl ester (9CI) (CA INDEX NAME)



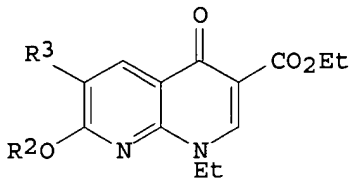
L12 ANSWER 123 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1981:103337 CAPLUS
DOCUMENT NUMBER: 94:103337
TITLE: Heterocyclic ring-condensed naphthyridine derivatives
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 55118489	A2	19800911	JP 1979-26518	19790307
JP 62037637	B4	19870813		

PRIORITY APPLN. INFO.: JP 1979-26518 19790307
GI



I



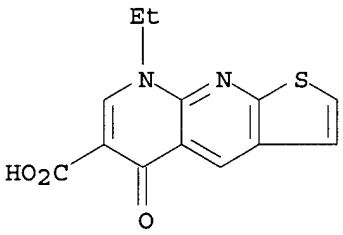
II

AB Title compds. I (R = R1 = H, X = O, S), useful as antibacterials (no data), were prepd. Thus, II (R2 = Et, R3 = O2N), obtained by ethylation of II (R2 = H, R3 = O2N) with EtI, was reduced with Fe-AcOH, the diazonium salt of II (R2 = Et, R3 = H2N) treated with CuCN-KCN, II (R2 = Et, R3 = cyano) heated with HCO2H-H20-Raney Ni, II (R2 = Et, R3 = CHO) deethylated with AlCl3 in CH2Cl2, II (R2 = H, R3 = CHO) cyclocondensed with BrCH(CO2Et)2-K2CO3, I (R = EtO2C, R1 = Et, X = O) hydrolyzed (10% aq. NaOH), and the resulting dicarboxylic acid I (R = HO2C, R1 = H, X = O) decarboxylated to give I (R = R1 = H, X = O).

IT **75064-86-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of as bactericide)

RN 75064-86-7 CAPLUS

CN Thieno[2,3-b][1,8]naphthyridine-6-carboxylic acid, 8-ethyl-5,8-dihydro-5-oxo- (9CI) (CA INDEX NAME)



L12 ANSWER 124 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1980:639389 CAPLUS

DOCUMENT NUMBER: 93:239389

TITLE: Substituted-6,7,8,9-tetrahydropyrido- and -2H-pyrano[2,3-b][1,8]naphthyridines, stable efficient laser dyes

PATENT ASSIGNEE(S): United States Dept. of the Navy, USA

SOURCE: U.S., 7 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

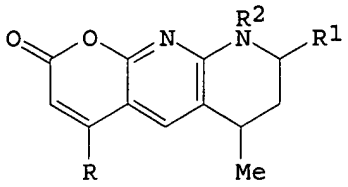
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

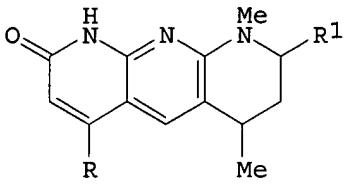
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4202981	A	19800513	US 1978-888125	19780320
US 888125	A0	19780804	US 1978-888125	19780320

PRIORITY APPLN. INFO.: US 1978-888125 19780320

GI



I



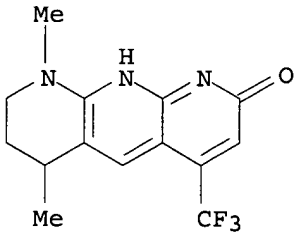
II

AB Pyrano[2,3-b][1,8]naphthyridines I and pyrido[2,3-b][1,8]naphthyridines II (R = H, Me, CF3, HO, MeO; R1 = Me, H, Ph; R2 = Me, H, CH2CO2Et), useful as laser dyes (no data), were prepd. Thus, hydrogenation of 7-acetamido-2-chloro-4-methyl-1,8-naphthyridine over Pd/C followed by quaternization by 4-MeC6H4SO3Me and hydrogenation over PtO2 gave 7-acetamido-1,4-dimethyl-1,2,3,4-tetrahydro-1,8-naphthyridine (III). Deamination-hydroxylation of III followed by cyclocondensation with CF3COCH2CO2Et gave I (R = CF3, R1 = H, R2 = Me).

IT **65541-89-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 65541-89-1 CAPLUS

CN 2(1H)-Anthyridinone, 6,7,8,9-tetrahydro-6,9-dimethyl-4-(trifluoromethyl)-(9CI) (CA INDEX NAME)



L12 ANSWER 125 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1980:604497 CAPLUS

DOCUMENT NUMBER: 93:204497

TITLE: A new synthesis of 1,8,9-triazaanthracene derivatives (anthyridine)

AUTHOR(S): Czuba, Wladyslaw; Bajgrowicz, Jerzy A.

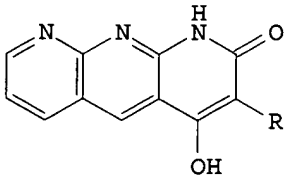
CORPORATE SOURCE: Inst. Org. Phys. Chem., Tech. Univ. Wroclaw, Wroclaw, Pol.

SOURCE: Bulletin de l'Academie Polonaise des Sciences, Serie des Sciences Chimiques (1979), 27(7-8), 571-4
CODEN: BAPCAQ; ISSN: 0001-4095

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

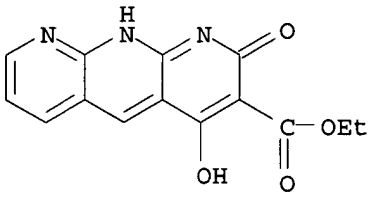
09/ 995,324

AB Anthyridinones I (R = H, CO2Et) were obtained in 72.5 and 67.2% yield resp. by treating 2-amino-3-ethoxycarbonyl-1,8-naphthylidine (II) with RCH2CO2Et. II was prepd. by treating 2-aminonicotinaldehyde with CH2(CN)2 hydrolysis of the nitrile, and esterification.

IT **75388-95-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 75388-95-3 CAPLUS

CN 3-Anthyridinecarboxylic acid, 1,2-dihydro-4-hydroxy-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 126 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1980:568191 CAPLUS

DOCUMENT NUMBER: 93:168191

TITLE: Synthesis of antimicrobial agents. V. Synthesis and antimicrobial activities of some heterocyclic condensed 1,8-naphthyridine derivatives

AUTHOR(S): Suzuki, Norio

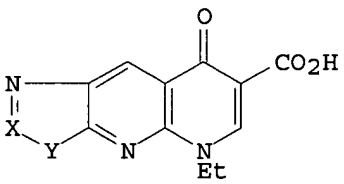
CORPORATE SOURCE: Res. Inst., Daiichi Seiyaku Co., Ltd., Tokyo, 132, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1980), 28(3), 761-8
CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



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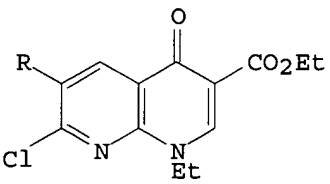

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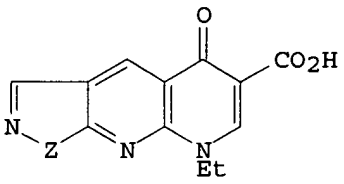
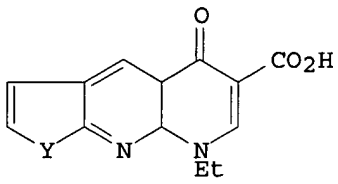


III



IV

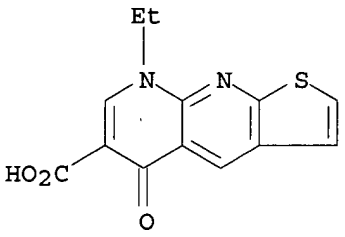
AB I (X = NMe, Y = O; X = N, Y = S) were prepd. by hydrolysis of II (R = NH2) with NaYH, followed by cyclization with AC2O or NaNO2. III (Z = NMe, S) were prepd. by ring cyclization of II (R = CHO) with MeNHNH2 or EtOH-NH3 in the presence of S, followed by hydrolysis. Thieno- and

furo[2,3-b][1,8]naphthyridines IV were prepd. through a series of reaction steps, e.g., diazotization, redn. of II (R = CN), ring cyclization by means of Et mercaptoacetate or Et bromomalonate, hydrolysis and decarboxylation. The compds. obtained were tested for antimicrobial activities in vitro. IV (Y = S) exhibited the highest activities among these compds. against many gram-neg. bacteria, including Ps. aeruginosa, and against gram-pos. bacteria.

IT **75064-86-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and antimicrobial activity of)

RN 75064-86-7 CAPLUS

CN Thieno[2,3-b][1,8]naphthyridine-6-carboxylic acid, 8-ethyl-5,8-dihydro-5-oxo- (9CI) (CA INDEX NAME)

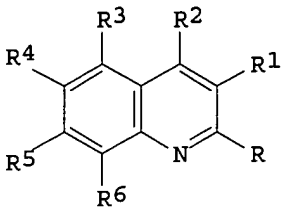


L12 ANSWER 127 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1980:111035 CAPLUS
DOCUMENT NUMBER: 92:111035
TITLE: Aromatic .alpha.-halo[b]fused pyridines
INVENTOR(S): Meth-Cohn, Otto; Narine, Brahma
PATENT ASSIGNEE(S): Croda Synthetic Chemicals Ltd., UK
SOURCE: PCT Int. Appl., 27 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 7900540	A1	19790809	WO 1979-GB17	19790124
W: DK, JP, SU, US				
EP 3645	A1	19790822	EP 1979-300117	19790124
EP 3645	B1	19820505		
R: BE, CH, DE, FR, GB, IT, NL, SE				
JP 55500047	T2	19800131	JP 1979-500317	19790124
JP 63050351	B4	19881007		
ES 477191	A1	19790916	ES 1979-477191	19790126
US 4375544	A	19830301	US 1979-217325	19790608

PRIORITY APPLN. INFO.: GB 1978-3540 19780128
GB 1978-20242 19780517
WO 1979-GB17 19790124

GI



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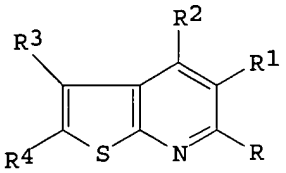
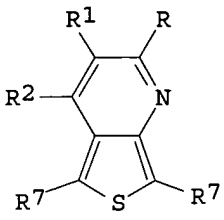
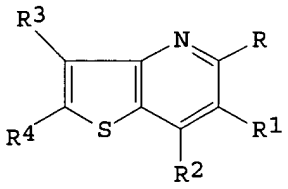


II



III



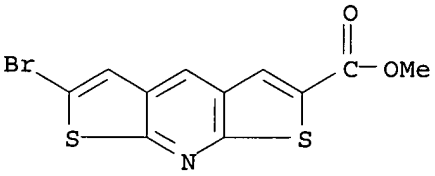
IV

AB Fused pyridines I-IV (R = halo, R1 = H, alkyl, aryl, formyl; R2 = H, alkyl, aryl; R3-R7 = H, alkyl, alkoxy, alkylamino, dialkylamino, alkylthio, aryl, alkylaryl) were prepd. Thus, refluxing 2-acetamido-5-bromothiophene in DMF-POCl3 3 h at 138.degree. gave 66% thieno[2,3-b]pyridine II (R = Br, R1-R3 = H, R4 = Cl), whereas refluxing in excess DMF-POCl3 gave 66% II (R1 = R3 = H, R2 = CHO).

IT **68236-37-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 68236-37-3 CAPLUS

CN Dithieno[2,3-b:3',2'-e]pyridine-2-carboxylic acid, 6-bromo-, methyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 128 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1980:110367 CAPLUS

DOCUMENT NUMBER: 92:110367

TITLE: Acetals of lactams and acid amides. 30. Ionization constants of 1,8-naphthyridine derivatives

AUTHOR(S): Granik, V. G.; Persianova, I. V.; Sochneva, E. O.; Anisimova, O. S.; Sheinker, Yu. N.

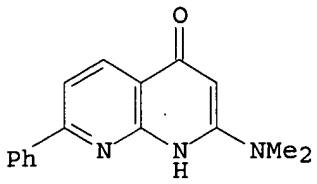
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow, USSR

SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1979), (9), 1255-7
CODEN: KGSSAQ; ISSN: 0453-8234

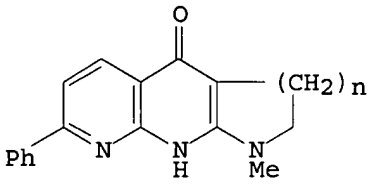
DOCUMENT TYPE: Journal

LANGUAGE: Russian

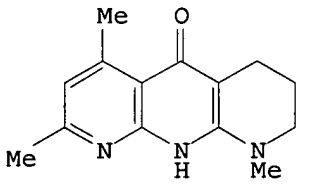
GI



I



II



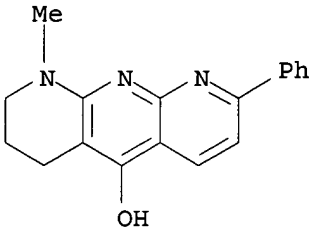
III

AB The pK values for protonation of I, II (n = 1, 2, 3), and III in 50% aq. EtOH were 3.85, 4.0, 3.86, 3.56, and 4.61, resp. The pK values for ionization of these compds. in 70% aq. DMF were 11.01, 10.42, 11.56, 11.56, and 12.86, resp. II (n = 2) was protonated on the O atom.

IT **72961-82-1P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and spectra of)

RN 72961-82-1 CAPLUS

CN 5-Anthyridinol, 1,2,3,4-tetrahydro-1-methyl-8-phenyl-, conjugate monoacid (9CI) (CA INDEX NAME)



● H^+

L12 ANSWER 129 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1979:575229 CAPLUS

DOCUMENT NUMBER: 91:175229

TITLE: Chemistry of 1,5,9-, 1,8,9- and 1,8,10-triazaanthracenes

AUTHOR(S): Czuba, Wladyslaw; Bajgrowicz, Jerzy A.

CORPORATE SOURCE: Inst. Chem. Org. Fiz., Politech. Wroclawskiej, Wroclaw, Pol.

SOURCE: Wiadomosci Chemiczne (1979), 33(2), 87-99
CODEN: WICHAP; ISSN: 0043-5104

DOCUMENT TYPE: Journal; General Review

LANGUAGE: Polish

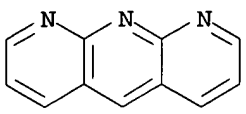
AB A review with 33 refs.

IT **261-15-4**
RL: MSC (Miscellaneous)
(chem. of)

RN 261-15-4 CAPLUS

09/ 995,324

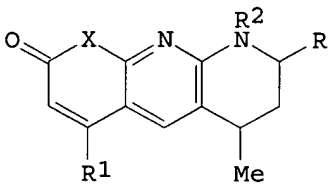
CN Anthyridine (8CI, 9CI) (CA INDEX NAME)



L12 ANSWER 130 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1979:123073 CAPLUS
DOCUMENT NUMBER: 90:123073
TITLE: Substituted-6,7,8,9-tetrahydropyrido- and 2H-pyrano [2,3-b][1,8]naphthyridines as stable, efficient laser dyes
INVENTOR(S): Hammond, Peter R.; Henry, Ronald A.; Trias, John A.; Schimitschek, Erhard J.
PATENT ASSIGNEE(S): United States Dept. of the Navy, USA
SOURCE: U. S. Pat. Appl., 23 pp. Avail. NTIS.
CODEN: XAXXAV
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

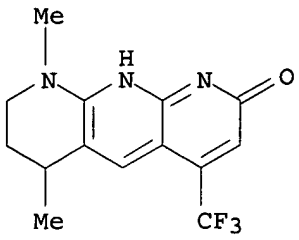
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 888125	A0	19780804	US 1978-888125	19780320
US 4202981	A	19800513	US 1978-888125	19780320

PRIORITY APPLN. INFO.: US 1978-888125 19780320
GI

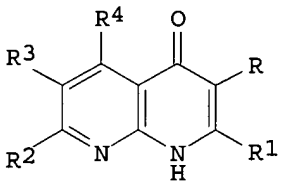


I

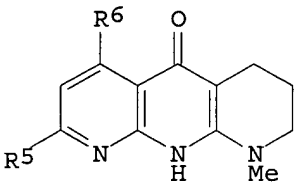
AB Stable, efficient laser dyes (I; R = H, Me, Ph; R1 = MeO, CF3, Me, H; R2 = H, Me, CH2CO2Et; X = NH, O) were prepd. which emit in the blue-green region. Thus, 7-hydroxy-1,4-dimethyl-1,2,3,4-tetrahydro-1,8-naphthyridine [58301-18-1] (prepn. given) was condensed with Et trifluoroacetoacetate [372-31-6] to give I (R = H, R1 = CF3, R2 = Me, X = O) [58721-77-0], fluorescence max. 482 nm, excited 400 nm.
IT **65541-89-1P**
RL: PREP (Preparation)
(laser dye, manuf. of)
RN 65541-89-1 CAPLUS
CN 2(1H)-Anthyridinone, 6,7,8,9-tetrahydro-6,9-dimethyl-4-(trifluoromethyl)- (9CI) (CA INDEX NAME)



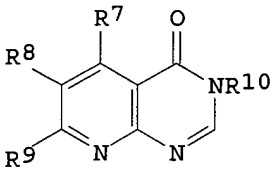
L12 ANSWER 131 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1979:121530 CAPLUS
DOCUMENT NUMBER: 90:121530
TITLE: Acetals of lactams and acid amides. XXIX. Synthesis of 1,8-naphthyridine and pyrido[2,3-d]pyrimidine
AUTHOR(S): Sochneva, E. O.; Solov'eva, N. P.; Granik, V. G.
CORPORATE SOURCE: Vses. Nauchno-Issled. Khim.-Farm. Inst., Moscow, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1978), (12), 1671-6
CODEN: KGSSAQ; ISSN: 0453-8234
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI



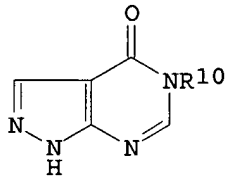
I



II



III

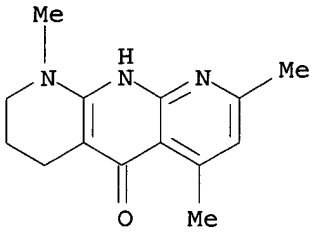


IV

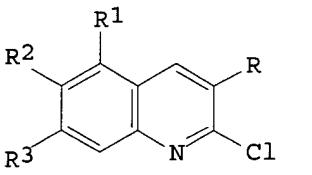
AB 1,8-Naphthyridine I (R = CO2Et, R1 = H, R2 = MeS, R3 = Bz, R4 = Me2N) was obtained in 81% yield by condensation of 2-aminopyridine with EtOCH:C(CO2Et)2 followed by heating 30 min at 280.degree.. I (R = R3 = R4 = H, R1 = Me2N, R2 = Ph) was prepd. in 52% yield by cyclocondensation of an aminopyridine with Me2NC(OEt)2Me. Pyridonaphthyridines II (R5 = Ph, R6 = H; R5 = R6 = Me) were obtained by condensation of an aminopyridine with 2,2-diethoxy-1-methylpiperidine. Pyrrolonaphthyridines were obtained when the condensation was carried out with 2,2-diethoxy-1-methylpyrrolidine. Pyridopyrimidines III (R7 = PhCH2NH, Me, H; R8 = Bz, H, Me; R9 = SMe, Me, Ph; R10 = PhCH2, PhCH2CH2) were prepd. in 35-88% yields by cyclocondensation of Et [[(dimethylamino)methylene]amino]nicotinates with R10NH2. Addnl. obtained were 51-6]% pyrazolopyrimidines IV (R10 = PhCH2CH2, PhCH2, PhCH2CHMe) from Et 2-[[(dimethylamino)methylene]amino]pyrazole-3-carboxylate and R10NH2.

IT **69398-22-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)

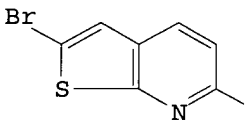
(prepn. of)
RN 69398-22-7 CAPLUS
CN 5(1H)-Anthyridinone, 2,3,4,9-tetrahydro-1,6,8-trimethyl- (9CI) (CA INDEX NAME)



L12 ANSWER 132 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1978:597302 CAPLUS
DOCUMENT NUMBER: 89:197302
TITLE: A versatile new synthesis of quinolines, thienopyridines and related fused pyridines
AUTHOR(S): Meth-Cohn, O.; Narine, Bramha
CORPORATE SOURCE: Dep. Chem. Appl. Chem., Univ. Salford, Salford, UK
SOURCE: Tetrahedron Letters (1978), (23), 2045-8
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

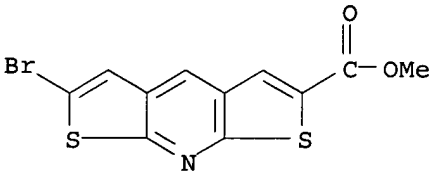


I

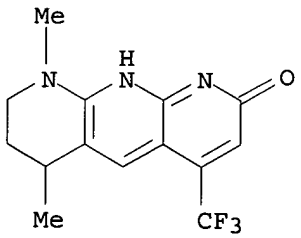


II

AB Quinolines I [(R = H)(R1 = R2 = H, R3 = OMe, Me; R1 = H, OMe, R2 = R3 = OMe)] were prepd. (59-73%) by Vilsmeier formylation of 3,4,5-R1R2R3C6H2NHAc with POCl3/DMF (3:1), whereas the corresponding formylquinolines I (R = CHO) were obtained (64-92%) using POCl3/DMF (7:3). Thienopyridines and their formyl derivs. were similarly prepd. in good yield by Vilsmeier formylation of acetamidothiophenes. E.g., thienopyridine II was obtained (66%) by treatment of 2-acetamido-5-bromothiophene with POCl3/DMF (3:1).
IT **68236-37-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 68236-37-3 CAPLUS
CN Dithieno[2,3-b:3',2'-e]pyridine-2-carboxylic acid, 6-bromo-, methyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 133 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1978:51932 CAPLUS
DOCUMENT NUMBER: 88:51932
TITLE: Some derivatives of 1,8-naphthyridine, 1,2-dihydropyrido[2,3-b][1,8]naphthyridine and 2H-pyrano[2,3-b][1,8]naphthyridine
AUTHOR(S): Henry, Ronald A.; Hammond, Peter R.
CORPORATE SOURCE: Chem. Div., Nav. Weapons Cent., China Lake, CA, USA
SOURCE: Journal of Heterocyclic Chemistry (1977), 14(6), 1109-14
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 7-Amino- and 7-hydroxy-1,4-dimethyl-1,2,3,4-tetrahydro-1,8-naphthyridines, as well as the homologous 1,2,4-tri-Me derivs., were synthesized. Condensation of these compds. with .beta.-keto esters gave substituted tetrahydro-1,2-dihydropyrido- or 2H-pyran-[2,3-b]-[1,8]naphthyridines, which are stable fluorescers (range 393-482 nm in EtOH) and laser dyes.
IT **65541-89-1P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and fluorescence of)
RN 65541-89-1 CAPLUS
CN 2(1H)-Anthyridinone, 6,7,8,9-tetrahydro-6,9-dimethyl-4-(trifluoromethyl)-(9CI) (CA INDEX NAME)



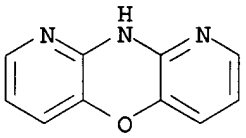
L12 ANSWER 134 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1978:50679 CAPLUS
DOCUMENT NUMBER: 88:50679
TITLE: Studies in the heterocyclic series. XII. The chemistry and applications of aza and thia analogs of phenoxazine and related compounds
AUTHOR(S): Okafor, Charles O.
CORPORATE SOURCE: Dep. Chem., Univ. Nigeria, Nsukka, Nigeria
SOURCE: Heterocycles (1977), 7(1), 391-427
CODEN: HTCYAM; ISSN: 0385-5414
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB Review with 106 refs. The chem. and uses of phenoxazines, pyrazinobenzoxazines, dipyridooxazines, pyrrolobenzoxazines, furobenzoxazines, dibenzoxazepines, pyrimidobenzoxazepines, pyridobenzoxazepines, thienobenzoxazepines, and dibenzoxazocines are

discussed.

IT **55609-26-2D**, derivs.
RL: RCT (Reactant); RACT (Reactant or reagent)
(chem. and uses of)

RN 55609-26-2 CAPLUS

CN 1H-Dipyrido[3,2-b:2',3'-e][1,4]oxazine (9CI) (CA INDEX NAME)



L12 ANSWER 135 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1977:584397 CAPLUS

DOCUMENT NUMBER: 87:184397

TITLE: 1,9,10-Anthyridines

AUTHOR(S): Caluwe, Paul; Majewicz, Thomas G.

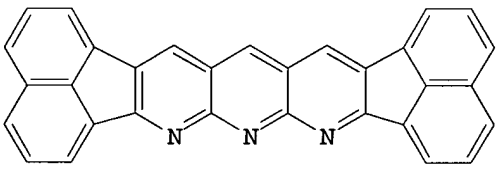
CORPORATE SOURCE: Coll. Environ. Sci. For., State Univ. New York, Syracuse, NY, USA

SOURCE: Journal of Organic Chemistry (1977), 42(21), 3410-13
CODEN: JOCEAH; ISSN: 0022-3263

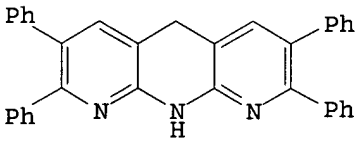
DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I



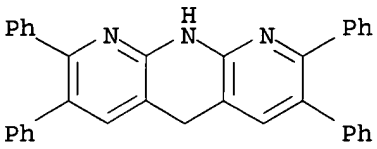
II

AB Friedlaender condensation of 2,6-diaminopyridine-3,5-dicarboxaldehyde with acenaphthenone gave diacenaphtho[1,2-b:1',2'-i]1,9,10-anthyridine (I) in 65% yield. Condensations with deoxybenzoin, .alpha.-tetraline, and acetophenone gave the 5,10-dihydro-1,9,10-anthyridine moiety, e.g. II, rather than the fully arom. nucleus. Base-catalyzed hydride transfer from the solvent to the anthyridine initially formed resulted in the overall redn. of this heterocyclic system. Oxidn. of the dihydroanthyridines with PhNO2 or HNO3 gave the fully arom. anthyridines in moderate yield. Treating 2,8-diphenyl-5,10-dihydro-1,9,10-anthyridine with hot HNO3 gave mainly 2,8-diphenyl-5(10H)-1,9,10-anthyridone. Friedlaender condensation of 2-amino-5,6-diphenylpyridine-3-carboxaldehyde and deoxybenzoin gave 2,3,6,7-tetraphenyl-1,8-naphthyridine in excellent yield.

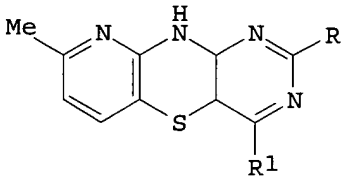
IT **63196-32-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and oxidn. of)

09/ 995,324

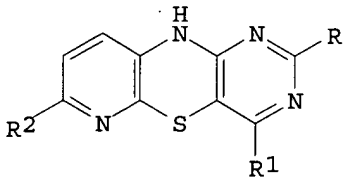
RN 63196-32-7 CAPLUS
CN Anthyridine, 1,5-dihydro-2,3,7,8-tetraphenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 136 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1977:502252 CAPLUS
DOCUMENT NUMBER: 87:102252
TITLE: Studies in the heterocyclic series. XIII. New CNS-depressants derived from 1,9-diazaphenoxazine and two isomeric triazaphenothiazine ring systems
AUTHOR(S): Okafor, Charles O.; Steenberg, Marie L.; Buckley, Joseph P.
CORPORATE SOURCE: Dep. Chem., Harvard Univ., Cambridge, MA, USA
SOURCE: European Journal of Medicinal Chemistry (1977), 12(3), 249-56
CODEN: EJMCA5; ISSN: 0223-5234
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

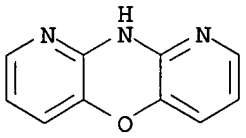


I

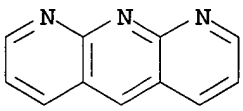


II

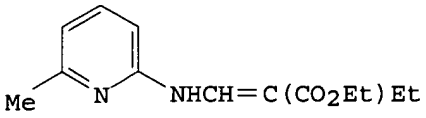
AB Triazaphenothiazines I (R = NH2, H, SMe, OMe; R1 = NH2, Me, Cl, OH, OMe) and II (R = H, NH2, Cl; R1 = NH2, OH, Cl; R2 = MeO, Cl) were prepd. in 78-93% yield. Reaction of 2-amino-3-mercapto-6-picoline with 2-amino-5-bromo-4-chloro-6-methylpyrimidine in the presence of H2SO4 and Na2SO3 gave 77% I (R = NH2, R1 = Me). All I and II showed appreciable CNS depressant activities comparable with the activity of chlorpromazine when tested in mice and rats; I (R = H, R1 = NH2) and II (R = R1 = Cl, R2 = MeO) were the most promising. All I and II decreased motor activity and rate of respiration within 30 min and body temp. was decreased by 0.5-1.9.degree. compared to 0.8.degree. with chlorpromazine.
IT **55609-26-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(CNS activity of)
RN 55609-26-2 CAPLUS
CN 1H-Dipyrido[3,2-b:2',3'-e][1,4]oxazine (9CI) (CA INDEX NAME)



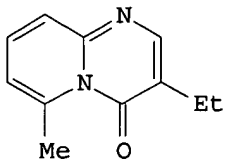
L12 ANSWER 137 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1977:485309 CAPLUS
DOCUMENT NUMBER: 87:85309
TITLE: Synthesis of 1,9,10-anthyridines and annelation of 1,8-naphthyridine units via Friedlander condensation
AUTHOR(S): Majewicz, Thomas G.
CORPORATE SOURCE: Coll. Environ. Sci. For., State Univ. New York, Albany, NY, USA
SOURCE: (1976) 141 pp. Avail.: Univ. Microfilms Int., Order No. 77-14,556
From: Diss. Abstr. Int. B 1977, 38(1), 216-17
DOCUMENT TYPE: Dissertation
LANGUAGE: English
AB Unavailable
IT **261-15-4DP**, fused polycyclic derivs.
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, by Friedlaender condensation)
RN 261-15-4 CAPLUS
CN Anthyridine (8CI, 9CI) (CA INDEX NAME)



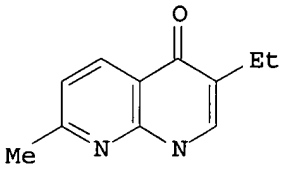
L12 ANSWER 138 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1977:484926 CAPLUS
DOCUMENT NUMBER: 87:84926
TITLE: Nitrogen bridgehead compounds. Part 4. 1.fwdarw.3 Nitrogen.fwdarw.carbon-acyl migration. Part 2
AUTHOR(S): Hermecz, Istvan; Meszaros, Zoltan; Vasvari-Debreczy, Lelle; Horvath, Agnes; Horvath, Gabor; Pongor-Csakvari, Mariann
CORPORATE SOURCE: Res. Cent., Chinion Pharm. Chem. Works, Budapest, Hung.
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1977), (7), 789-95
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I



II



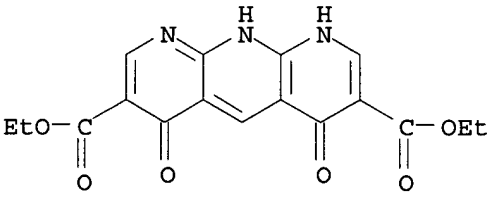
III

AB Ring closure of 2-substituted 3-(2-pyridylamino)acrylates in POCl3-polyphosphoric acid gave pyrido[1,2-a]pyrimidines and in Dowtherm A gave pyrido[1,2-a]pyrimidines and 1,8-naphthyridines. E.g., I with POCl3-polyphosphoric acid at 130.degree. gave 95% II and with Dowtherm A at 25% gave 62% II and 11% III. The pyridopyrimidines rearranged in Dowtherm A or liq. paraffin to give 1,8-naphthyridines. E.g., II in liq. paraffin at 325.degree. for 30 min gave 70% III. Similar 1.fwdarw.3, N.fwdarw.C-acyl migrations occurred in pyrimido[1,2-a]naphthyridines dipyrido[2-a; 2',3'-d]pyrimidines, pyrimido[1,2-a]pyrazines, -[1,6-a]pyrimidines, and -[1,2b]-pyridazines.

IT **63736-14-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 63736-14-1 CAPLUS

CN 3,7-Anthyridinedicarboxylic acid, 1,4,6,9-tetrahydro-4,6-dioxo-, diethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 139 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1976:577289 CAPLUS

DOCUMENT NUMBER: 85:177289

TITLE: Synthesis and pharmacological activity of some 3-amino-11H-indolo[3,2-c][1,8]naphthyridines

AUTHOR(S): Da Settimo, A.; Primofiore, G.; Biagi, G.; Santerini, V.

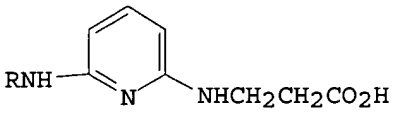
CORPORATE SOURCE: Ist. Chim. Farm., Univ. Pisa, Pisa, Italy

SOURCE: Farmaco, Edizione Scientifica (1976), 31(8), 587-95
CODEN: FRPSAX; ISSN: 0430-0920

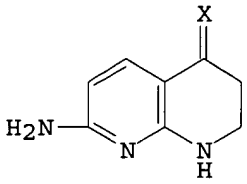
DOCUMENT TYPE: Journal

LANGUAGE: English

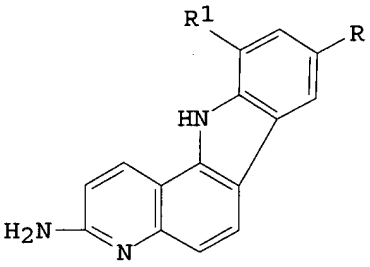
GI



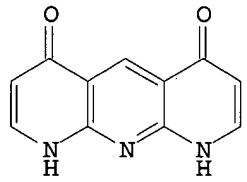
I



II



III



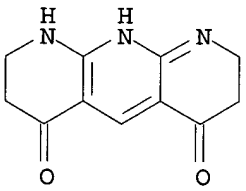
IV

AB The pyridines I (R = H, Ac) were cyclized and the naphthyridine II (X = O) treated with 4,2-RR1C6H3NHNH2 (R = H, F, Cl, Br, Me, R1 = H; R = H, R1 = Cl, Me, MeO) to give II (X = 4,2-RR1C6H3NHN), which were cyclized with HCl to give the indolonaphthyridines III. III were also prepd. directly by treating II (X = O) with 4,2-RR1C6H3NHNH2 and HCl. 2,6-Diaminopyridine was treated with .beta.-propiolactone to give I (R = HO2CCH2CH2) which was cyclized and the product dehydrogenated to give anthyridinedione IV. At 50 mg/kg III (R = F, R1 = H) reduced delayed hypersensitivity, but was toxic.

IT **60943-66-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and dehydrogenation of)

RN 60943-66-0 CAPLUS

CN 4,6(1H,7H)-Anthyridinedione, 2,3,8,9-tetrahydro- (9CI) (CA INDEX NAME)



L12 ANSWER 140 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1975:140039 CAPLUS

DOCUMENT NUMBER: 82:140039

TITLE: Synthesis of dipyrido[3,2-b:2',3'-e][1,4]oxazine (1,9-diazaphenoxazine)

AUTHOR(S): Okafor, Charles O.

CORPORATE SOURCE: Dep. Chem., Univ. Nigeria, Nsukka, Nigeria

SOURCE: Journal of the Chemical Society, Chemical Communications (1974), (21), 878-9
CODEN: JCCCAT; ISSN: 0022-4936

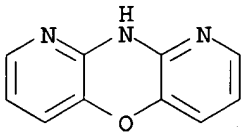
DOCUMENT TYPE: Journal

LANGUAGE: English

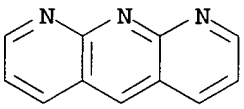
GI For diagram(s), see printed CA Issue.

AB The title compd. (I) was prepd by refluxing 2-aminopyridin-3-ol with 2-chloro-3-nitropyridine for 12 hr and cyclization of the product with

NaOH in refluxing Me2SO for 9 hr.
IT **55609-26-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 55609-26-2 CAPLUS
CN 1H-Dipyrido[3,2-b:2',3'-e][1,4]oxazine (9CI) (CA INDEX NAME)

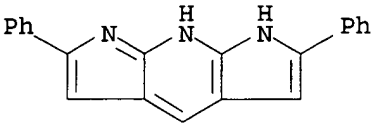


L12 ANSWER 141 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1975:57580 CAPLUS
DOCUMENT NUMBER: 82:57580
TITLE: Syntheses and reactions of naphtyridine and its derivatives
AUTHOR(S): Hamada, Yoshiki; Takeuchi, Isao
CORPORATE SOURCE: Fac. Pharm., Mejjo Univ., Nagoya, Japan
SOURCE: Yuki Gosei Kagaku Kyokaishi (1974), 32(8), 602-19
CODEN: YGKKAE; ISSN: 0037-9980
DOCUMENT TYPE: Journal; General Review
LANGUAGE: Japanese
AB A review with 158 refs.
IT **261-15-4**
RL: RCT (Reactant); RACT (Reactant or reagent))
RN 261-15-4 CAPLUS
CN Anthyridine (8CI, 9CI) (CA INDEX NAME)

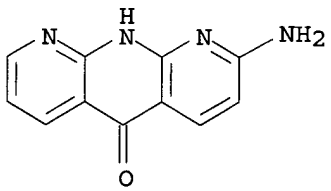


L12 ANSWER 142 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1975:4147 CAPLUS
DOCUMENT NUMBER: 82:4147
TITLE: Application of the Bischler reaction to the preparation of pyrrolopyridines and the novel dipyrrolopyridine system
AUTHOR(S): Bancroft, Keith C. C.; Ward, Terence J.; Brown, Kevan
CORPORATE SOURCE: Sch. Chem., City Leicester Polytech., Leicester, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1974), (15), 1852-8
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB The Bischler reaction of .alpha.-hydroxy ketones and 2,6-diaminopyridine gave 6-amino-1H-pyrrolo[2,3-b]pyridines and the 1,7-dihydrodipyrrolo[2,3-b:3',2'-e]pyridine system with various alkyl and aryl substituents. 2,6-Diphenyl-1,7-dihydrodipyrrolo[2,3-b:3',2'-e]-pyridine (I) underwent 3,5-disubstitution by electrophiles.
IT **55463-72-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(prepn. and Mannich reaction of)
RN 55463-72-4 CAPLUS
CN Dipyrrolo[2,3-b:3',2'-e]pyridine, 1,7-dihydro-2,6-diphenyl- (9CI) (CA INDEX NAME)

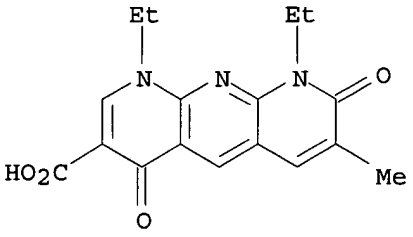


L12 ANSWER 143 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1974:449600 CAPLUS
DOCUMENT NUMBER: 81:49600
TITLE: Anthyridine and 1,10,11,12-tetraazanaphthacene derivatives. Synthesis and biological properties
AUTHOR(S): Carboni, S.; Da Settimo, A.; Bertini, D.; Ferrarini, P. L.; Livi, O.; Tonetti, I.
CORPORATE SOURCE: Ist. Chim. Farm., Univ. Pisa, Pisa, Italy
SOURCE: Farmaco, Edizione Scientifica (1974), 29(5), 366-74
CODEN: FRPSAX; ISSN: 0430-0920
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB anthyridines I and tetraaza-naphthacenes II (R = Me, Et, CHMe2, Bu, allyl, CH2Ph; R1 = CO2H, H) were prepd. by alkylating I and II (R = H). II (R H(were prepd. by treating 2-aminoanthyridin-5(10H)-one with EtOCH:C(CO2Et)2, cyclizing to II (R = H, R1 = CO2Et), hydrolyzing the ester group to give II (R = H, R1 = CO2H), and decarboxylating to II (R = R1 = H). I (R = Me, R1 = CO2H) had a min. inhibitory concn. against Streptococcus pyogenes hemolyticus of 50 .gamma./ml and II (R = Et, R1 = CO2H) had a min. inhibitory concn. against Bacillus subtilis of 100 .gamma./ml; all other I and II were inactive.
IT **23450-74-0**
RL: RCT (Reactant); RACT (Reactant or reagent)
(malonic ester reaction of)
RN 23450-74-0 CAPLUS
CN 5(10H)-Anthyridinone, 2-amino- (8CI, 9CI) (CA INDEX NAME)

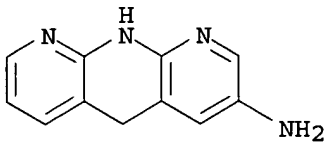


L12 ANSWER 144 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1973:136134 CAPLUS
DOCUMENT NUMBER: 78:136134
TITLE: Preparation and biological activity of some anthyridine derivatives
AUTHOR(S): Carboni, S.; Da Settimo, A.; Bertini, D.; Ferrarini, P. L.; Livi, O.; Tonetti, I.
CORPORATE SOURCE: Ist. Chim. Farm., Univ. Pisa, Pisa, Italy
SOURCE: Farmaco, Edizione Scientifica (1973), 28(2), 134-42

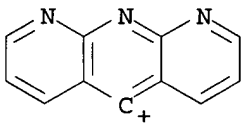
CODEN: FRPSAX; ISSN: 0430-0920
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Anthyridinediones I (R = CO2Et; R1 = H; R2 = H, Me; R3 = H, Me, Ph) reacted with appropriate alkyl halides in aq. KOH to give I (R = CO2H; R1 = Me, Et, CH2:CHCH2, PhCH2) (16 compds.), which were decarboxylated in refluxing quinoline contg. copper chromite to yield the ocrresponding I (R = H). I (R = CO2H; R1 = R2 = Me, R3 = H; R1 = Et, R2 = R3 = H; R1 = PhCH2, R2 = H, R3 = Me) were active against Mycobacterium tuberculosis, Bacillus subtilis, and Mycoplasma gallisepticum, resp; I (R = CO2H; R1 = Et, R2 = Me, R3 = H; R1 = CH2:CHCH2, R2 = R3 = H) possessed antiinflammatory activity in vivo in rats.
IT **40343-80-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and antiinflammatoryy of)
RN 40343-80-4 CAPLUS
CN 3-Anthyridinecarboxylic acid, 1,9-diethyl-1,4,8,9-tetrahydro-7-methyl-4,8-dioxo- (9CI) (CA INDEX NAME)



L12 ANSWER 145 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1972:526466 CAPLUS
DOCUMENT NUMBER: 77:126466
TITLE: Preparation of 3-aminoanthyridine
AUTHOR(S): Carboni, S.; Da Settimo, A.; Bertini, D.; Ferrarini, P. L.; Livi, O.; Tonetti, I.
CORPORATE SOURCE: Inst. Pharm. Chem., Univ. Pisa, Pisa, Italy
SOURCE: Journal of Heterocyclic Chemistry (1972), 9(4), 801-4
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Nitration of anthyridine-2,6-dione (I, X = O, R = H) gave 7-nitroanthyridine-2,6-dione (II, R = NO2) which was treated with P2S5 to give I (X = S, R = NH2). Desulfurization of I (X = S, R = NH2) with Raney Nickel and subsequent aromatization of 5,10-dihydro-II gave 3-aminoanthyridine (II). The structure of II was demonstrated since its physicochemical features are not in agreement with that previously reported (T. Takahashi, et al., 1947). The prepn. of 3-aminoanthyridine-5-one is also described.
IT **37063-87-9**
RL: RCT (Reactant); RACT (Reactant or reagent)
(dehydrogenation of)
RN 37063-87-9 CAPLUS
CN 3-Anthyridinamine, 1,5-dihydro- (9CI) (CA INDEX NAME)



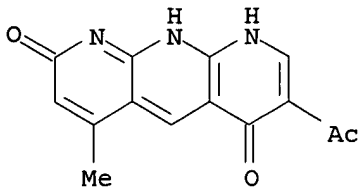
L12 ANSWER 146 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1972:85217 CAPLUS
DOCUMENT NUMBER: 76:85217
TITLE: Stabilization of the phenyl cation
AUTHOR(S): Gleiter, Rolf; Hoffmann, Roald; Stohrer, Wolf D.
CORPORATE SOURCE: Phys.-Chem. Inst., Univ. Basel, Basel, Switz.
SOURCE: Chemische Berichte (1972), 105(1), 8-23
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
AB The stabilization of phenyl and similar bridgehead cations by "through bond" interactions is examd. by extended Hueckel calcns. Stabilization energies are calcd. for 35 cations, e.g., substituted phenyl, pyridyl, diazinyl, anthyridinyl, 1-aza-4-norbornyl, 1-aza-3-adamantyl, 1-azabicyclo[2.2.2]oct-4-yl, and 1-azabicyclo[2.2.2]octa-2,5,7-trien-4-yl cations.
IT **35895-98-8**
RL: PRP (Properties)
(stabilization energy of)
RN 35895-98-8 CAPLUS
CN 5-Anthyridinylium (9CI) (CA INDEX NAME)



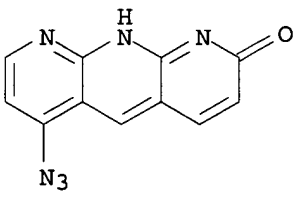
L12 ANSWER 147 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1971:529775 CAPLUS
DOCUMENT NUMBER: 75:129775
TITLE: Naphthyridines. IV. Preparation of anthyridines and pyrimido[4,5-b][1,8]naphthyridines from 2-amino-1,8-naphthyridines
AUTHOR(S): Wibberley, D. G.; Harper, J. F.
CORPORATE SOURCE: Dep. Pharm., Univ. Aston, Birmingham, UK
SOURCE: Journal of the Chemical Society [Section] C: Organic (1971), (18), 2991-4
CODEN: JSOOAX; ISSN: 0022-4952
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Anthyridines were prepd. by thermal cyclization of 2-[[(ethoxycarbonyl)vinyl]amino]-1,8-naphthyridines, and by reaction of 2,6-diamino-4-ethoxypyridine with EtOCH:C(CO2Et)2; prepn. from 2-amino-1,8-naphthyridine-3-carbonitrile was unsuccessful. 2-Acetamido-1,8-naphthyridine-3-carboxamides cyclized in aq. NH3 to pyrimido[4,5-b][1,8]naphthyridin-4(3H)-ones (e.g.I).
IT **33853-66-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

09/ 995,324

RN 33853-66-6 CAPLUS
CN 2,6(1H,9H)-Anthyridinedione, 7-acetyl-4-methyl- (8CI) (CA INDEX NAME)



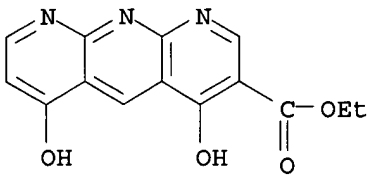
L12 ANSWER 148 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1971:510203 CAPLUS
DOCUMENT NUMBER: 75:110203
TITLE: Synthesis of anthyridine
AUTHOR(S): Carboni, S.; Da Settimo, A.; Bertini, D.; Mori, C.; Tonetti, I.
CORPORATE SOURCE: Inst. Pharm. Chem., Univ. Pisa, Pisa, Italy
SOURCE: Journal of Heterocyclic Chemistry (1971), 8(4), 637-42
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The sulfuration of various anthyridones to the corresponding thio derivs. and the desulfuration of these to 5,10-dihydroanthyridine is described. The prepn. of anthyridin-5-one from 6'-methyl-2,2'-dipyridylamino-3-carboxylic acid is also described.
IT **33548-15-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 33548-15-1 CAPLUS
CN 2(1H)-Anthyridinone, 6-azido- (8CI) (CA INDEX NAME)



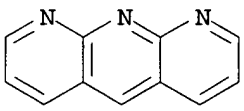
L12 ANSWER 149 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1971:463657 CAPLUS
DOCUMENT NUMBER: 75:63657
TITLE: 1,8-Naphthyridines and 1,9,10-anthyridines
AUTHOR(S): Carboni, Salvatore; Da Settimo, Antonio; Ferrarini, Pier L.; Tonetti, Imperio
CORPORATE SOURCE: Ist. Chim. Farm. Tossicol., Univ. Pisa, Pisa, Italy
SOURCE: Gazzetta Chimica Italiana (1971), 101(2), 129-38
CODEN: GCITA9; ISSN: 0016-5603
DOCUMENT TYPE: Journal
LANGUAGE: Italian
GI For diagram(s), see printed CA Issue.
AB 2-Amino-5-hydroxy-1,8-naphthyridine (I) is converted to 4,6-dihydroxy-1,9,10-anthyridine (II) in a series of reactions. Thus, I is treated with EtOCH:C(CO2Et)2 to give III which is heated in Ph2O to give IV. IV is hydrolyzed to V which is decarboxylated to II. I is prepd. from VI.

09/ 995,324

IT **33007-31-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 33007-31-7 CAPLUS
CN 3-Anthyridinecarboxylic acid, 4,6-dihydroxy-, ethyl ester (8CI) (CA INDEX NAME)



L12 ANSWER 150 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1970:477197 CAPLUS
DOCUMENT NUMBER: 73:77197
TITLE: Synthesis of 1,9,10-anthyridine
AUTHOR(S): Carboni, Salvatore; Da Settimo, Antonio; Tonetti, Imperio
CORPORATE SOURCE: Inst. Pharm., Univ. Pisa, Pisa, Italy
SOURCE: Journal of Heterocyclic Chemistry (1970), 7(4), 875-8
CODEN: JHTCAD; ISSN: 0022-152X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 1,9,10-Anthyridine was synthesized by oxidn. of 5,10-dihydro-1,9,10-anthyridine with chromic acid. The structures of these were detd. by uv and NMR anal.
IT **261-15-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 261-15-4 CAPLUS
CN Anthyridine (8CI, 9CI) (CA INDEX NAME)



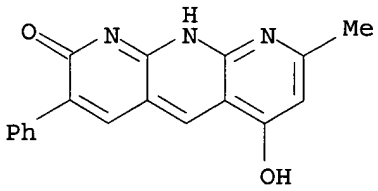
L12 ANSWER 151 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1969:491348 CAPLUS
DOCUMENT NUMBER: 71:91348
TITLE: Synthesis of anthyridines. IV
AUTHOR(S): Carboni, Salvatore; Da Settimo, Antonio; Bertini, Daniele; Biagi, Giuliana
CORPORATE SOURCE: Ist. Chim. Farm. Tossicol., Univ. Pisa, Pisa, Italy
SOURCE: Gazzetta Chimica Italiana (1969), 99(7), 677-89
CODEN: GCITA9; ISSN: 0016-5603
DOCUMENT TYPE: Journal
LANGUAGE: Italian
GI For diagram(s), see printed CA Issue.
AB The condensation of 7-amino-3-phenyl-2-hydroxy-1,8-naphthyridine (I) with Et ethoxymethyl-malonate (II) gave Et N-(6-phenyl-7-hydroxy-1,8-naphthyridin-2-yl)aminomethylenemalonate (III). Similar products were obtained when I was reacted with Et acetoactate (IV) or Et .beta.-oxoglutarate (V). IV was also reacted with other 1,8-naphthyridines. III and the related condensation products were

subjected to cyclization reactions. The resulting naphthyridino-pyrimidin-10-ones heated in an inert solvent were converted into 7-carbethoxy-2,6-dihydroxy-3-phenylanthyridine and subsequently into 2,6-dihydroxy-3-phenyl-8-methylanthyridine. A mixt. of 40 g. 2,6-diaminopyridine and 55 g. Et phenyl(formyl)-acetate was heated 2 hrs. at 100.degree. cooled, dild. with ice H2O, treated with 100 ml. concd. H2SO4, added dropwise, heated 7 hrs. at 100.degree., and worked up to yield 53 g. I, m. >310.degree. [HCONMe2(DMF)] (ir spectrum shown). A mixt. of 3 g. I, 25 ml. II, and 2 drops concd. HCl was refluxed 15 min. and cooled to ppt. 63% iII, and m. 262-5% (DMF). Similarly prepd. from I and V (or other oxoglutarates (at 165.degree.) were the following VI [R, R1, m.p. (EtOH) and % yield given]: Ph, H, 197-200.degree., 55; H, H, 180-1.degree., 60; H, Ph, 90-2.degree., 47; H, Me, 203-5.degree., 43; and Me, H, 199-201.degree., 26. A mixt. of 2 g. I and 40 ml. IV was heated 5 hrs. at 165.degree. and worked up to yield 45% Et .beta.-(6-phenyl-7-hydroxy-1,8-naphthyridin-2-ylamino)crotonate, m. 244-6.degree. (DMF). VI (R = Ph, R1, H) (0.2 g.) in 5 ml. Downtherm A was refluxed 2-3 min. cooled, and mixed with petroleum ether to ppt. 0.17 g. VII (R = Ph, R1 = H), m. 198-201.degree. (EtOH). The following VII were similarly prepd. (R, R1, m.p. and % yield given): H, H, 193-6.degree., 81; H, Ph, 158-60.degree., 77; H, Me, 180-2.degree., 80; and Me, H, 194-5.degree., 68. Similarly, III was converted into 10H-9-carbethoxy-3-phenyl-2-hydroxypyrimido[1,2-a]-1,8-naphthyridin-10-one, m. 235.degree. (toluene). VII (R = Ph, R1 = H) was converted by heating as above into 10H-3-phenyl-2-hydroxy-8-methylpyrimidol[1,2-a]-1,8-naphthyridin-10-one, m. 225-8.degree. (toluene). VII (R = Ph, R1 = H) heated in petrolatum 10 min. at 310-20.degree. gave 76% 2,6-dihydroxy-3-phenyl-8-methylanthyridine, m. >320.degree. (Me2SO), (ir spectrum shown). III on heating in Downtherm A was converted into 76% 7-carbethoxy-2,6-dihydroxy-3-phenylanthyridine, m. >320.degree. (me2SO). Hydrolysis of 0.6 g. of this in 10 ml. 10% NaOH and 10 ml. EtOH 1 hr. at 100.degree. yielded 7-carboxy-2,6-dihydroxy-3-phenylanthyridine, m. >320.degree. (Me2SO-H2O), decarboxylated on heating (dry) to yield 58% 2,6-dihydroxy-3-phenylanthyridine, m. >320.degree. (Me2SO), (uv and ir spectra shown).

IT **23787-63-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 23787-63-5 CAPLUS

CN 2,6-Anthyridinediol, 8-methyl-3-phenyl- (8CI) (CA INDEX NAME)



L12 ANSWER 152 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1969:430447 CAPLUS

DOCUMENT NUMBER: 71:30447

TITLE: New synthesis of anthyridine derivatives

AUTHOR(S): Carboni, Salvatore; Da Settimo, Antonio; Segnini, D.

CORPORATE SOURCE: Inst. Pharm. Chem., Univ. Pisa, Pisa, Italy

SOURCE: Journal of Heterocyclic Chemistry (1969), 6(3), 369-74
CODEN: JHTCAD; ISSN: 0022-152X

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

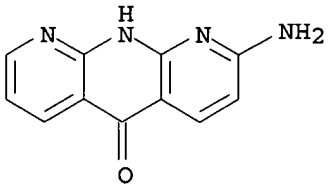
AB An Ullmann reaction between 2-bromonicotinic acid and 2,6-diaminopyridine

gave 6'-amino-2,2'-dipyridylamino-3-carboxylic acid, converted into 7-amino-5H-dipyrido[1,2-a:2',3'-d]pyrimidin-5-one (I) by heating with polyphosphoric acid and into 2-amino-5,10H-anthyridin-5-one (II) by heating with concd. H2SO4. Structure proofs of I and II are given and some derivs. of II are described.

IT **23450-74-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 23450-74-0 CAPLUS

CN 5(10H)-Anthyridinone, 2-amino- (8CI, 9CI) (CA INDEX NAME)



L12 ANSWER 153 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1968:95722 CAPLUS

DOCUMENT NUMBER: 68:95722

TITLE: Anthyridines. II

AUTHOR(S): Carboni, Salvatore; Da Settimo, Antonio; Ferrarini, Pier L.; Tonetti, Imperio

CORPORATE SOURCE: Univ. Pisa, Pisa, Italy

SOURCE: Gazzetta Chimica Italiana (1967), 97(8), 1262-73
CODEN: GCITA9; ISSN: 0016-5603

DOCUMENT TYPE: Journal

LANGUAGE: Italian

GI For diagram(s), see printed CA Issue.

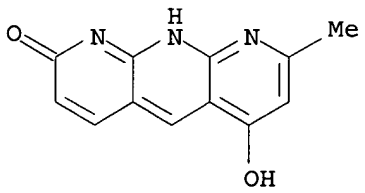
AB Compds. of the general formula I, which are prepd., are refluxed with Dowtherm A to give compds. of the general formula II; mixts. of I and petrolatum are heated at 300-20.degree. to give compds. of the general formula III. Thus, a mixt. of 0.5 g. 2-amino-7-hydroxy-1,8-naphthyridine (IV), 12 ml. AcCH2CO2Et, and 1 drop concd. HCl is heated at 170.degree. to give 36% 2-(1-methyl-2-carbethoxy-ethylidene)-7-hydroxy-1,8-naphthyridine (V), m. 207-8.degree. (EtOH). Similarly prepd. are the following I (R, R1, R2, m.p., and % yield given): Me, H, H, 226-8.degree. (EtOH), 34; H, Ph, H, 227-9.degree. (C6H6), 54; H, H, Ph, 228-30.degree. (C6H6), 59; H, CO2Et, H, 243-4.degree. (dioxane), 26. A mixt. of 0.1 g. V and 3 ml. Dowtherm A is refluxed 10 min. to give 84% 10H-2-hydroxy-8-methylpyrimido[1,2-a]-1,8-naphthyridin-10-one, m. 308-10.degree. (EtOH). Similarly prepd. are the following II (R, R1, R2, m.p., and % yield given): Me, H, H, 298-300.degree. (MeOH), 78; H, Ph, H, 236-8.degree. (EtOH), 69; H, H, Ph, 216-18.degree. (MeOH), 75; H, CO2Et, H, 225-7.degree. (EtOH), 86. A mixt. of 0.1 g. V and 3 ml. petrolatum is heated 5 min. at 310-20.degree. to give 84% 4,8-dihydroxy-2-methylanthyridine (VI), m. >340.degree. (Me2SO), which is prepd. in 83% yield from II (R = R1 = R2 = H). Similarly prepd. are the following III (R, R1, R2, m.p., % yield from I, and % yield from II given): Me, H, H, >340.degree. (Me2SO), 95, 65; H, Ph, H, >340.degree. (Me2SO), 52, 50; H, H, Ph, >340.degree. (HCONMe2), 75, 67. A mixt. of 0.4 g. VI, 20 ml. HOAc, and 5 ml. 35% H2O2 is heated 1 hr. to give 0.13 g. 7-hydroxy-2-amino-1,8-naphthyridine-3-carboxylic acid N8-oxide (VII), m. >320.degree. (HCONMe2). Similarly prepd. is 7-hydroxy-2-amino-4-phenyl-1,8-naphthyridine-3-carboxylic acid N8-oxide, m. >300.degree. (decompn.) (aq. HCONMe2). Ir data for the N-oxides are given. A mixt. of 0.1 g. VII, 0.05 g. Cu chromite, and 3 ml. quinoline is refluxed 2 hrs. to give 0.04 g. IV.

Similarly prepd. is 2-amino-4-phenyl-7-hydroxy-1,8-naphthyridine. Mixts. of 0.1 g. I and 5 ml. 10% NaOH are heated 15 min. to give 0.04-0.05 g. corresponding 2-amino-7-hydroxy-1,8-naphthyridines which are also obtained from the II and NaOH. A mixt. of 10 g. 2-hydroxy-4-phenyl-7-acetamido-1,8-naphthyridine and 100 ml. POCl3 is refluxed 30 min. to give 10.2 g. 2-chloro-4-phenyl-7-acetamido-1,8-naphthyridine (VIII), m. 267-9.degree. (EtOH). A mixt. of 2.0 g. VIII and 30 ml. 10% H2SO4 is refluxed 1 hr. to give 1.7 g. 2-chloro-4-phenyl-7-amino-1,8-naphthyridine (IX), m. 263-5.degree. (dioxane). A soln. of 1.0 g. IX and 5 ml. concd. H2SO4 is cooled, treated with 0.4 g. NaNO2, and kept 15 mins. in ice to give 0.75 g. 2-chloro-4-phenyl-7-hydroxy-1,8-naphthyridine (X), m. 245-7.degree.. X (2.0 g.) in 50 ml. EtOH is treated 80 hrs. at 120.degree. and 25-30 atm. with NH3 to give 1.45 g. 2-amino-4-phenyl-7-hydroxy-1,8-naphthyridine, m. >330.degree. (HCONMe2).

IT **17982-18-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 17982-18-2 CAPLUS

CN 2,6-Anthyridinediol, 8-methyl- (8CI) (CA INDEX NAME)



L12 ANSWER 154 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1968:95721 CAPLUS

DOCUMENT NUMBER: 68:95721

TITLE: Anthyridines. III

AUTHOR(S): Carboni, Salvatore; Da Settimo, Antonio; Ferrarini, Pier L.; Tonetti, Imperio; Bertini, Daniele

CORPORATE SOURCE: Univ. Pisa, Pisa, Italy

SOURCE: Gazzetta Chimica Italiana (1967), 97(8), 1274-85
CODEN: GCITA9; ISSN: 0016-5603

DOCUMENT TYPE: Journal

LANGUAGE: Italian

GI For diagram(s), see printed CA Issue.

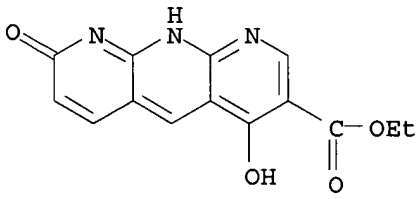
AB Mixts. of the compds. of the general formula I, which are prepd., and Dowtherm A are heated to give compds. of the general formula II (X = CO2Et). Also prepd. are compds. of the general formulas II (X = CO2H) and II (X = H) and III. A mixt. of 1.0 g. 2-amino-7-hydroxy-1,8-naphthyridine, 10 ml. EtOH:C(CO2Et)2, and 1 drop concd. HCl is refluxed about 13 min. to give 66% diethyl [N-(7-hydroxy-1,8-naphthyridin-2-yl)-amino]methylenemalonate (IV), m. 217-19.degree. (MeOH). Similarly prepd. are the following I (R, R1, m.p., and % yield given): Me, H, 255-6.degree. (EtOH), 43; H, Me, 252-4.degree. (dioxane), 74; H, Ph, 203-5.degree. (EtOH), 75. A mixt. of 0.7 g. IV and 10 ml. Dowtherm A is refluxed 20 min. to give 63% Et 2,6-dihydroxy-anthyridine-7-carboxylate (V), m. >320.degree. (Me2SO). Similarly prepd. are the following II (X = CO2Et) (R, R1, m.p., and % yield given): Me, H, >320.degree. (Me2SO), 75; H, Me, >320.degree. (HCONMe2), 62; H, Ph, >320.degree. (HCONMe2), 75. A mixt. of I (R = Me, R1 = H) and Dowtherm A is heated to give 0.78 g. II (X = CO2Et, R = Me, R1 = H) and 0.3 g. III [10H-9-carbethoxy-2-hydroxy-3-methylpyrimido[1,2-a]-1,8-naphthyridin-10-one], m. 262-4.degree. (EtOH). A mixt. of 0.35 g. V and 10 ml. 10% NaOH is refluxed 1 hr. to give 89% 2,6-dihydroxyanthyridine-7-carboxylic acid (VI), m. >320.degree. (decompn.) (Me2SO). Similarly prepd. are the following II (X = CO2H) (R,

R1, m.p., and % yield given): Me, H, >320.degree. (decompn.) (Me2SO), 94; H, Me, >320.degree. (decompn.) (Me2SO), 92; H, Ph, >320.degree. (decompn.) (Me2SO), 92. VI (0.1 g.) is heated at 330-40.degree. and 2-3 mm. to give 72% 2,6-dihydroxyanthyridine, m. >320.degree. (sublimination). Similarly prepd. are the following: II (X = H) (R, R1, m.p., and % yield given): Me, H, >320.degree. (sublimation), 60; H, Me, >320.degree. (sublimation), 60; H, Ph, >320.degree. (sublimation), 63. A mixt. of 0.1 g. II (X = H, R = H, R1 = Me), 5 ml. HOAc, and 1.5 ml. 35% H2O2 is refluxed 1 hr. and filtered, the filtrate evapd., water added to the residue, and the mixt. extd. with 10% NaHCO3 to give 2-amino-7-hydroxy-5-methyl-1,8-naphthyridine-3-carboxylic acid N8-oxide. The I compds. and III are heated with 2N NaOH to give the corresponding 2-amino-7-hydroxy-1,8-naphthyridines which are also prepd. by the treatment of the II (X = H) with 2N NaOH. 2-Amino-5-methyl-7-hydroxy-1,8-naphthyridine (0.2 g.) is heated 1 hr. with 3 ml. HCO2H to give 0.006 g. 7-formamido-2-hydroxy-4-methyl-1,8-naphthyridine, m. >300.degree..

IT **17981-99-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 17981-99-6 CAPLUS

CN 3-Anthyridinecarboxylic acid, 4,8-dihydroxy-, ethyl ester (8CI) (CA INDEX NAME)



L12 ANSWER 155 OF 156 CAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1967:104928 CAPLUS

DOCUMENT NUMBER: 66:104928

TITLE: Anthyridines. I

AUTHOR(S): Carboni, Salvatore; Da Settimo, Antonio; Segnini, Domenico; Tonetti, Imperio

CORPORATE SOURCE: Univ. Pisa, Pisa, Italy

SOURCE: Gazz. Chim. Ital. (1966), 96(11), 1443-55

DOCUMENT TYPE: Journal

LANGUAGE: Italian

GI For diagram(s), see printed CA Issue.

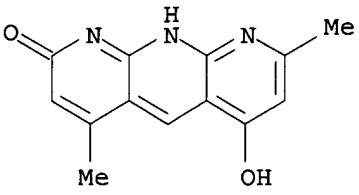
AB Synthesis of 4,6-dihydroxy-2,8-dimethylanthyridine (IIIa) was described. Thus, 0.3 g. Ia mixed with 5-6 g. polyphosphoric acid and heated 7 min. at 200.degree. yielded after pptn. in cool water and treatment with NH4OH 0.13 g. IIa. Ia (0.2 g.) heated at 340.degree. with 6-7 ml. vaseline oil gave 0.14 g. IIIa, m. >340.degree. (HCONMe2). IIIa (0.12 g.) was also obtained by heating 0.2 g. Ia at 320-5.degree.. By the same way IIa treated with vaseline oil at 340.degree. or heated at 320.degree. gave IIIa. Ib (2 g.) refluxed in 50 ml. Et acetoacetate and 2 drops HCl gave 1.2 g. Ic, m. 245-6.degree. (dioxane). Ib was recovered on hydrolysis of Ic with H2SO4 or NaOH. Ib heated with polyphosphoric acid yielded IIb, m. 285-7.degree. (EtOH), which gave Ib on hydrolysis with 2N NaOH. Starting from Ic or IIb, 2,6-dihydroxy-4,8-dimethylanthyridine (IIIb), m. >340.degree. (HCONMe2), was also prepd. The oxidn. of IIIb with peroxyacetic acid gave the N-oxide (IV). Uv and ir spectra were reported.

IT **13858-60-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 13858-60-1 CAPLUS

09/ 995,324

CN 2,6-Anthyridinediol, 4,8-dimethyl- (8CI) (CA INDEX NAME)



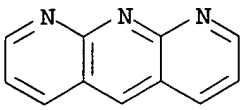
L12 ANSWER 156 OF 156 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1962:403965 CAPLUS
DOCUMENT NUMBER: 57:3965
ORIGINAL REFERENCE NO.: 57:791i,792a-d
TITLE: Reaction between 2,6-diaminopyridine and ethyl oxalacerate
AUTHOR(S): Carboni, Salvatore; Pirisino, Gerolamo
CORPORATE SOURCE: Univ. Sassari, Italy
SOURCE: Ann. Chim. (Rome) (1962), 52, 279-88
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB The title reaction gave 1,8-naphthyridine (I) derivs., instead of expected 1,8,9-triazaanthracenes. By refluxing 1 hr. 4 g. 2,6-diaminopyridine and 12 g. EtO2CCH2COCO2Et in 30 cc. C6H6, evapg., adding 50 cc. concd. NH3, filtering, and washing with MeCN and tetrahydrofuran was obtained 1 g. 2-hydroxy-4-carbethoxy-7-amino deriv. (II) of I, m. 320.degree. (pyridine). Hydrolysis of 1 g. II by refluxing 10 min. with 20 cc. 10% NaOH, dilg., adding AcOH gave 0.9 g. 2-hydroxy-4-carboxy-7-amino deriv. (III) of I, m. above 360.degree. (HCONMe2). Diazotization of 0.2 g. II in 2 cc. concd. H2SO4 with 0.1 g. NaNO2 gave 2,7-dihydroxy-4-carbethoxy deriv. (IV) of I, m. 264-5.degree. (HCONMe2). 2,7-Dihydroxy-4 carboxy deriv. (V) of I, decompg. above 360.degree. (HCONMe2), was prepd. by similar diazotization of III, or by alk. hydrolysis of IV. By refluxing 1 hr. 0.3 g. V, 0.6 g. PCl5, and 0.5 g. POCl3, cooling, pouring in ice, dissolving the ppt. in Na2CO3, and pptg. with HCl was obtained 2,7-dichloro-4-carboxy deriv. of I, m. 287.degree. (50% AcOH). Decarboxylation of 0.5 g. III with 0.5 g. powd. Cu at 320-60.degree. in vacuo and sublimation of crude product gave 2-hydroxy-7-amino deriv. (VI) of I, m. 365-8.degree. (infrared spectrum given). V could not be decarboxylated. Diazotization of 0.5 g. VI in concd. H2SO4 and treatment of reaction mixt. with Na2CO3 and AcOH, gave 0.4 g. 2,7-dihydroxy deriv. of I, subliming at 250.degree. (infrared curve shown). Its treatment with PCl5 as above gave 2,7dichloro deriv. (VII) of I, subliming at 259.degree.. Redn. of 0.3 g VII in 100 cc. MeOH with 5 g. Pd on CaCO3, filtering, evapg., taking up with Et2O, adding picrie acid in Et2O, filtering the picrate, decompg. with 1:3 HCl, extg. with Et2O, treating the org. layer with NaOH, extg. with Et2O, evapg. the ext., taking up with petr. ether, and evapg. gave 1,2,3,4-tetrahydro deriv. of I, m. 69.degree.; picrate m. 230-2.degree. (EtOH). Infrared spectra in Nujol of 2-hydroxy-4-methyl-7-amino deriv. of I, and of 2,7-dihydroxy-4-methyl deriv. of I are given.

IT **261-15-4**, Anthyridine
(derivs., synthesis of)

RN 261-15-4 CAPLUS
CN Anthyridine (8CI, 9CI) (CA INDEX NAME)

09/ 995,324



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=> s dithia and (cyclopenta or cyclopentyl0
UNMATCHED LEFT PARENTHESIS 'AND (CYCLOPENTA'
The number of right parentheses in a query must be equal to the
number of left parentheses.

=> s dithia and (cyclopenta or cyclopentyl)
          1933 DITHIA
          7132 CYCLOPENTA
          7988 CYCLOPENTYL
L14          4 DITHIA AND (CYCLOPENTA OR CYCLOPENTYL)

=> d l14 1- ibib abs fhitstr
YOU HAVE REQUESTED DATA FROM 4 ANSWERS - CONTINUE? Y/(N):y

L14  ANSWER 1 OF 4  CAPLUS  COPYRIGHT 2003 ACS
ACCESSION NUMBER:        1973:492126  CAPLUS
DOCUMENT NUMBER:         79:92126
TITLE:                   Phthalides and 1,3-indandiones.  XLIX.  Preparation of
                         3-arylmethylene-4,7-dithia
                         -4,5-6,7-tetrahydrophthalides and 2-aryl-4,7-
                         dithia-4,5,6,7-tetrahydro-1,3-indandiones
AUTHOR(S):               Hrnciar, P.
CORPORATE SOURCE:        Fac. Nat. Sci., Komensky Univ., Bratislava, Czech.
SOURCE:                  Chemicke Zvesti (1973), 27(3), 372-80
                         CODEN: CHZVAN; ISSN: 0366-6352
DOCUMENT TYPE:           Journal
LANGUAGE:                English
GI   For diagram(s), see printed CA Issue.
AB   Condensation of 3,6-dithia-3,4,5,6-tetrahydrophthalic anhydride
     with arylacetic acids gave I which rearranged with NaOMe to yield
     2-aryl-4,7-dithia-4,5,6,7-tetrahydro-1,3-indandiones (II).

L14  ANSWER 2 OF 4  CAPLUS  COPYRIGHT 2003 ACS
ACCESSION NUMBER:        1967:75967  CAPLUS
DOCUMENT NUMBER:         66:75967
TITLE:                   Synthesis and desulfurization of the derivatives of
                         1,2-dimercapto -1-cyclopentene-3,5-dione
AUTHOR(S):               Hahn, Witold E.; Radzynkiewicz, Ryszard
CORPORATE SOURCE:        Univ. Lodz, Lodz, Pol.
SOURCE:                  Roczniki Chemii (1966), 40(10), 1781-3
                         CODEN: ROCHAC; ISSN: 0035-7677
DOCUMENT TYPE:           Journal
LANGUAGE:                Polish
GI   For diagram(s), see printed CA Issue.
AB   2-Phenyl-4,7-dithia-4,5,6,7-tetrahydroindan-1,3-dione (I) was
     substituted in position 2 with N:NAr (Ar = Ph, C6H4Me), R (Me, Et, Pr),
     and CH2Z (Z = pyrrolidino, piperidino, and morpholino) by treating with
     ArN2+Cl-, RI, and ZH + CH2O, resp.  Derivs. of 2-(.omega.-carboxyl)-2-
     phenyl-4,7 - dithia - 4,5,6,7 - tetrahydro - 1,3 - indandione
     (II) were cyclized with polyphosphoric acid to the resp. spiranes (III).
     Fro I, II, and III and Raney Ni cyclopentane-1,3-diol and
     cyclopentane-1,3-dione derivs. were obtained.

L14  ANSWER 3 OF 4  CAPLUS  COPYRIGHT 2003 ACS
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ACCESSION NUMBER: 1964:20548 CAPLUS
DOCUMENT NUMBER: 60:20548
ORIGINAL REFERENCE NO.: 60:3620b-d
TITLE: Infrared spectra of organosulfur compounds
AUTHOR(S): Rao, C. N. R.; Venkataraghavan, R.; Kasturi, T. R.
CORPORATE SOURCE: Indian Inst. Sci., Bangalore
SOURCE: Can. J. Chem. (1964), 42(1), 36-42
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

AB Infrared spectra of various types of org. S compds. were examd. and group frequencies arising from C-S, S-S, N-S, O-S, and C:S stretching vibrations were assigned and discussed. The C-S bands of thioketals and S-S bands of tri- and tetra-sulfides show splittings due to vibrational coupling. The O-S and N-S stretching frequencies are found near 890 and 820 cm.-1, resp., values much higher than the C-S stretching frequencies. K alkyl xanthates exhibit the asymmetric and symmetric stretching frequencies of the CS2- ion. The splitting of C-O and C:S stretching bands in dialkyl dixanthogens were interpreted in terms of the Fermi interaction with the combination tone of C-S and S-S stretching vibrations and with the overtone of S-S stretching vibrations, resp. The relative intensity of the C:S stretching bands in a few derivatives show marked dependence on the electronegativities of the elements directly linked to the thiocarbonyl group. The earlier assignments of the :N-C:S bands due to mixed vibrations in thioamide-type derivatives are well justified on the basis of the recent normal coordinate treatment. Another band tentatively designated as the :N-C:S IV band was assigned for these derivatives, 850-680 cm.-1 Examn. of the spectra of some thioamide-type derivs. has shown no evidence for the presence of thiol tautomers. All of them exist as thiones, exhibiting characteristic N-H absorption and :N-C:S bands.

L14 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1963:415551 CAPLUS
DOCUMENT NUMBER: 59:15551
ORIGINAL REFERENCE NO.: 59:2787h,2788a-e
TITLE: Organic sulfur compounds. VII. Condensation of carbon disulfide with cyclanones
AUTHOR(S): Thuillier, Andre; Vialle, Jean
CORPORATE SOURCE: Fac. Sci., Caen
SOURCE: Bull. Soc. Chim. France (1962) 2194-8
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

AB The following cycloketones were condensed with CS2 by the method described earlier: cyclopentanone, cyclohexanone, 4-methyl- and 2,2-dimethylcyclohexanone, 1-menthone, camphor, cycloheptanone, cyclooctanone, and 2-tetralone and gave the following .alpha.-[bis(methylthio)methylene]cyclanones (XIX) (all yellow): n = 1, 65%, b0.2 118.degree.; n = 2, 72%, b0.1 123-4.degree., m. 32-3.degree. (4-Me deriv., 75%, b0.1 112.degree.; 2,2-Me2 deriv., 70%, b0.15 102.degree.; 2-Me, 6-Me2CH deriv., 90%, b0.1 104.degree.). Condensation of camphor with CS2 in the presence of NH2Na followed by methylation gave 37% .alpha.-[bis(methylthio)methylene]camphor, b0.2 117-18.degree.. XIX (n = 3), 65%, yellow, b0.2 112.degree.; XIX (n = 4), 65%, pale yellow, b0.05 113.degree.; 1-[bis(methylthio)methylene]-2-tetralone, 53%, m. 85.degree.. By treating XIX with P2S5 in xylene the following 1,2-dithiole-3-thiones were prepd.: 5,6-dihydro-1,2,4H-cyclopentadithiole-3-thione, 20%, yellow-brown crystals, m. 122-3.degree.; 4,5,6,7-tetrahydro-1,2-benzodithiole-3-thione, 70%, yellow-orange, m. 102.degree.; (5-Me deriv., 53%, orange, m. 66.degree.; 7,7-dimethyl deriv., 30%, orange, m. 78.degree., yellow form m. 52.degree.; 7-isopropyl-4-methyl deriv., 30%, orange, m. 78.degree., yellow form m. 52.degree.; 7-isopropyl-4-methyl deriv. 30%, red-orange, m. 85.degree., which on dehydrogenation with S at 230.degree., yielded 7-isopropyl-4-methyl-1,2-benzothiole-3-thione,

09/ 995,324

red-orange, m. 74.degree.; 1,10,10-trimethyl-3,4-**dithia**
[5,2,1,02.5]tricyclo-2(6)-decene-5-thione, 26%, orange m. 174.degree.;
5,6,7,8-tetrahydro-1,2,4H-cycloheptadithiole-3-thione, 45%, yellow m.
99.degree.; 4,5,6,7,8,9-hexahydro-1,2-cyclooctadithiole-3-thione, 45%,
yellow, m. 104-5.degree.; 4,5-dihydro[2,1-c]naphtho-2,3-dithiole-1-thione,
35%, red, m. 119.degree., which on dehydrogenation with S at 220.degree.
gave 12.5% [2,1-c]naphtho-2,3-dithiole-1-thione, red, m. 147.degree..
Condensation of XIX with CS2 followed by alkylation gave the following
.alpha.,.alpha.'-di[bis(alkylthio)methylene]cyclanones:
2,5-di[bis(methylthio)methylene] cyclopentanone (XX), 84%, orange, m.
54-5.degree.; 2-[bis(ethylthio)methylene]-5-[bis(methylthio)methylene]cycl
opentanone, 60%, yellow oil, b0.05 106.degree.; 2,5-
di[bis(ethylthio)methylene] cyclopentanone, 78%, orange, m. 52-3.degree.;
2,6-di[bis(methylthio)methylene]cyclohexanone, 86%, orange, m.
40-2.degree.; 2-[bis(ethylthio)methylene]-6-[bis(methylthio)methylene]cycl
ohexanone was prepd. and purified by chromatography over Al2O3 via
2-[bis(ethylthio)methylene]cyclohexanone, 66%, yellow oil, b0.03
107.degree.; 2,6-di[bis(ethyl thio)methylene]cyclohexanone, 67%, orange,
m. 38-40.degree.; 2,7-di[bis(methylthio)methylene]cycloheptanone, 51%,
yellow, m. 83-4.degree.; 2,8-di[bis(methylthio)methylene]cyclooctanone,
38%, yellow, m. 56-7.degree.. Condensation of cyclopentadecanone with 2
moles CS2 in the presence of 4 moles I followed by methylation gave 40%
3,5-dodecamethylene-2,6-bis(methylthio)-1-thio-4-pyranone, m. 85.degree..
Sulfuration of XX with P2S5 in xylene, isolation of the reaction product
via its Hg complex, and chromatography of the regenerated product gave 42%
Me (3-methylthio-4,5-dihydro-1,2-cyclopentadithiole)bithiocarboxylate
(XXI, n = 2, R = R' = Me), red-violet, m. 169-70.degree.. The following
XXI were prepd. in the same way (n, R,R', % yield, color, and m.p. given):
2, Me, Et, 24, red-violet, 144.degree.; 2, Et, Et, 26, red-violet,
120.degree.; 3, Me, Me, 58, red, 148-9.degree.; 3, Me, Et, 17, red,
80.degree.; 3, Et, Et, 48, red, 94.degree.; 4, Me, Me, 35, red-orange,
154-5.degree.. Me (4-ethyl-5-methylthio-1,2-dithiole-3-
ylidene)bithioacetate, 50%, red, m. 109-10.degree..

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(FILE 'HOME' ENTERED AT 13:55:43 ON 15 JAN 2003)

FILE 'REGISTRY' ENTERED AT 13:56:03 ON 15 JAN 2003
L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 STRUCTURE UPLOADED
L4 17 S L1
L5 3890 S L1 FUL
L6 300 S L2 FUL
L7 71 S L3 FUL

FILE 'CAPLUS' ENTERED AT 13:58:50 ON 15 JAN 2003
L8 334 S L5
L9 86 S L5 /BIOL
L10 72 S L6
L11 3 S L7
L12 156 S (L9 OR L10) NOT L11
L13 86 S L9 NOT L10
L14 4 S DITHIA AND (CYCLOPENTA OR CYCLOPENTYL)

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	738.71	1184.17

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL

09/ 995,324

	ENTRY	SESSION
CA SUBSCRIBER PRICE	-104.81	-104.81

STN INTERNATIONAL LOGOFF AT 14:04:21 ON 15 JAN 2003